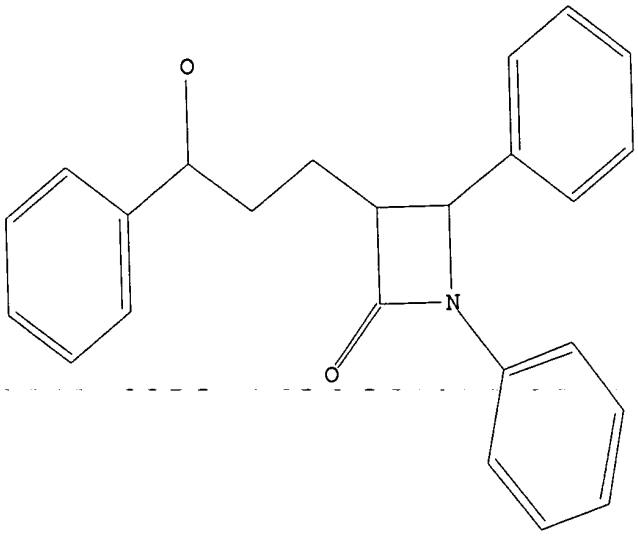
=> d his

(FILE 'HOME' ENTERED AT 02:39:31 ON 30 MAY 2003)

FILE 'REGISTRY' ENTERED AT 02:39:41 ON 30 MAY 2003
L1 STRUCTURE UPLOADED
L2 0 L1 SSS
L3 200 L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 02:40:51 ON 30 MAY 2003
L4 20 L3

=> d que stat l4
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 200 SEA FILE=REGISTRY SSS FUL L1
L4 20 SEA FILE=CAPLUS ABB=ON PLU=ON L3

=> d l4 ibib abs hitstr

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:658112 CAPLUS
DOCUMENT NUMBER: 137:201523
TITLE: Preparation of β-lactam compounds as serum cholesterol-lowering agents
INVENTOR(S): Tomiyama, Hiroshi; Yokota, Masayuki; Noda, Atsushi; Ohno, Akira
PATENT ASSIGNEE(S): Kotobuki Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

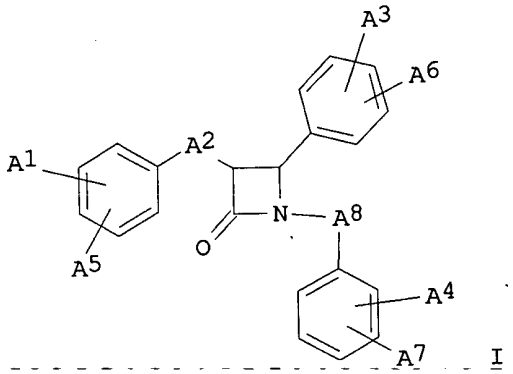
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066464	A1	20020829	WO 2002-JP1481	20020220

W: AU, BR, CA, CN, ID, IN, JP, KR, MX, RU, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: JP 2001-48202 A 20010223
JP 2001-128031 A 20010425

OTHER SOURCE(S): MARPAT 137:201523

GI



I

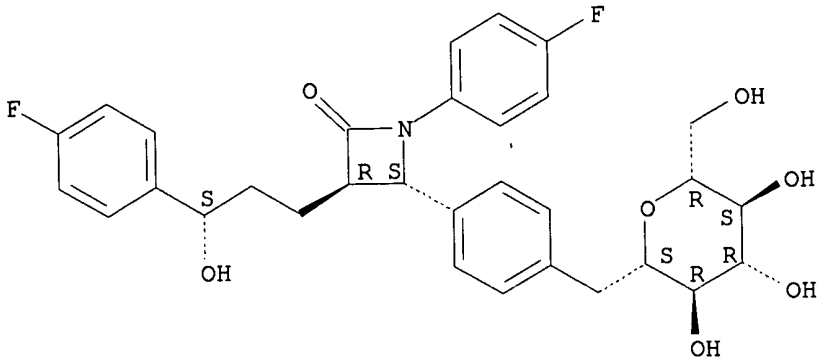
AB The title compds. I [A1, A3 and A4 represent each hydrogen, halogeno, C1-5 alkyl, C1-5 alkoxy, a group represented by the general formula OCMe2CO2R1 (wherein R1 represents hydrogen or C1-5 alkyl), etc.; a proviso is given; A2 represents C1-5 alkyl, C1-5 alkoxy, C1-5 alkenyl, C1-5 hydroxyalkyl or C1-5 carbonylalkyl; A5 is (R3)p; A6 is (R3)q; A7 is (R3)m; A8 is (CH2)n; and n, p, q and m are each an integer of 0, 1 or 2; R3 is OH, etc.] are prepared Processes for preparing I are disclosed. The cholesterol-lowering activity of compds. of this invention was demonstrated in hamsters.

IT **452068-02-9P 452068-03-0P 452068-04-1P**
452068-09-6P 452068-13-2P 452068-15-4P
452068-16-5P 452068-17-6P 452068-18-7P
452068-19-8P 452068-21-2P 452068-22-3P
452068-25-6P 452068-26-7P 452068-27-8P
452068-28-9P 452068-30-3P 452068-31-4P
452068-32-5P 452068-33-6P 452068-34-7P
452068-35-8P 452068-36-9P 452068-37-0P
452068-38-1P 452068-93-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-lactam compds. as serum cholesterol-lowering agents)

RN 452068-02-9 CAPLUS

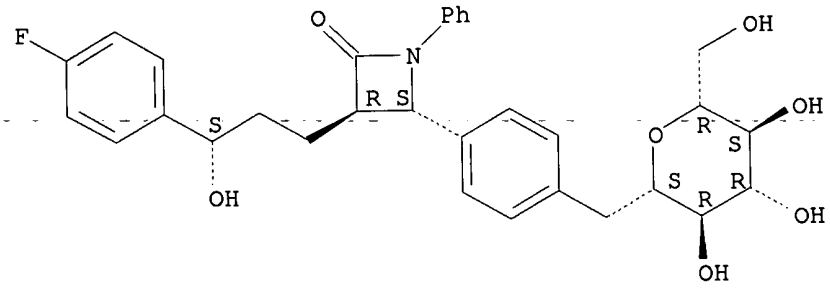
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



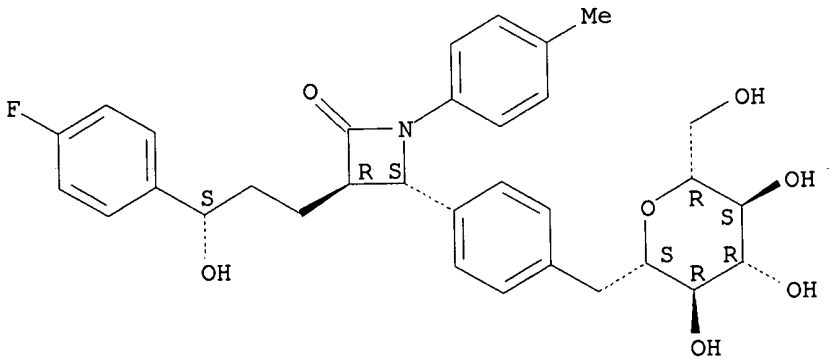
RN 452068-03-0 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



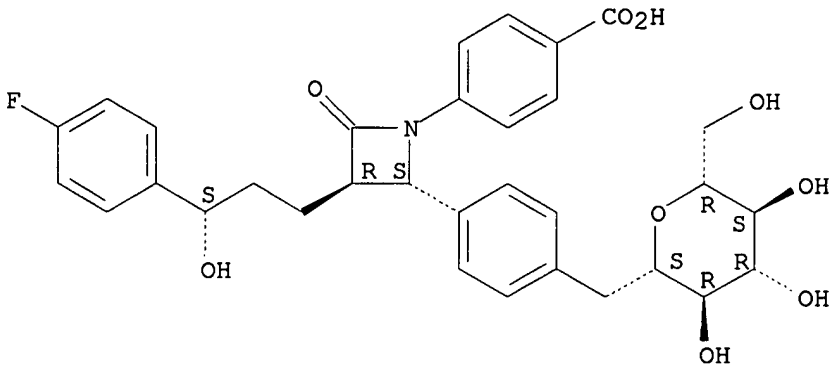
RN 452068-04-1 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-methylphenyl)-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



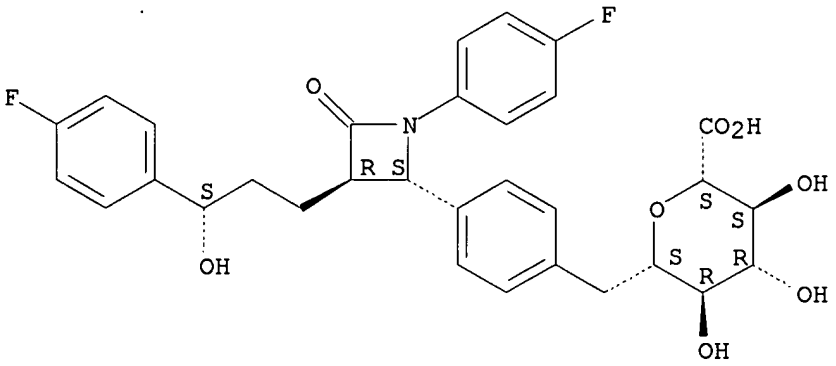
RN 452068-09-6 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-[4-[(2S,3R)-1-(4-carboxyphenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-1-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



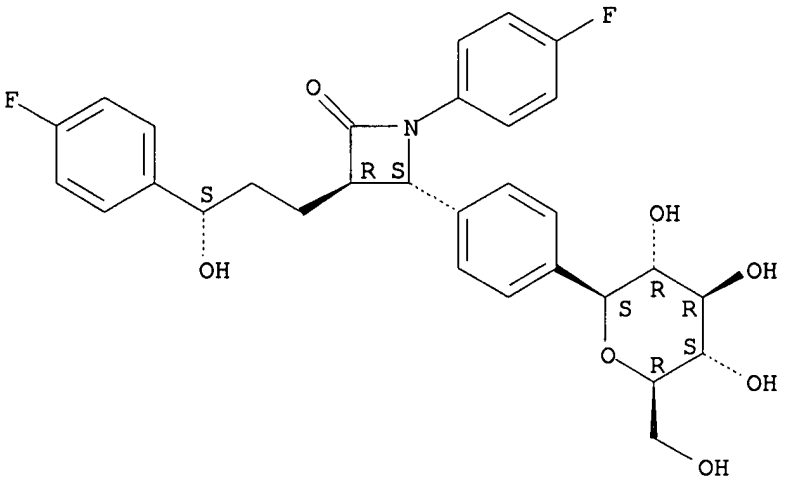
RN 452068-13-2 CAPLUS
CN L-glycero-L-gulo-Heptonic acid, 2,6-anhydro-7-deoxy-7-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



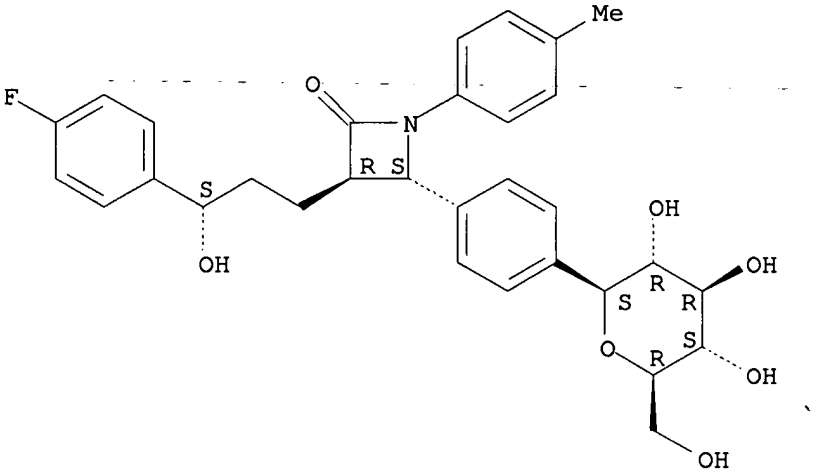
RN 452068-15-4 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-β-D-glucopyranosylphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



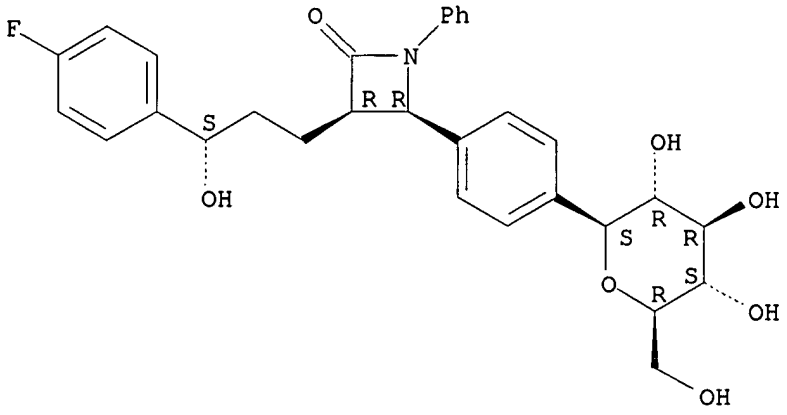
RN 452068-16-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-β-D-glucopyranosylphenyl)-1-(4-methylphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



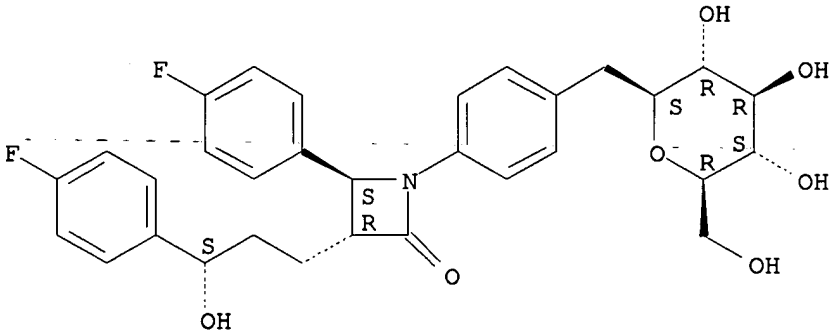
RN 452068-17-6 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-β-D-glucopyranosylphenyl)-1-phenyl-, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



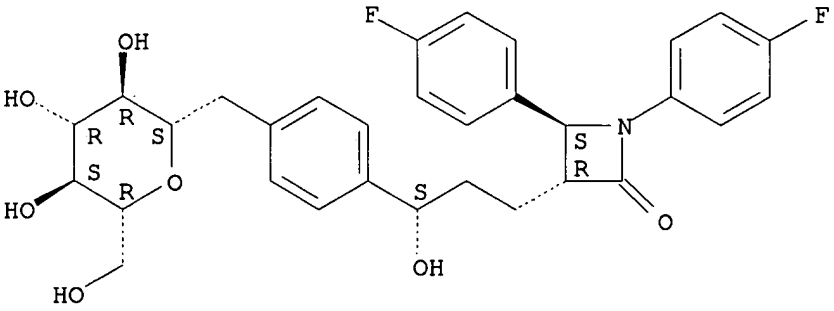
RN 452068-18-7 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[4-[(2S,3R)-2-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



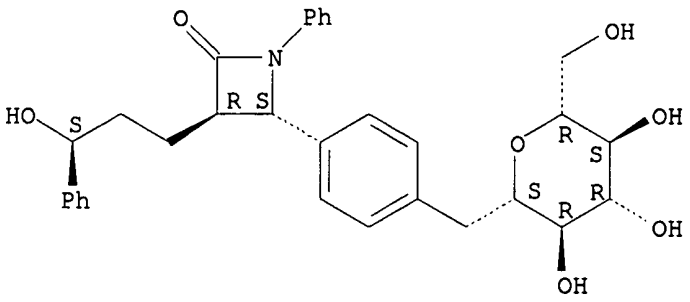
RN 452068-19-8 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-[4-[(1S)-3-[(2S,3R)-1,2-bis(4-fluorophenyl)-4-oxo-3-azetidinyl]-1-hydroxypropyl]phenyl]-1-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



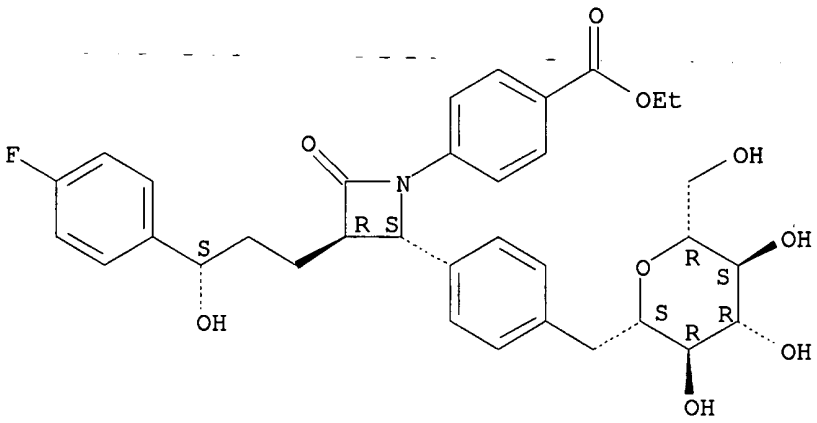
RN 452068-21-2 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[4-[(2S,3R)-3-[(3S)-3-hydroxy-3-phenylpropyl]-4-oxo-1-phenyl-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



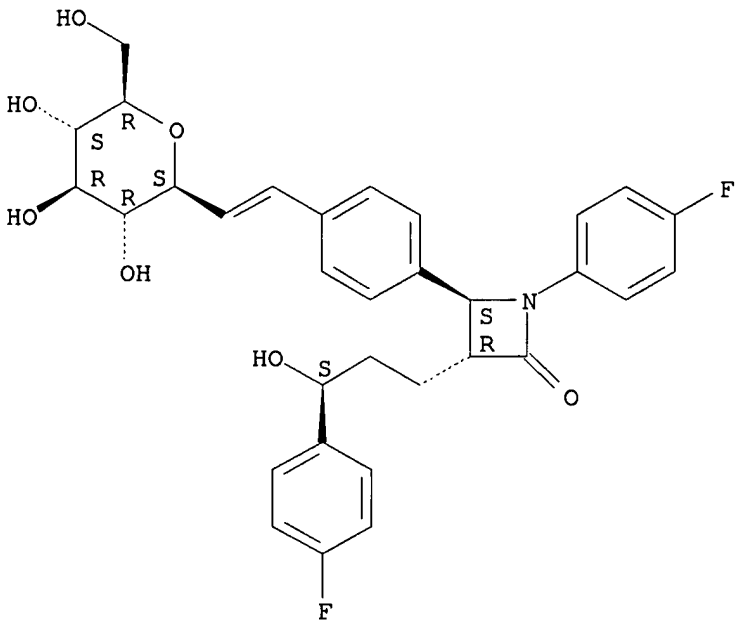
RN 452068-22-3 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-deoxy-1-[4-[(2S,3R)-1-[4-(ethoxycarbonyl)phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



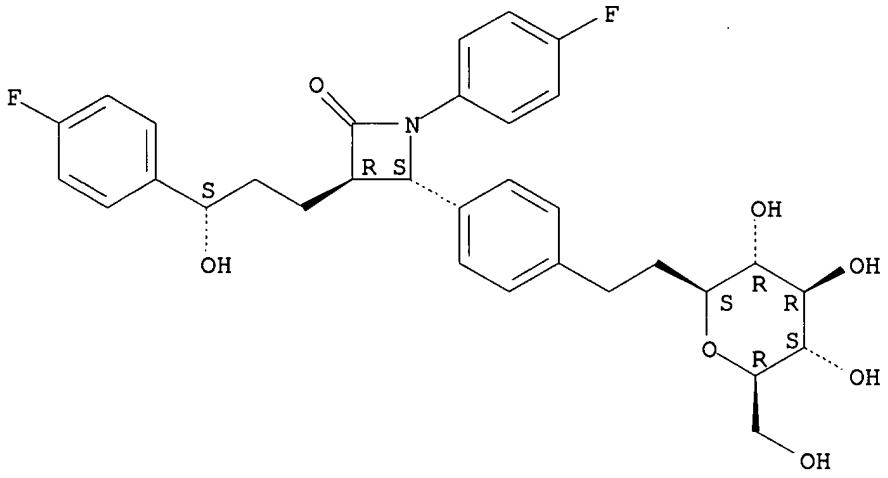
RN 452068-25-6 CAPLUS
CN D-glycero-D-gulo-Oct-1-enitol, 3,7-anhydro-1,2-dideoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



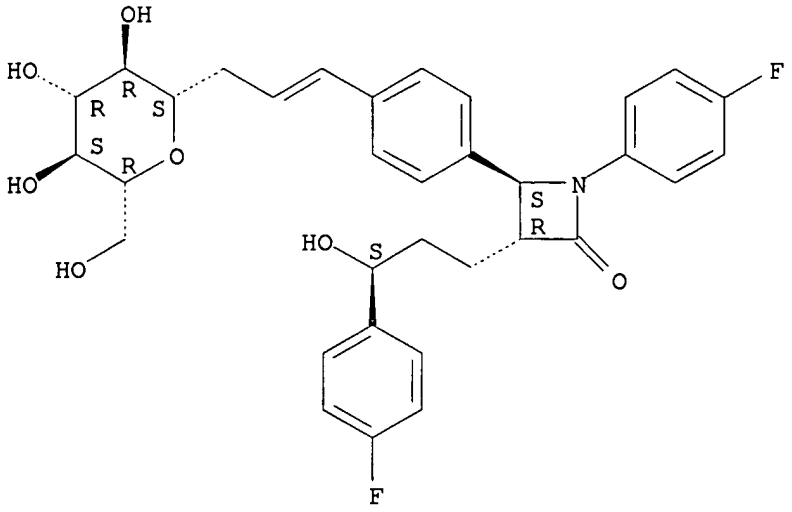
RN 452068-26-7 CAPLUS
CN D-glycero-D-gulo-Octitol, 3,7-anhydro-1,2-dideoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



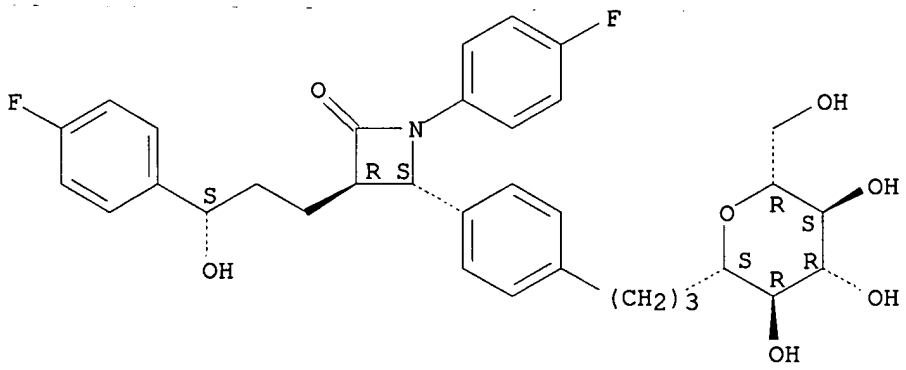
RN 452068-27-8 CAPLUS
CN D-glycero-D-gulo-Non-1-enitol, 4,8-anhydro-1,2,3-trideoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



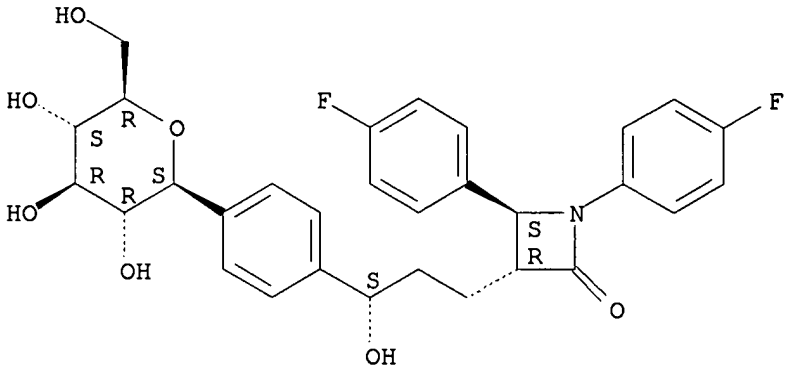
RN 452068-28-9 CAPLUS
CN D-glycero-D-gulo-Nonitol, 4,8-anhydro-1,2,3-trideoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



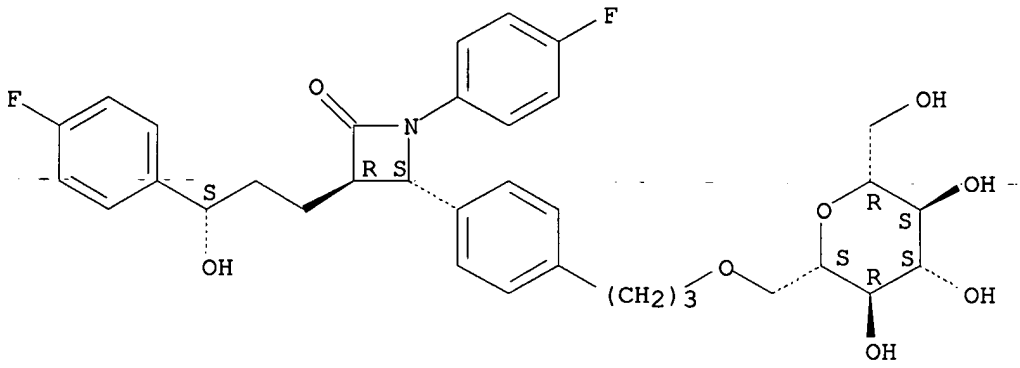
RN 452068-30-3 CAPLUS
CN 2-Azetidinone, 1,4-bis(4-fluorophenyl)-3-[(3S)-3-(4-β-D-glucopyranosylphenyl)-3-hydroxypropyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



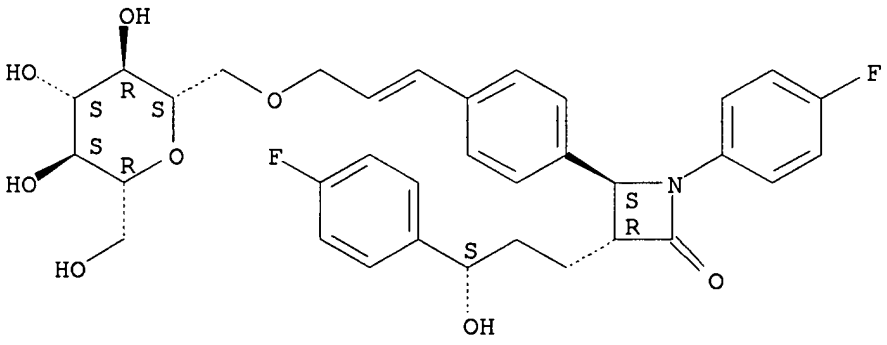
RN 452068-31-4 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O-[3-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



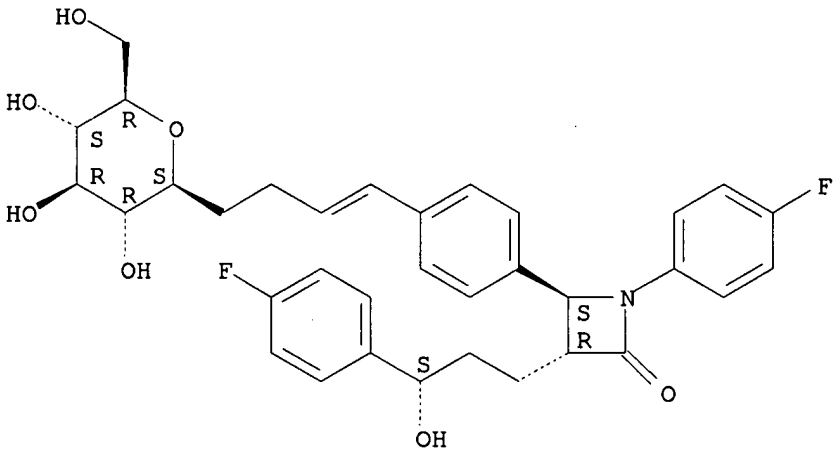
RN 452068-32-5 CAPLUS
CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O-[3-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



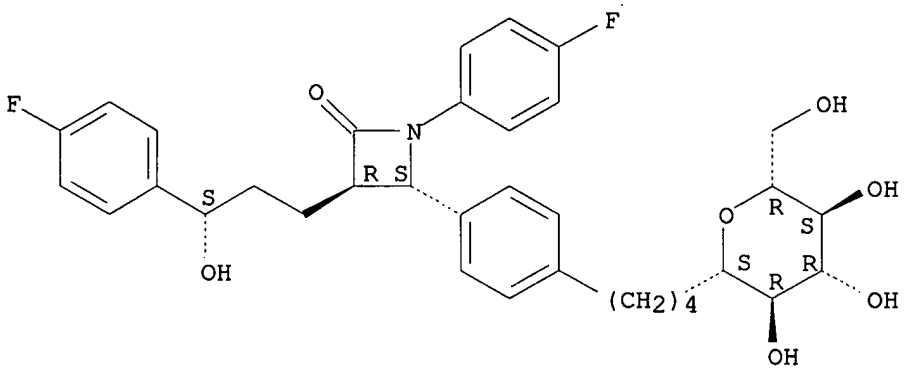
RN 452068-33-6 CAPLUS
CN D-glycero-D-gulo-Dec-1-enitol, 5,9-anhydro-1,2,3,4-tetradeoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



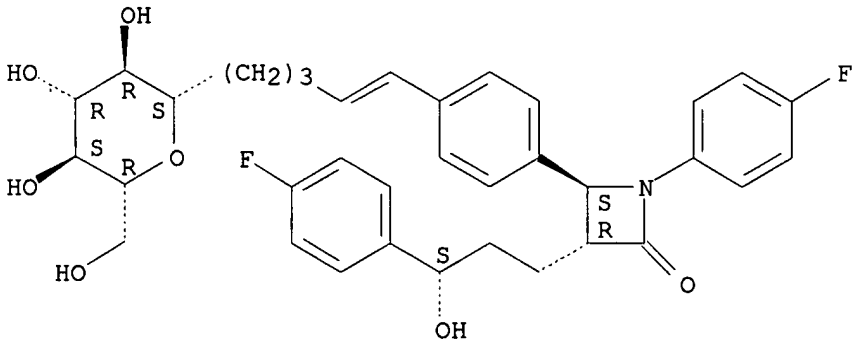
RN 452068-34-7 CAPLUS
CN D-glycero-D-gulo-Decitol, 5,9-anhydro-1,2,3,4-tetradeoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



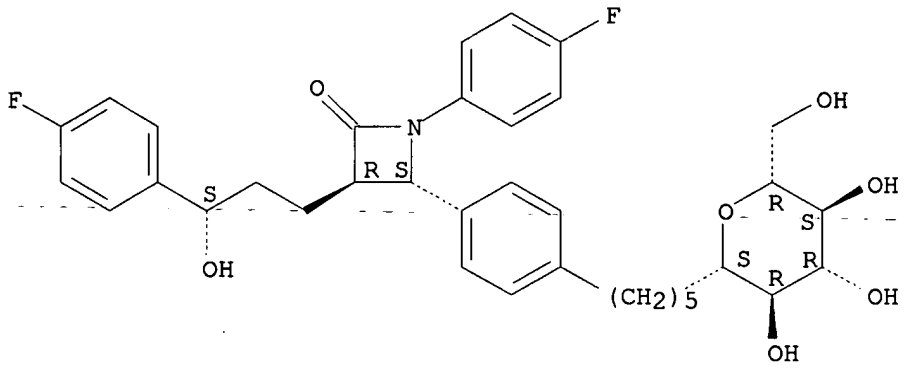
RN 452068-35-8 CAPLUS
CN D-glycero-D-gulo-Undec-1-enitol, 6,10-anhydro-1,2,3,4,5-pentadeoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



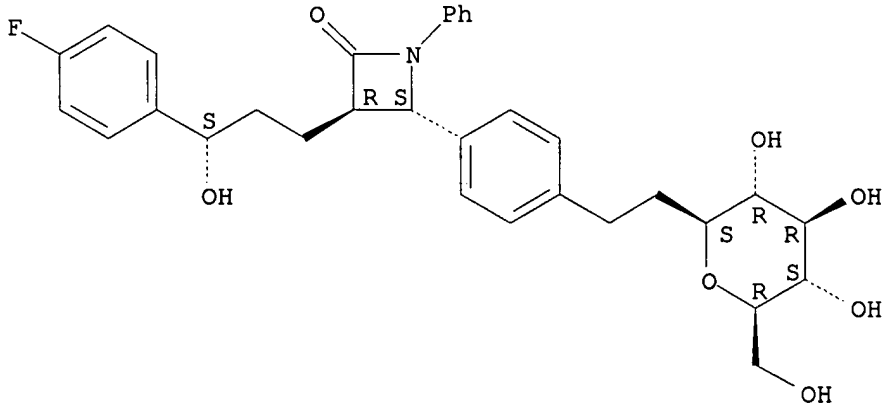
RN 452068-36-9 CAPLUS
CN D-glycero-D-gulo-Undecitol, 6,10-anhydro-1,2,3,4,5-pentadeoxy-1-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



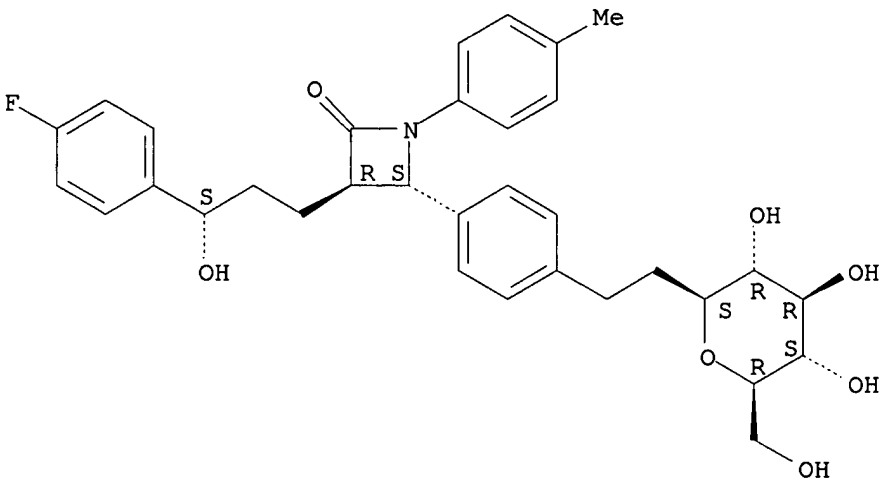
RN 452068-37-0 CAPLUS
CN D-glycero-D-gulo-Octitol, 3,7-anhydro-1,2-dideoxy-1-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



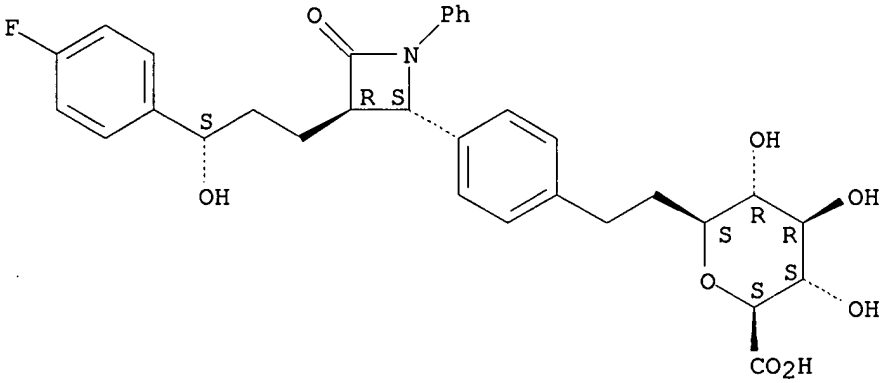
RN 452068-38-1 CAPLUS
CN D-glycero-D-gulo-Octitol, 3,7-anhydro-1,2-dideoxy-1-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-methylphenyl)-4-oxo-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



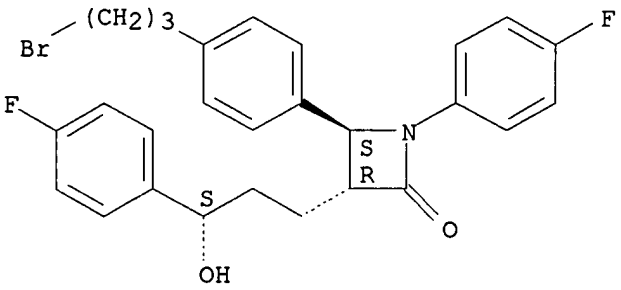
RN 452068-93-8 CAPLUS
CN L-glycero-L-gulo-Octonic acid, 2,6-anhydro-7,8-dideoxy-8-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **452068-69-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of β-lactam compds. as serum cholesterol-lowering agents)
RN 452068-69-8 CAPLUS
CN 2-Azetidinone, 4-[4-(3-bromopropyl)phenyl]-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

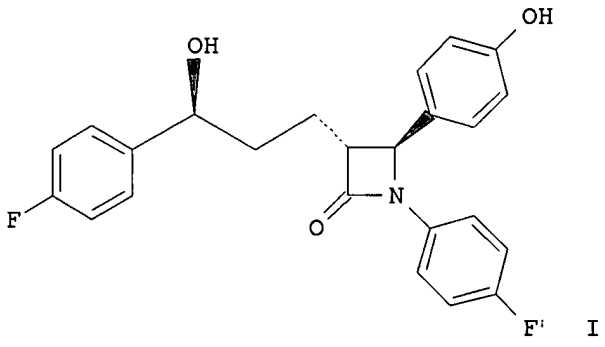
L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:574926 CAPLUS
DOCUMENT NUMBER: 137:135094
TITLE: The use of substituted azetidinone compounds for the treatment of sitosterolemia
INVENTOR(S): Davis, Harry R.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058696	A2	20020801	WO 2002-US1195	20020125
WO 2002058696	A3	20030313		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002169134	A1	20021114	US 2002-57629	20020125

PRIORITY APPLN. INFO.: US 2001-264645P P 20010126
OTHER SOURCE(S): MARPAT 137:135094
GI



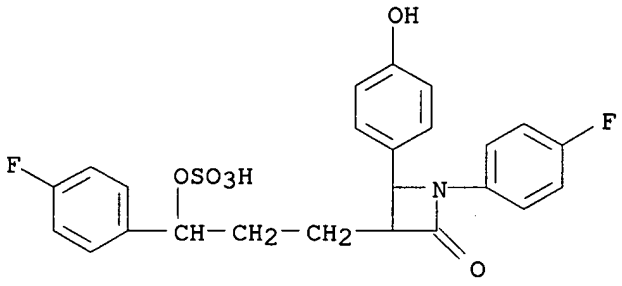
I

AB The invention discloses the use of sterol absorption-inhibiting compds., pharmaceutical compns. thereof, therapeutic combinations, and their use in combination with other lipid-lowering agents to treat or prevent sitosterolemia and/or to lower the concentration of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or preventing vascular disease and coronary events also are provided. The methodol. and compns. of the invention use substituted azetidinone

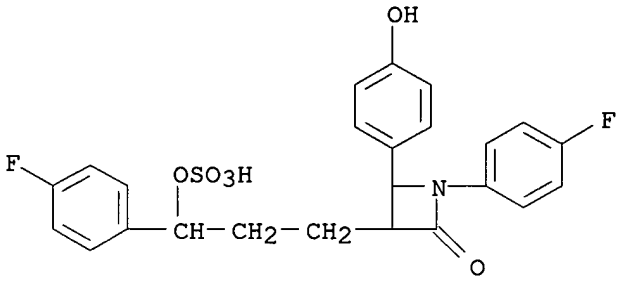
compds., e.g. I (preparation described).

IT **438576-91-1 438576-91-1D**, derivs. **438576-92-2**
438576-92-2D, prodrug derivs. **444313-49-9**
444313-50-2 444313-51-3 444313-53-5
444313-55-7 444313-57-9 444313-59-1
444313-60-4 444313-61-5 444313-62-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(azetidinone derivs. for treatment of sitosterolemia)

RN 438576-91-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-(sulfooxy)propyl]-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

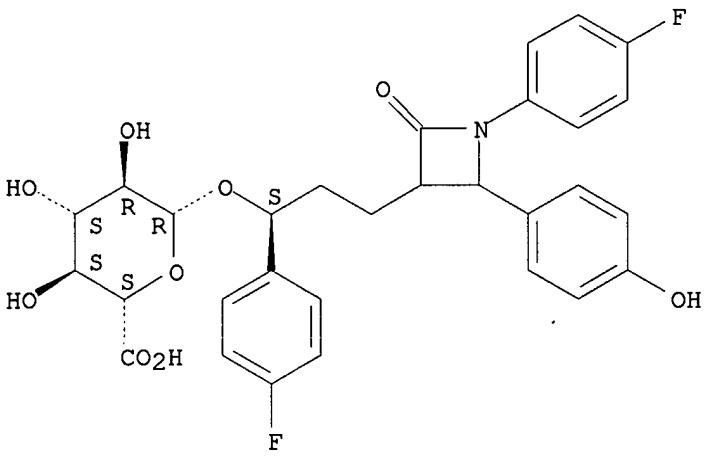


RN 438576-91-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-(sulfooxy)propyl]-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



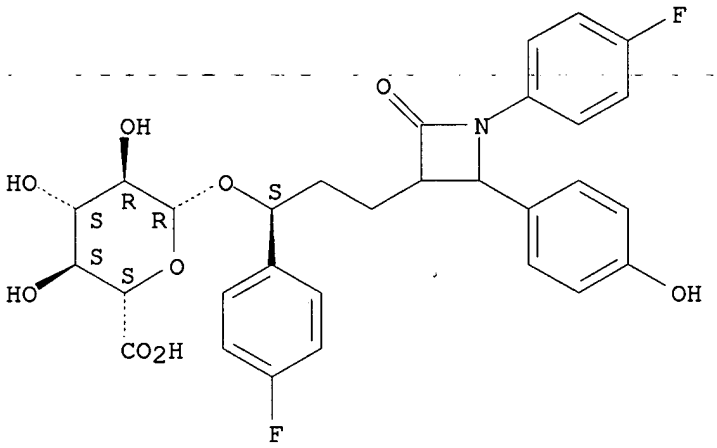
RN 438576-92-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, (1S)-1-(4-fluorophenyl)-3-[1-(4-fluorophenyl)-2-(4-hydroxyphenyl)-4-oxo-3-azetidinyl]propyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 438576-92-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, (1S)-1-(4-fluorophenyl)-3-[1-(4-fluorophenyl)-2-(4-hydroxyphenyl)-4-oxo-3-azetidinyl]propyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

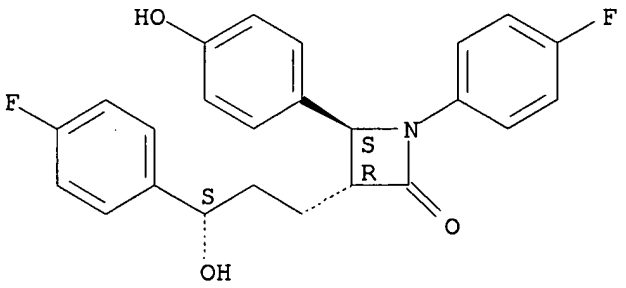


RN 444313-49-9 CAPLUS
CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (2S)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

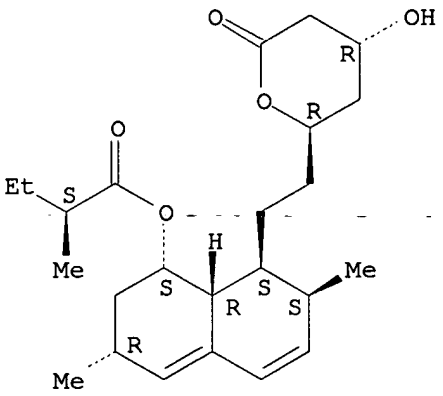
Absolute stereochemistry. Rotation (-).



CM 2

CRN 75330-75-5
CMF C24 H36 O5

Absolute stereochemistry.

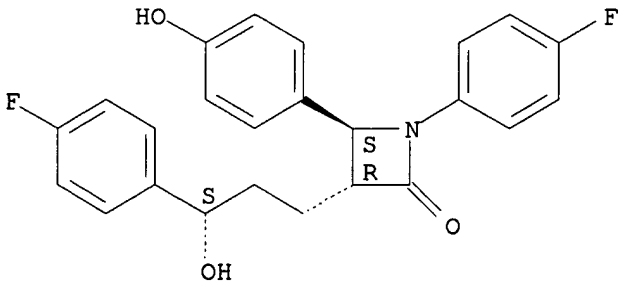


RN 444313-50-2 CAPLUS
CN 1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-β,δ,6-trihydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-, (βR,δR,1S,2S,6S,8S,8aR)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

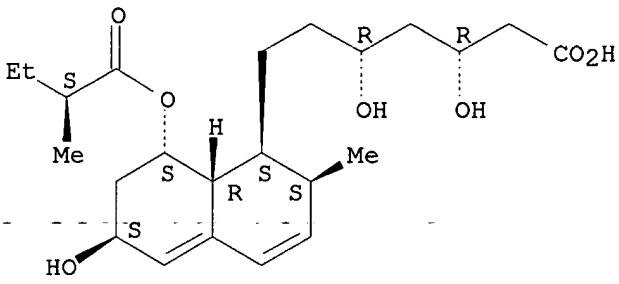
Absolute stereochemistry. Rotation (-).



CM 2

CRN 81093-37-0
CMF C23 H36 O7

Absolute stereochemistry.

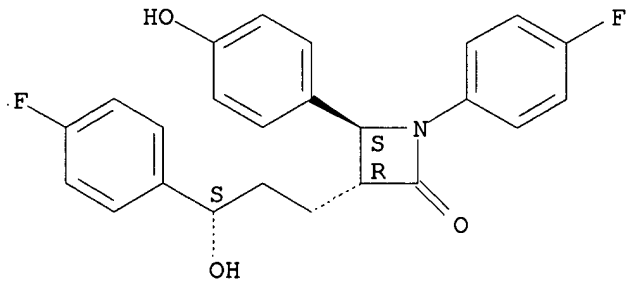


RN 444313-51-3 CAPLUS
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

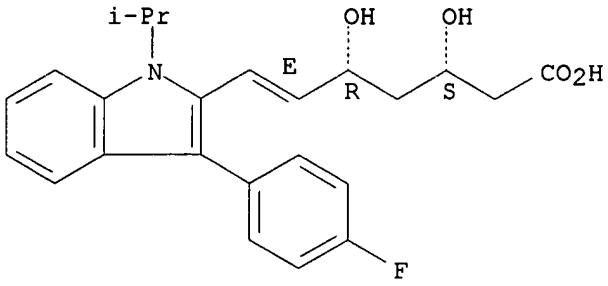
Absolute stereochemistry. Rotation (-).



CM 2

CRN 93957-54-1
CMF C24 H26 F N O4

Relative stereochemistry.
Double bond geometry as shown.

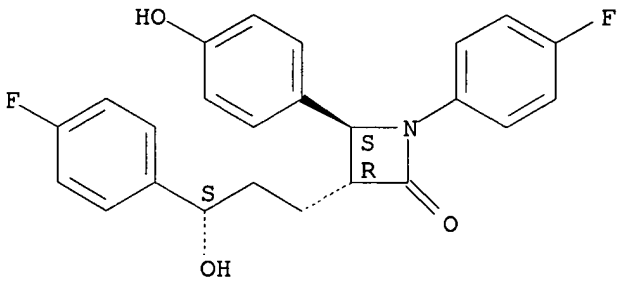


RN 444313-53-5 CAPLUS
CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

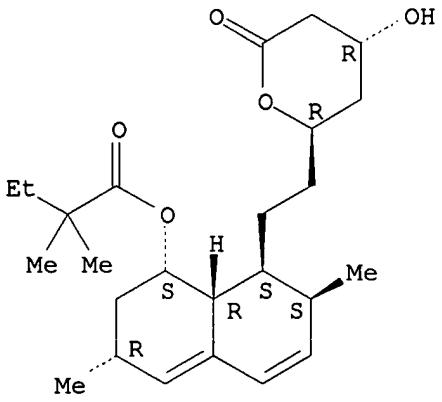
Absolute stereochemistry. Rotation (-).



CM 2

CRN 79902-63-9
CMF C25 H38 O5

Absolute stereochemistry.

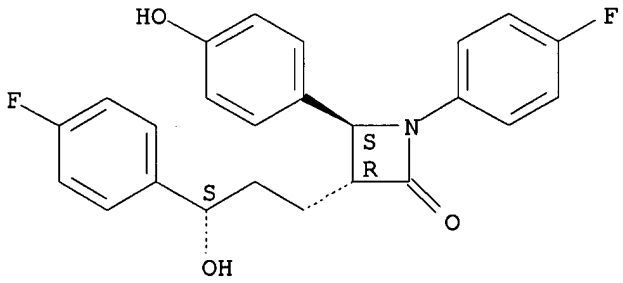


RN 444313-55-7 CAPLUS
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (βR,δR)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

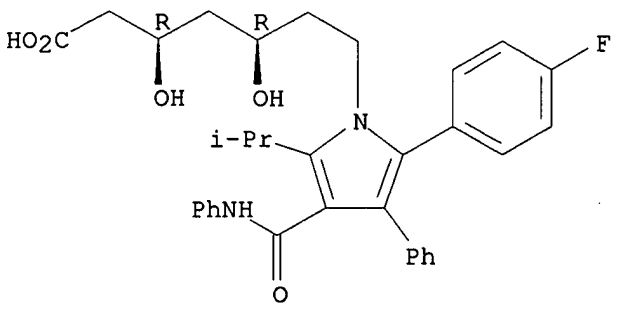
Absolute stereochemistry. Rotation (-).



CM 2

CRN 134523-00-5
CMF C33 H35 F N2 O5

Absolute stereochemistry.

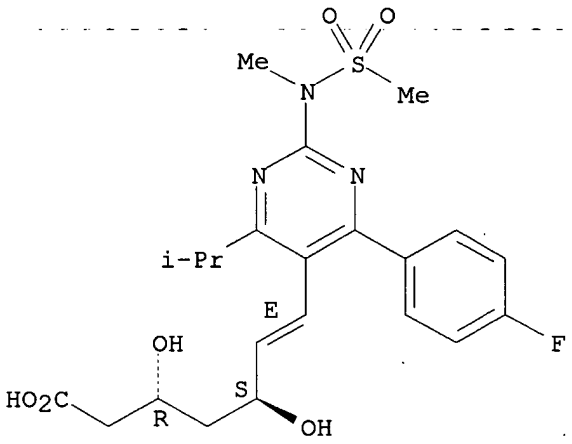


RN 444313-57-9 CAPLUS
CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 287714-41-4
CMF C22 H28 F N3 O6 S

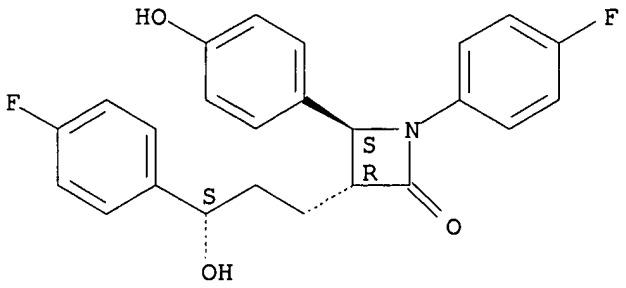
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

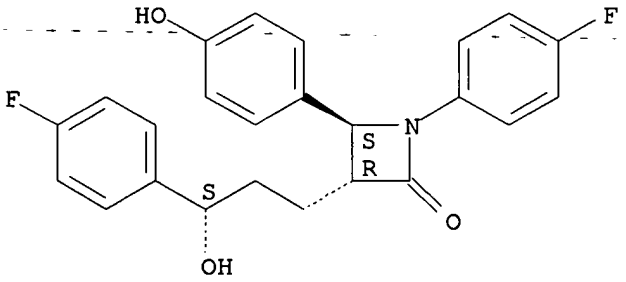


RN 444313-59-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-, mixt. with (4R,6S)-6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-2H-pyran-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

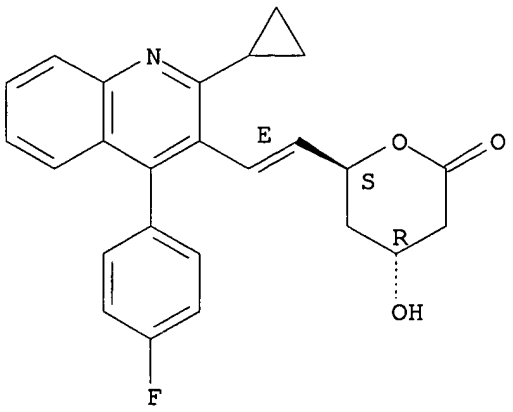
Absolute stereochemistry. Rotation (-).



CM 2

CRN 141750-63-2
CMF C25 H22 F N O3

Absolute stereochemistry.
Double bond geometry as shown.

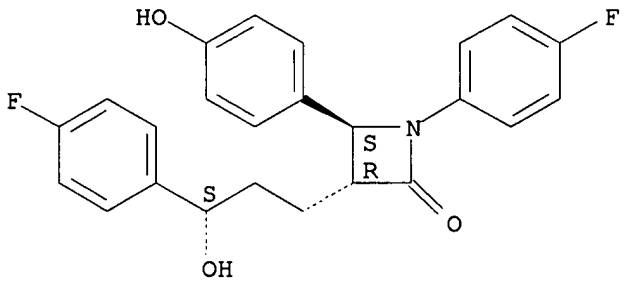


RN 444313-60-4 CAPLUS
CN Cholestyramine, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

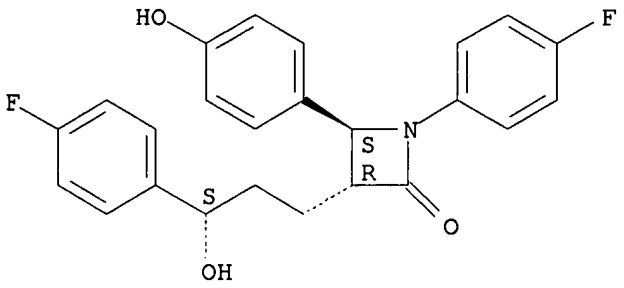
CRN 11041-12-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 444313-61-5 CAPLUS
CN 1-Hexanaminium, N,N,N-trimethyl-6-(2-propenylamino)-, chloride, polymer with (chloromethyl)oxirane, 2-propen-1-amine and N-2-propenyl-1-decanamine, hydrochloride, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 182815-44-7
CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x . x Cl H

CM 3

CRN 182815-43-6
CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x
CCI PMS

CM 4

CRN 182815-42-5
CMF C12 H27 N2 . Cl

$H_2C{=}CH{-}CH_2{-}NH{-}(CH_2)_6{-}N^+Me_3$

● Cl^-

CM 5

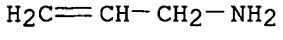
CRN 92162-19-1
CMF C13 H27 N

$H_2C{=}CH{-}CH_2{-}NH{-}(CH_2)_9{-}Me$

CM 6

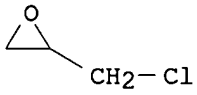
CRN 107-11-9

CMF C3 H7 N



CM 7

CRN 106-89-8
CMF C3 H5 Cl O

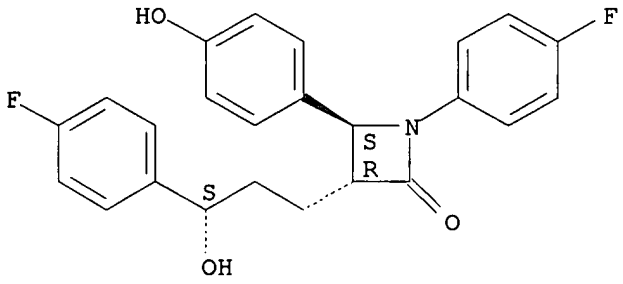


RN 444313-62-6 CAPLUS
CN Colestipol, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 50925-79-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487576 CAPLUS
DOCUMENT NUMBER: 137:41758
TITLE: Sugar-substituted 2-azetidinones useful as hypocholesterolemic agents and in the treatment of atherosclerosis

INVENTOR(S): Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; Iannucci, Robert M.; Feng, Wenqing; Alton, Kevin B.; Patrick, James E.; Davis, Harry R.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050090	A1	20020627	WO 2001-US49127	20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002031049	A5	20020701	AU 2002-31049	20011217
US 2002137690	A1	20020926	US 2001-23295	20011217

PRIORITY APPLN. INFO.: US 2000-256875P P 20001220
WO 2001-US49127 W 20011217

OTHER SOURCE(S): MARPAT 137:41758

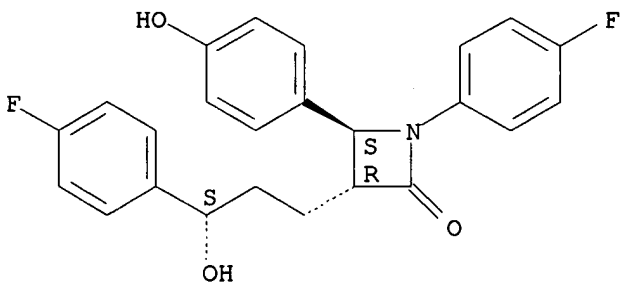
AB Hypocholesterolemic sugar-substituted 2-azetidinone compds. are disclosed, as are a method of lowering cholesterol by administering these compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis.

IT **438576-93-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment)

RN 438576-93-3 CAPLUS

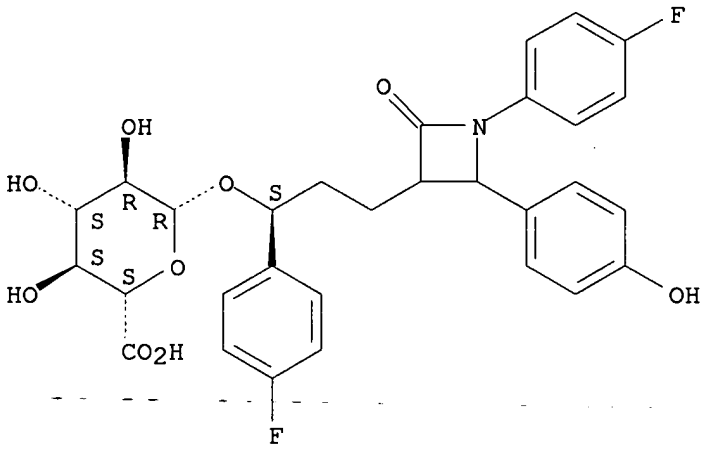
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, labeled with carbon-14, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

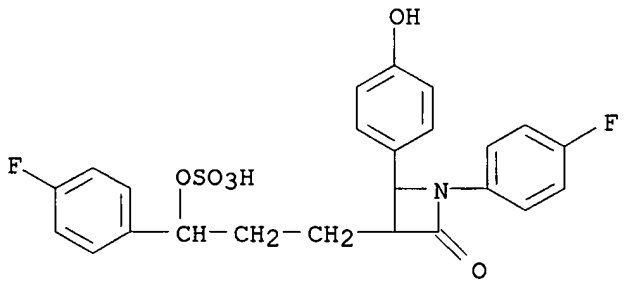


IT **438576-92-2P**
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment)
RN 438576-92-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, (1S)-1-(4-fluorophenyl)-3-[1-(4-fluorophenyl)-2-(4-hydroxyphenyl)-4-oxo-3-azetidinyl]propyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **438576-91-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment)
RN 438576-91-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-(sulfooxy)propyl]-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:487559 CAPLUS
DOCUMENT NUMBER: 137:63115
TITLE: Preparation of diphenylazetidinone derivatives as hypolipidemic agents
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland Gmbh, Germany
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050068	A1	20020627	WO 2001-EP14532	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064402	A1	20020627	DE 2000-10064402	20001221
AU 2002019173	A5	20020701	AU 2002-19173	20011211
US 2002128252	A1	20020912	US 2001-21028	20011219
US 6498156	B2	20021224		

PRIORITY APPLN. INFO.: DE 2000-10064402 A 20001221
DE 2001-10154520 A 20011107
WO 2001-EP14532 W 20011211
OTHER SOURCE(S): MARPAT 137:63115
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The compds. are suited for use e.g. as hypolipidemic drugs. The invention discloses preparation of diphenylazetidinone derivs. such as I [R1, R2, R3, R4, R5, R6 = C0-C30-alkylene-L {optionally containing O, CO, CH:CH, C.tplbond.C, N(alkyl), N(alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(alkyl), CONH2, CONH(alkyl), CON(alkyl)2, alkyl, alkenyl, alkynyl, O-alkyl, SO2NH2, SO2NH(alkyl) SO2N(alkyl)2, S-(alkyl), SO(alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(alkyl), SO2(CH2)nPh, NH2, NH(alkyl), N(alkyl)2, NH(acyl), (un)substituted Ph, O(CH2)nPh; n = 0-6; L = II; R7, R9, R10 = Me, Et, Pr, butyl; R8 = H, OH, NH2, NH(alkyl)], and their physiol. acceptable salts, for their use as hypolipidemic agents. Thus, 1,2-diphenylazetidinone derivative III·trifluoroacetate (IV) was prepared via a multistep synthetic sequence starting from 7-[3-(3-butyl-7-dimethylamino-3-ethyl-4-hydroxy-1,1-dioxo-2,3,4,5-tetrahydro-1H-benzo[b]thiepin-5-yl)-phenylcarbamoyl]-heptanoic acid and 4-(4-aminomethylphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-

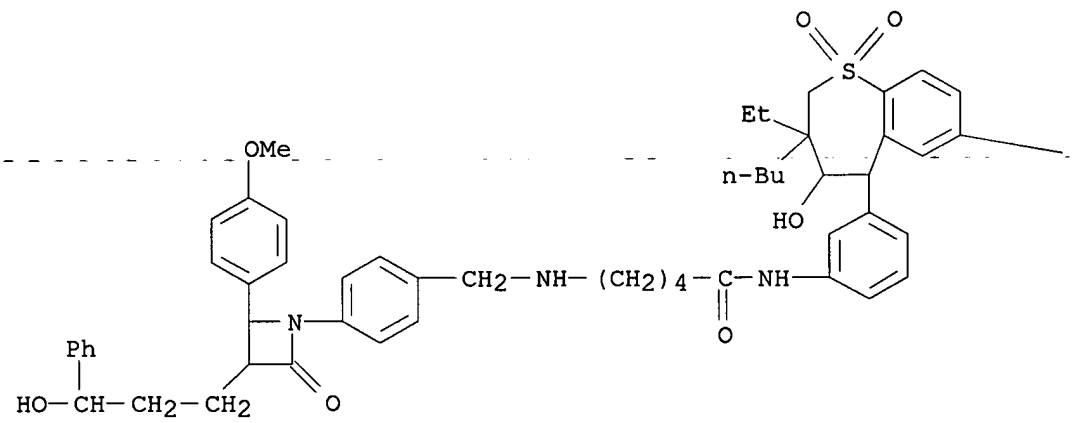
hydroxyphenyl]-azetidin-2-one. Azetidinone IV was tested for its cholesterol lowering ability [ED50 = 0.01 mg/mouse].

IT **439113-82-3P 439113-89-0P 439113-91-4P 439113-92-5P 439113-93-6P 439113-96-9P 439113-98-1P 439114-01-9P 439114-03-1P 439114-06-4P 439114-08-6P 439114-11-1P 439114-16-6P 439114-20-2P 439114-22-4P 439114-26-8P 439114-29-1P 439114-36-0P 439114-38-2P 439114-39-3P 439114-40-6P 439120-25-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diphenylazetidinone derivs. as hypolipidemics)

RN 439113-82-3 CAPLUS

CN Pentanamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-5-[[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

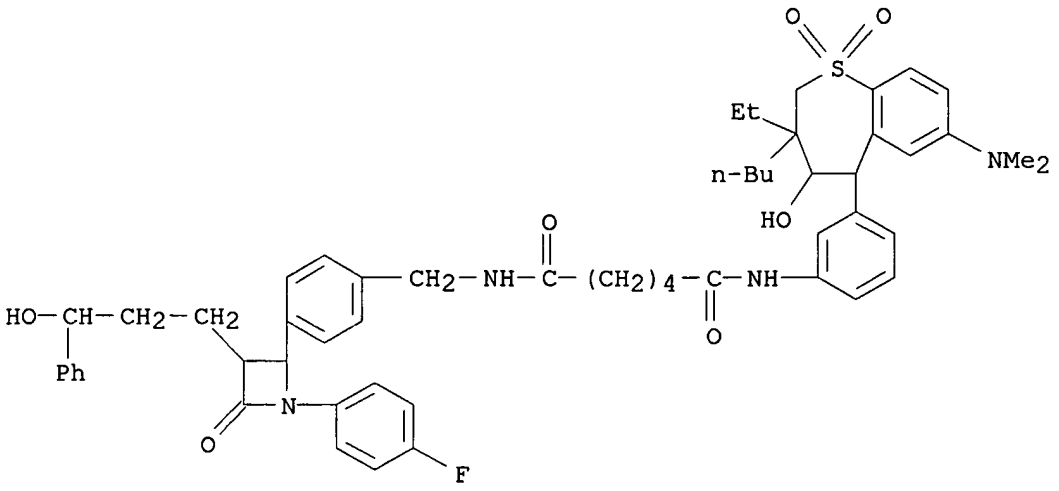


PAGE 1-B

—NMe2

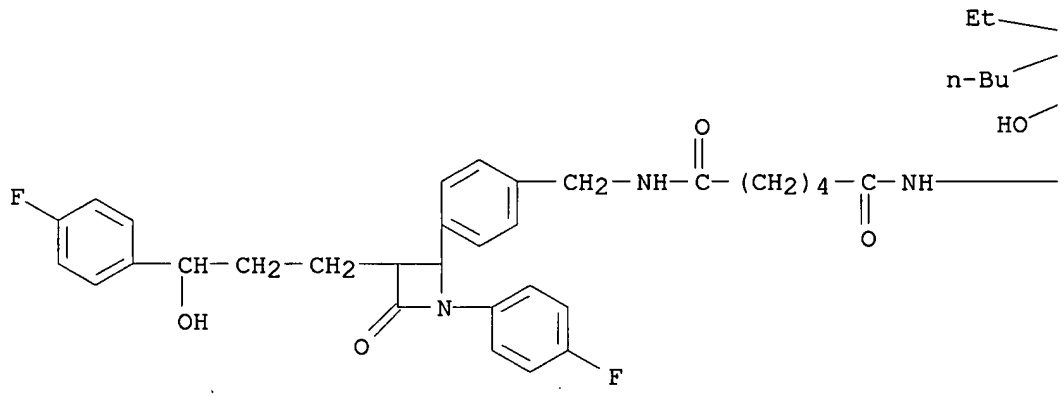
RN 439113-89-0 CAPLUS

CN Hexanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-(3-hydroxy-3-phenylpropyl)-4-oxo-2-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

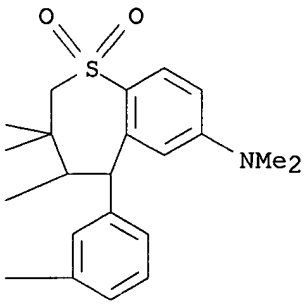


RN 439113-91-4 CAPLUS
CN Hexanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

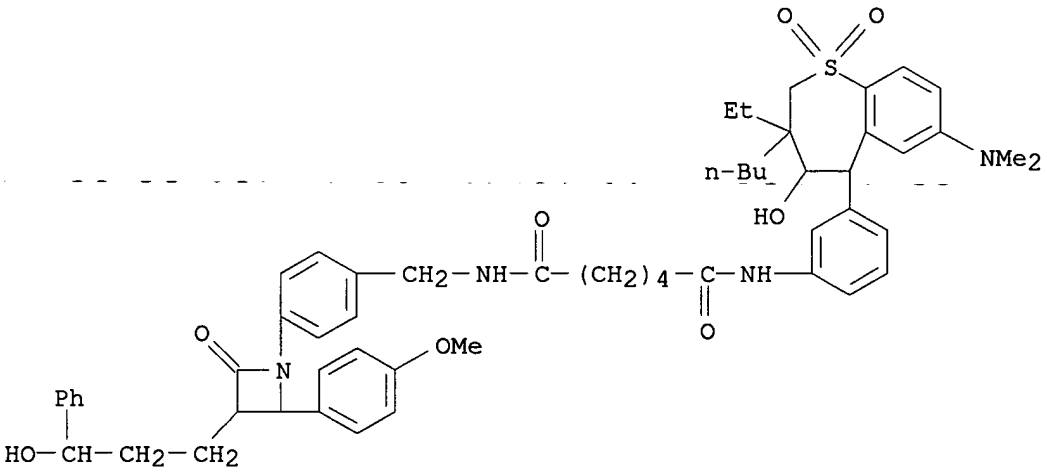
PAGE 1-A



PAGE 1-B

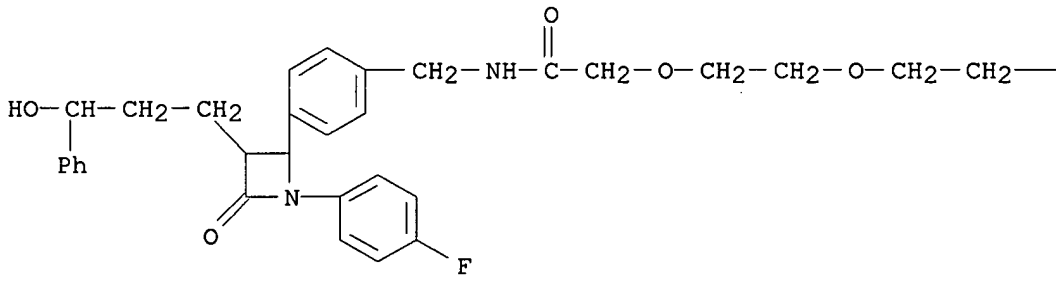


RN 439113-92-5 CAPLUS
CN Hexanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

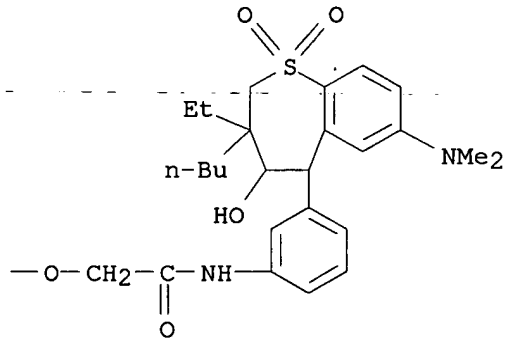


RN 439113-93-6 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[1-(4-fluorophenyl)-3-(3-hydroxy-3-phenylpropyl)-4-oxo-2-azetidinyl]phenyl]-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

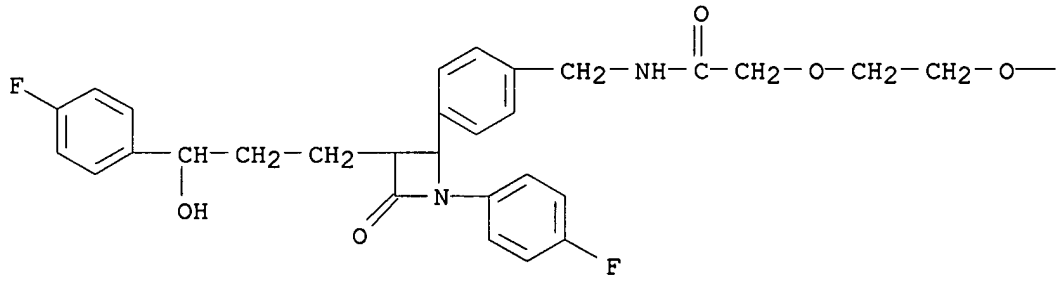


RN 439113-96-9 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]-N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

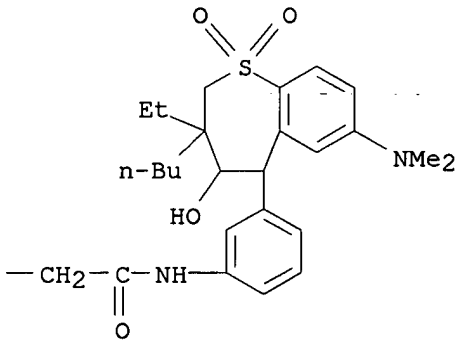
CM 1

CRN 439113-95-8
CMF C55 H64 F2 N4 O9 S

PAGE 1-A

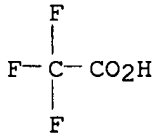


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2



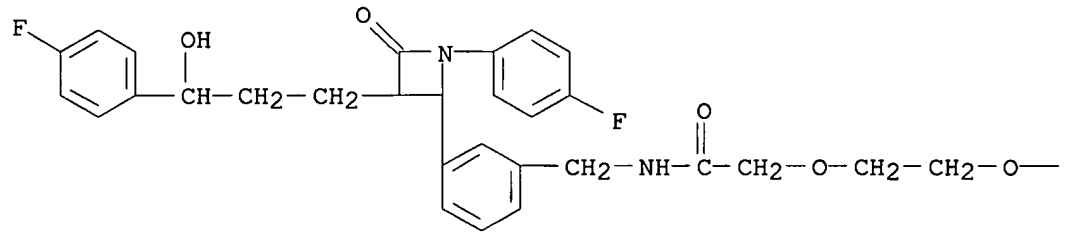
RN 439113-98-1 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]-N-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate)

(salt) (9CI) (CA INDEX NAME)

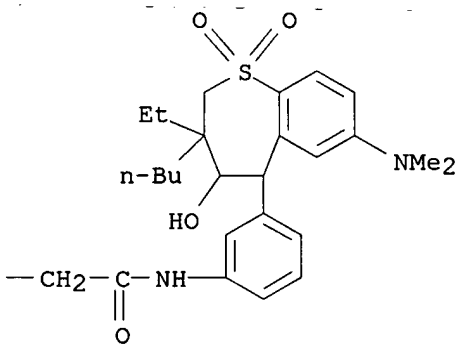
CM 1

CRN 439113-97-0
CMF C55 H64 F2 N4 O9 S

PAGE 1-A

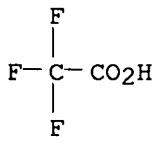


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2



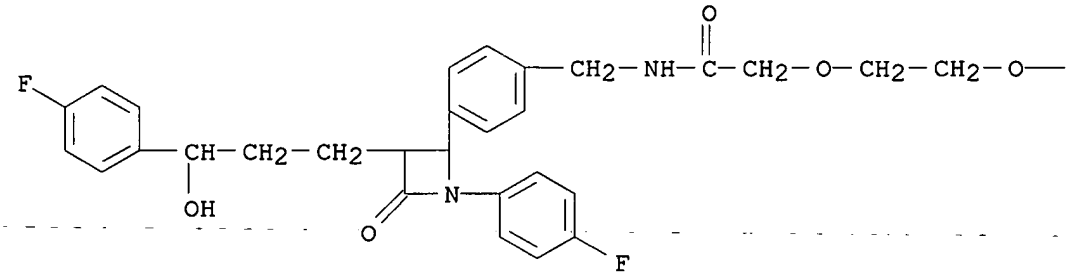
RN 439114-01-9 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-

ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

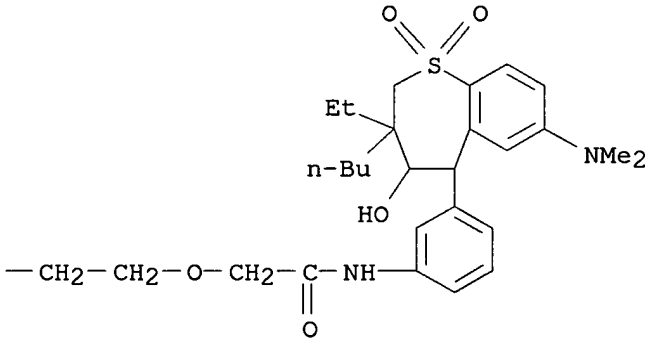
CM 1

CRN 439114-00-8
CMF C57 H68 F2 N4 O10 S

PAGE 1-A

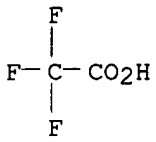


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

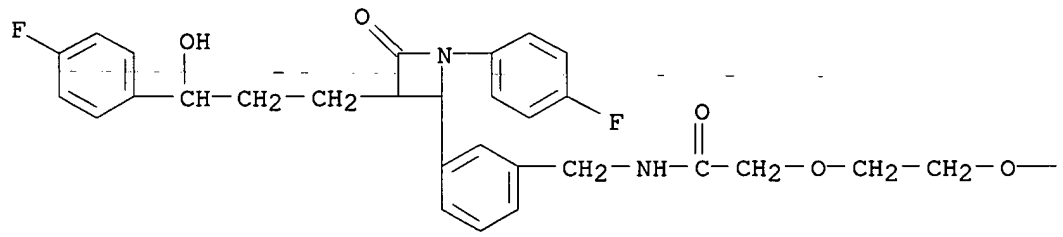


RN 439114-03-1 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

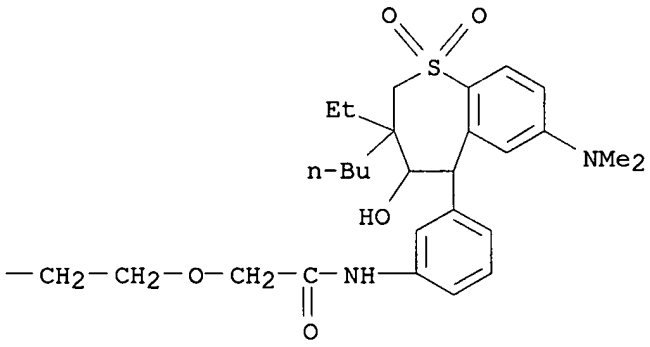
CM 1

CRN 439114-02-0
CMF C57 H68 F2 N4 O10 S

PAGE 1-A



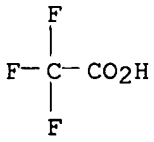
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2

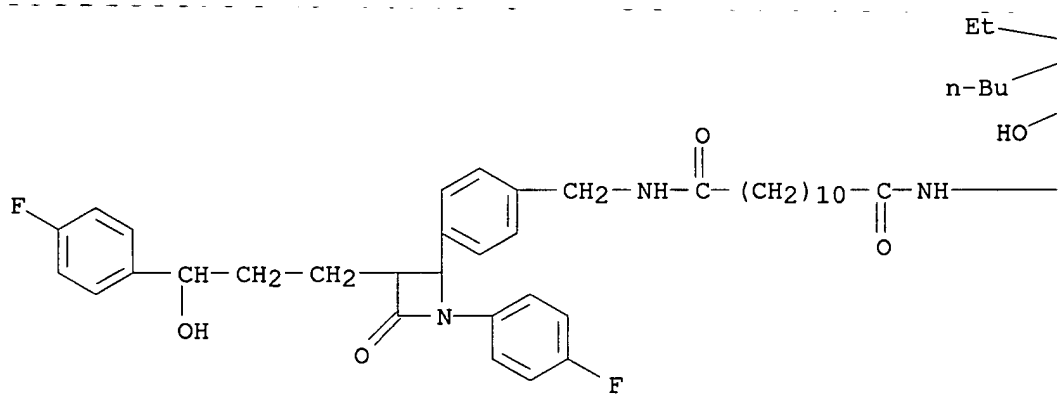


RN 439114-06-4 CAPLUS
CN Dodecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

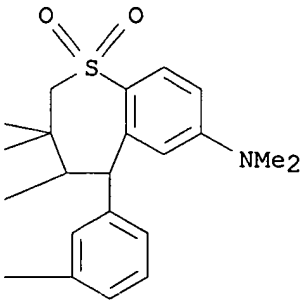
CM 1

CRN 439114-05-3
CMF C61 H76 F2 N4 O7 S

PAGE 1-A

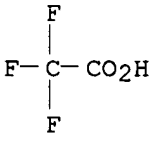


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

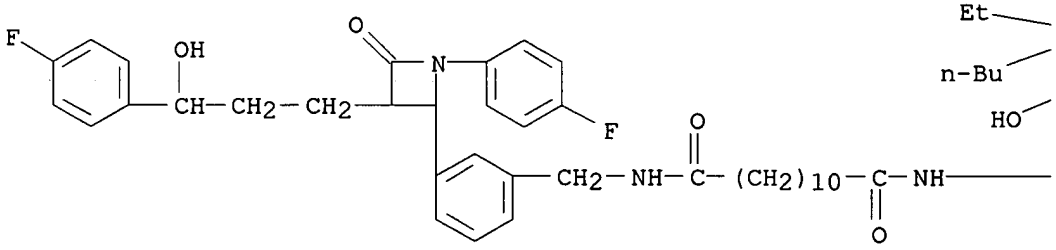


RN 439114-08-6 CAPLUS
CN Dodecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

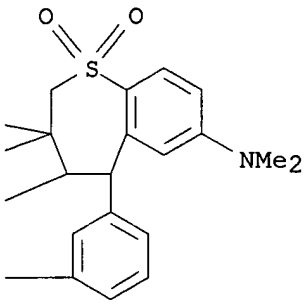
CM 1

CRN 439114-07-5
CMF C61 H76 F2 N4 O7 S

PAGE 1-A

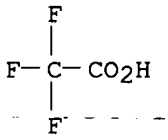


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

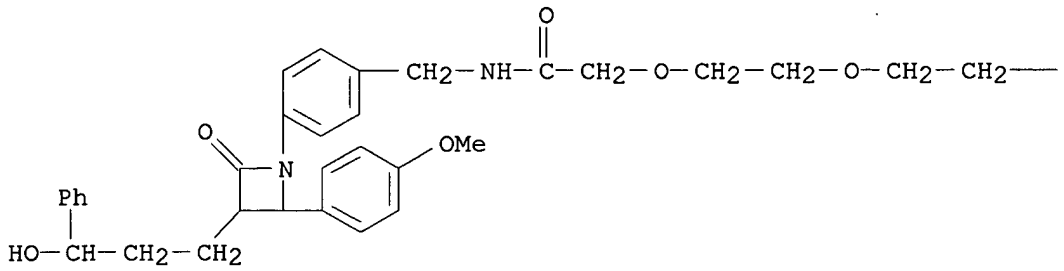


RN 439114-11-1 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

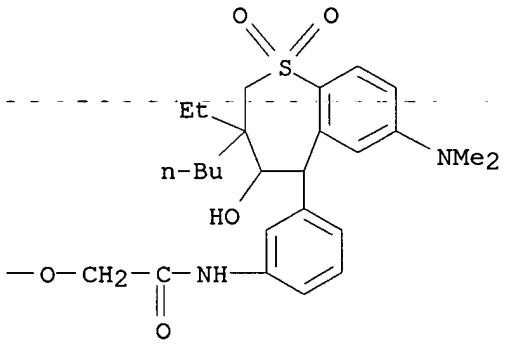
CM 1

CRN 439114-10-0
CMF C58 H72 N4 O11 S

PAGE 1-A

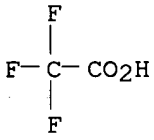


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2



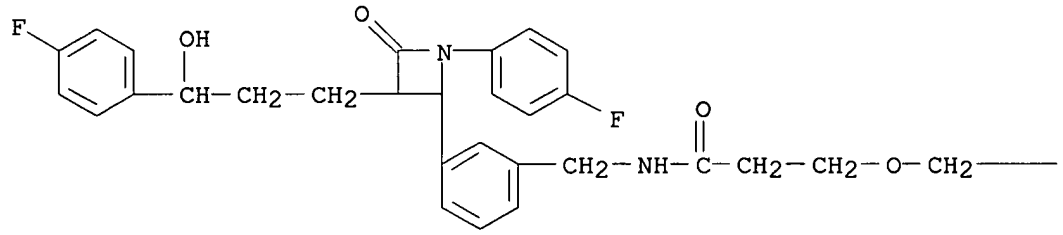
RN 439114-16-6 CAPLUS
CN 4,7,10,13,16-Pentaoxanonadecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX

NAME)

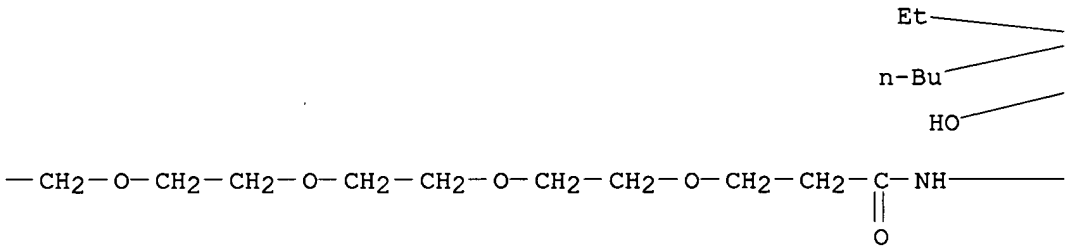
CM 1

CRN 439114-15-5
CMF C63 H80 F2 N4 O12 S

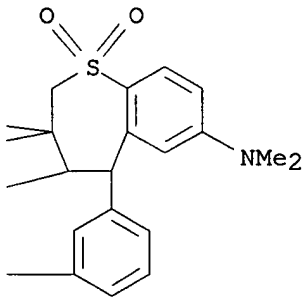
PAGE 1-A



PAGE 1-B

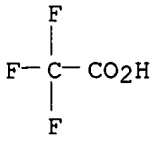


PAGE 1-C



CM 2

CRN 76-05-1
CMF C2 H F3 O2

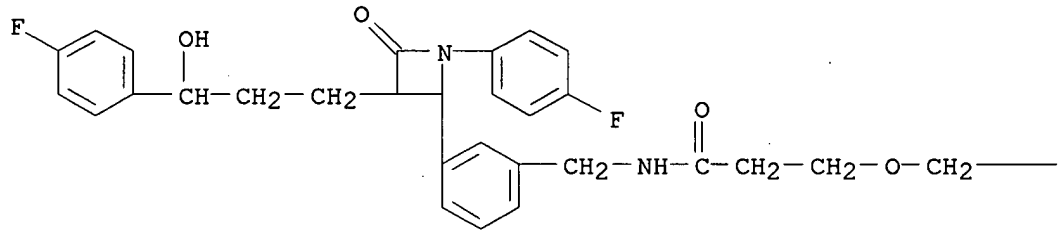


RN 439114-20-2 CAPLUS
CN 4,7,10,13,16,19,22-Heptaoxapentacosanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

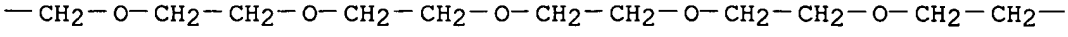
CM 1

CRN 439114-19-9
CMF C67 H88 F2 N4 O14 S

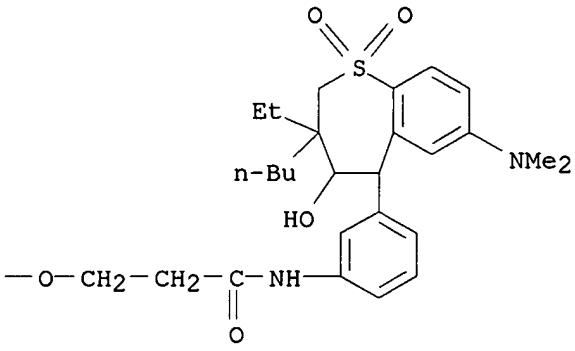
PAGE 1-A



PAGE 1-B

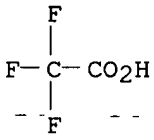


PAGE 1-C



CM 2

CRN 76-05-1
CMF C2 H F3 O2

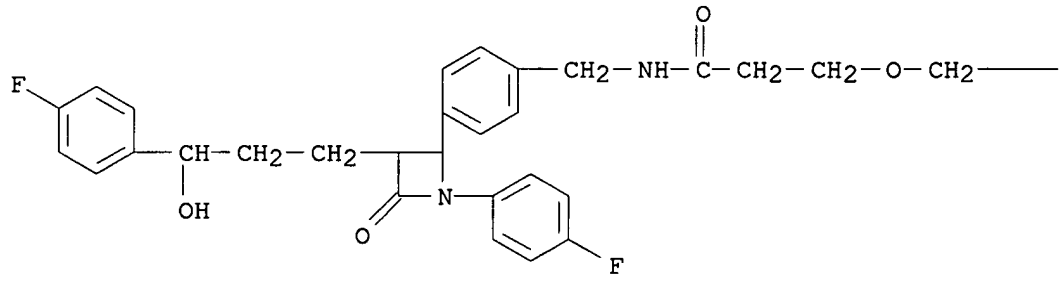


RN 439114-22-4 CAPLUS
CN 4,7,10,13,16-Pentaoxanonadecanediamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-N'-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

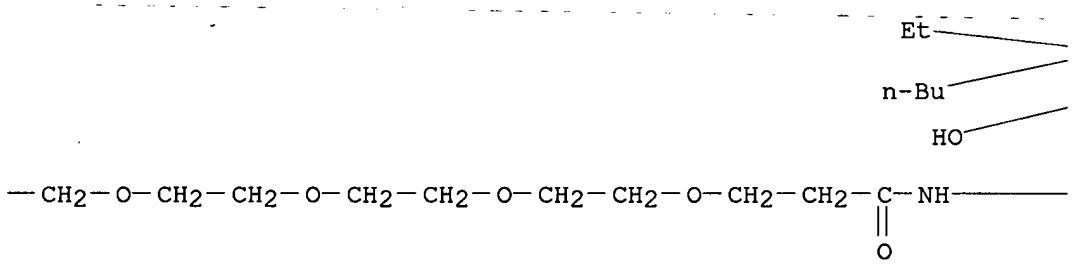
CM 1

CRN 439114-21-3
CMF C63 H80 F2 N4 O12 S

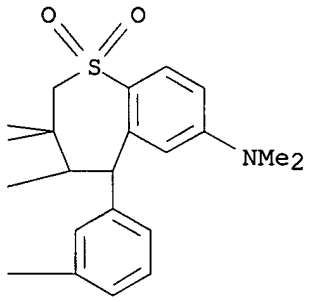
PAGE 1-A



PAGE 1-B



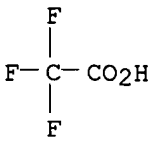
PAGE 1-C



CM 2

CRN 76-05-1

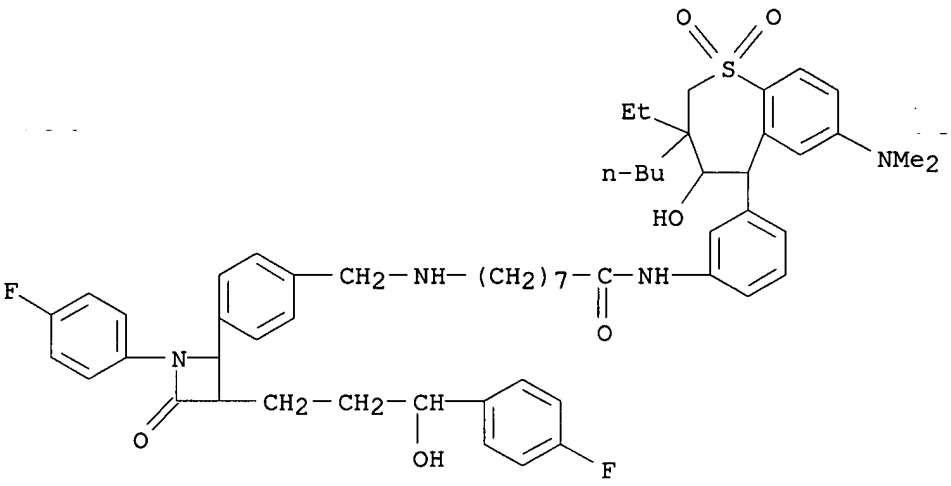
CMF C2 H F3 O2



RN 439114-26-8 CAPLUS
CN Octanamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-8-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

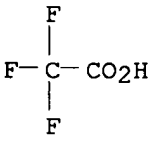
CM 1

CRN 439114-25-7
CMF C57 H70 F2 N4 O6 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



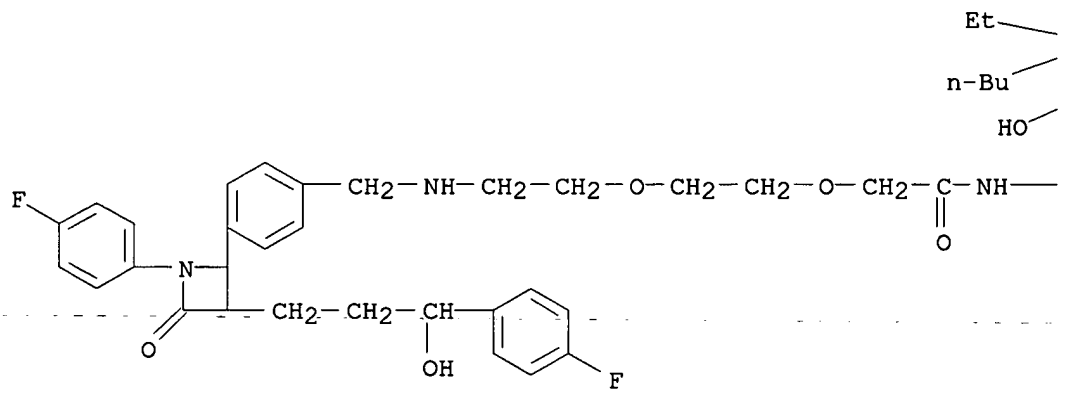
RN 439114-29-1 CAPLUS

CN Acetamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-2-[2-[2-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]ethoxy]ethoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

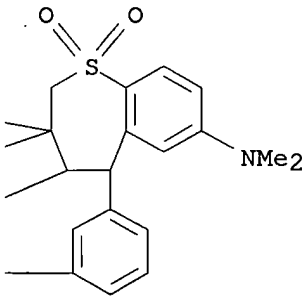
CM 1

CRN 439114-28-0
CMF C55 H66 F2 N4 O8 S

PAGE 1-A

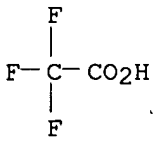


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

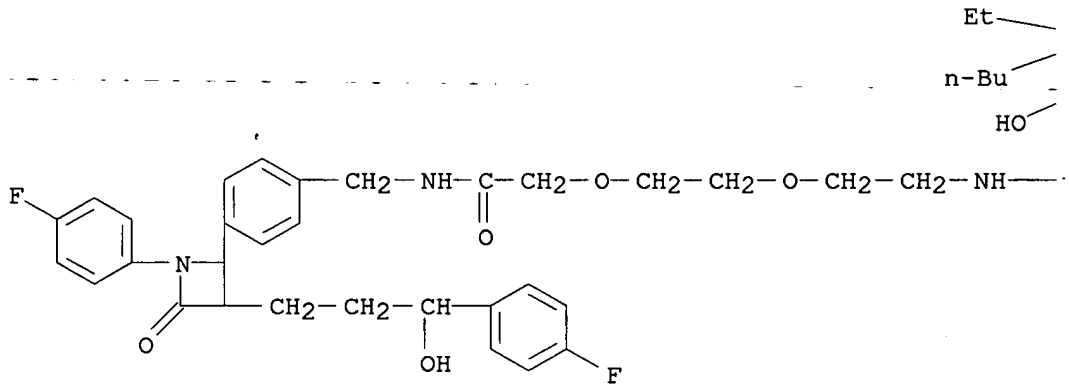


RN 439114-36-0 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]ethoxy]ethoxy]-N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

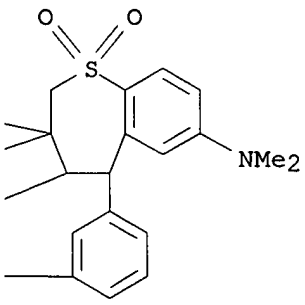
CM 1

CRN 439114-35-9
CMF C55 H66 F2 N4 O8 S

PAGE 1-A

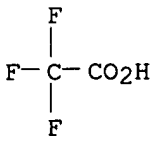


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

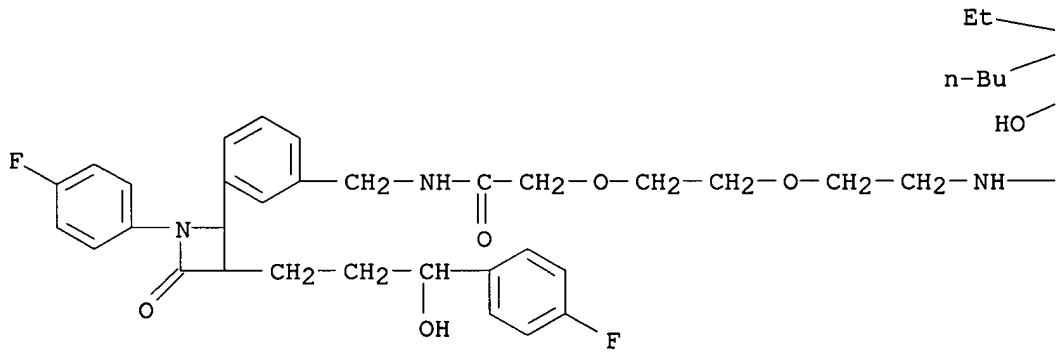


RN 439114-38-2 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]ethoxy]ethoxy]-N-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

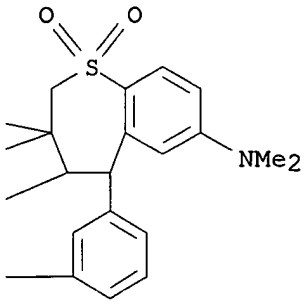
CM 1

CRN 439114-37-1
CMF C55 H66 F2 N4 O8 S

PAGE 1-A

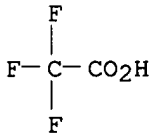


PAGE 1-B



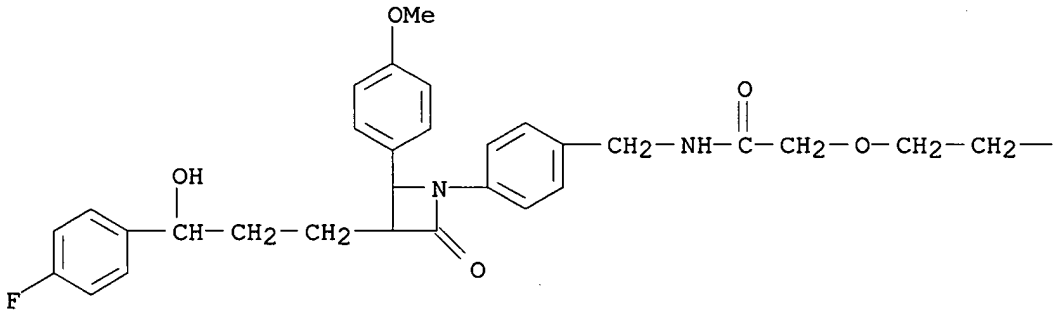
CM 2

CRN 76-05-1
CMF C2 H F3 O2

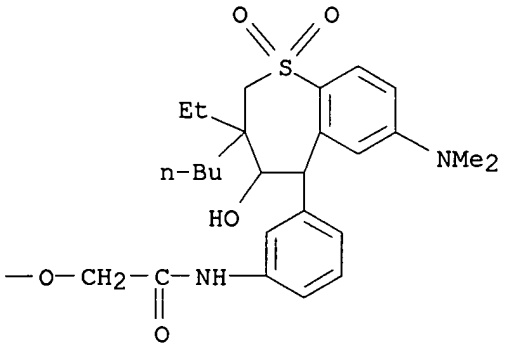


RN 439114-39-3 CAPLUS
CN Acetamide, 2-[2-[2-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]amino]-2-oxoethoxy]ethoxy]-N-[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

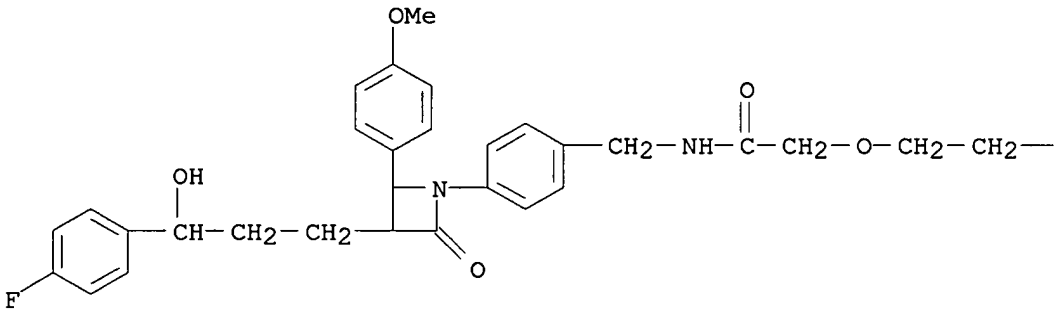


PAGE 1-B

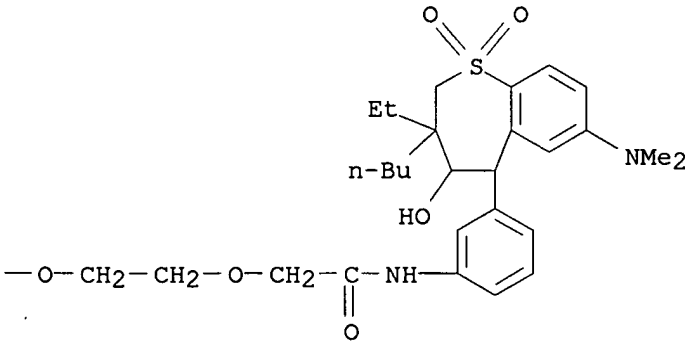


RN 439114-40-6 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-1-[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

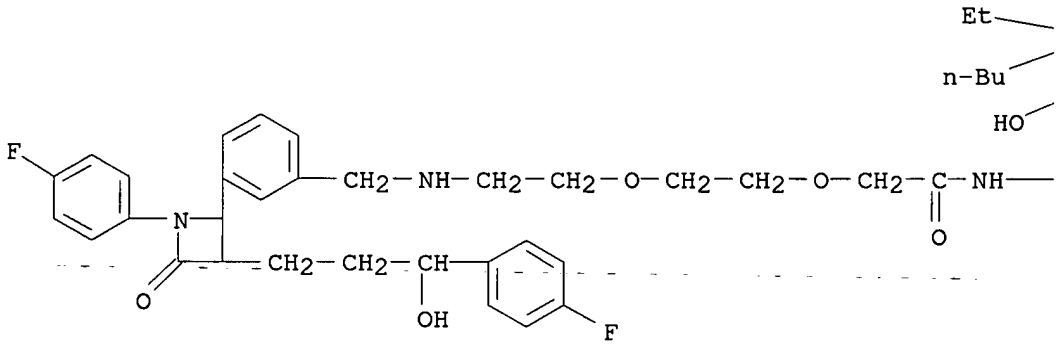


RN 439120-25-9 CAPLUS
CN Acetamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1-benzothiepin-5-yl]phenyl]-2-[2-[2-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]ethoxy]ethoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

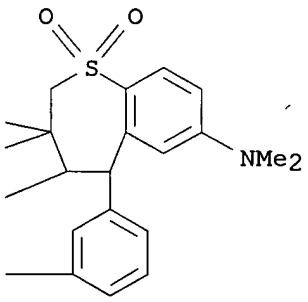
CM 1

CRN 439120-24-8
CMF C55 H66 F2 N4 O8 S

PAGE 1-A

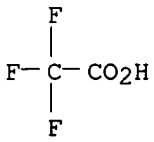


PAGE 1-B

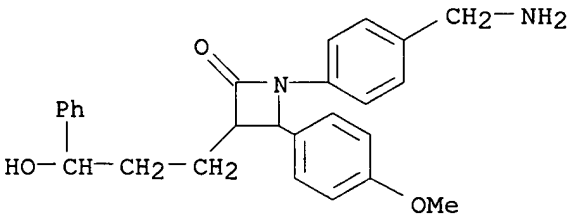


CM 2

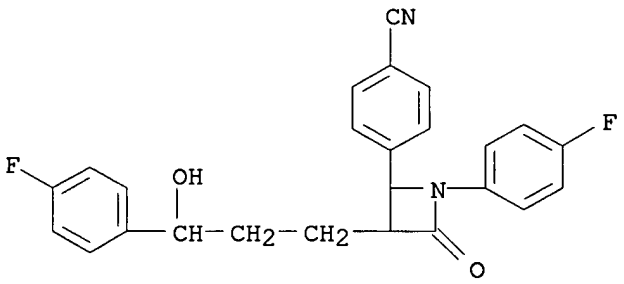
CRN 76-05-1
CMF C2 H F3 O2



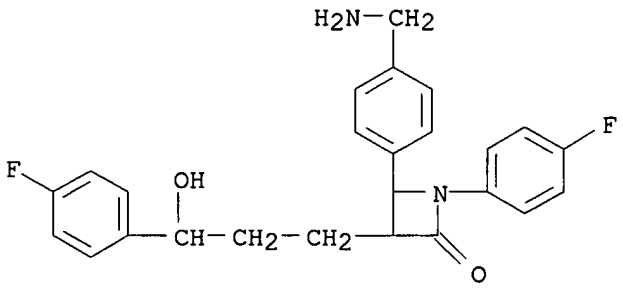
IT **402820-38-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylazetidinone derivs. as hypolipidemics)
RN 402820-38-6 CAPLUS
CN 2-Azetidinone, 1-[4-(aminomethyl)phenyl]-3-(3-hydroxy-3-phenylpropyl)-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



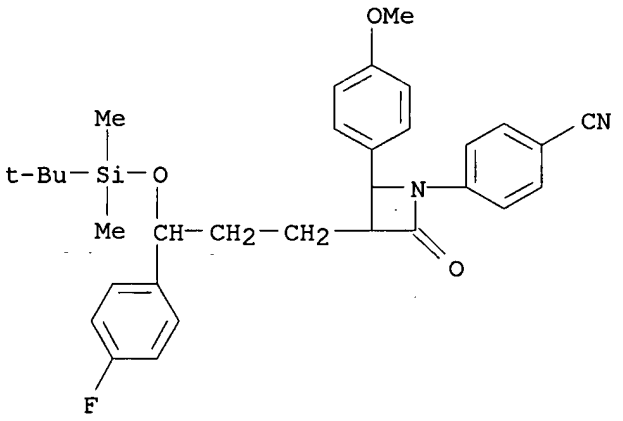
IT **439080-20-3P 439080-21-4P 439080-60-1P**
439080-61-2P 439080-62-3P 439113-86-7P
439113-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diphenylazetidinone derivs. as hypolipidemics)
RN 439080-20-3 CAPLUS
CN Benzonitrile, 4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]- (9CI) (CA INDEX NAME)



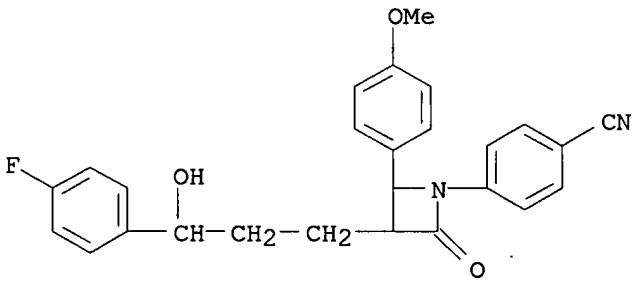
RN 439080-21-4 CAPLUS
CN 2-Azetidinone, 4-[4-(aminomethyl)phenyl]-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]- (9CI) (CA INDEX NAME)



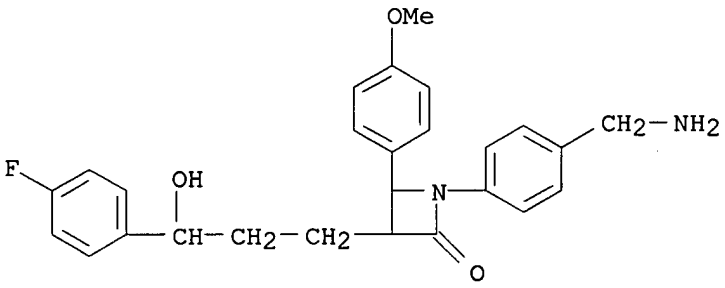
RN 439080-60-1 CAPLUS
CN Benzonitrile, 4-[3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)



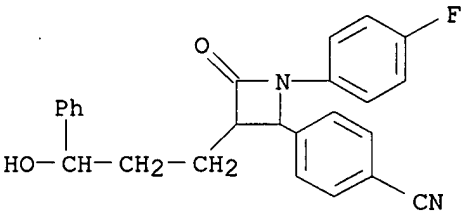
RN 439080-61-2 CAPLUS
CN Benzonitrile, 4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)



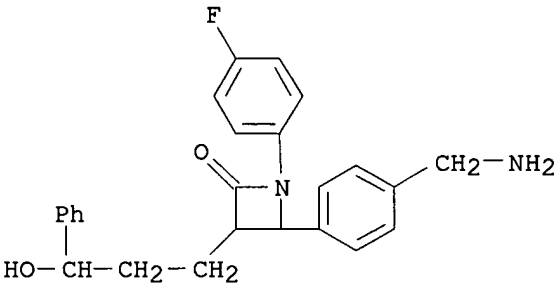
RN 439080-62-3 CAPLUS
CN 2-Azetidinone, 1-[4-(aminomethyl)phenyl]-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 439113-86-7 CAPLUS
CN Benzonitrile, 4-[1-(4-fluorophenyl)-3-(3-hydroxy-3-phenylpropyl)-4-oxo-2-azetidinyl]- (9CI) (CA INDEX NAME)



RN 439113-87-8 CAPLUS
CN 2-Azetidinone, 4-[4-(aminomethyl)phenyl]-1-(4-fluorophenyl)-3-(3-hydroxy-3-phenylpropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487551 CAPLUS
DOCUMENT NUMBER: 137:63114
TITLE: Preparation of diphenylazetidinone derivatives and their use as hypolipidemic agents
INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
PATENT ASSIGNEE(S): Avantis Pharma Deutschland Gmbh, Germany
SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050060	A1	20020627	WO 2001-EP14533	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064402	A1	20020627	DE 2000-10064402	20001221
AU 2002031688	A5	20020701	AU 2002-31688	20011211
US 2002128253	A1	20020912	US 2001-21044	20011219

PRIORITY APPLN. INFO.: DE 2000-10064402 A 20001221
DE 2001-10154518 A 20011107
WO 2001-EP14533 W 20011211
OTHER SOURCE(S): MARPAT 137:63114
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention discloses preparation of diphenylazetidinone derivs. such as I [R1, R2, R3, R4, R5, R6 = C0-C30-alkylene-L {optionally containing O, CO, CH:CH, C.tplbond.C, N(alkyl), N(alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(alkyl), CONH2, CONH(alkyl), CON(alkyl)2, alkyl, alkenyl, alkynyl, O-alkyl, SO2NH2, SO2NH(alkyl) SO2N(alkyl)2, S-(alkyl), SO(alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(alkyl), SO2(CH2)nPh, NH2, NH(alkyl), N(alkyl)2, NH(acyl), (un)substituted Ph, O(CH2)nPh; n = 0-6; L = II; Rx, Ry, Rz = H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(alkyl), CONH2, O-alkyl], and their physiol. acceptable salts, for their use as hypolipidemic agents. Thus, 1,2-diphenylazetidinone derivative III·trifluoroacetate was prepared from 4-(3-aminomethylphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]azetidinone via N-acylation with 11-{2-[3-hydroxy-3-phenyl-2-pyridin-2-yl-1-(pyridin-2-ylamino)propyl]-phenylcarbamoyl}-undecanoic acid. Azetidinone III was tested for its cholesterol lowering ability [ED50 = 0.003 mg/mouse].

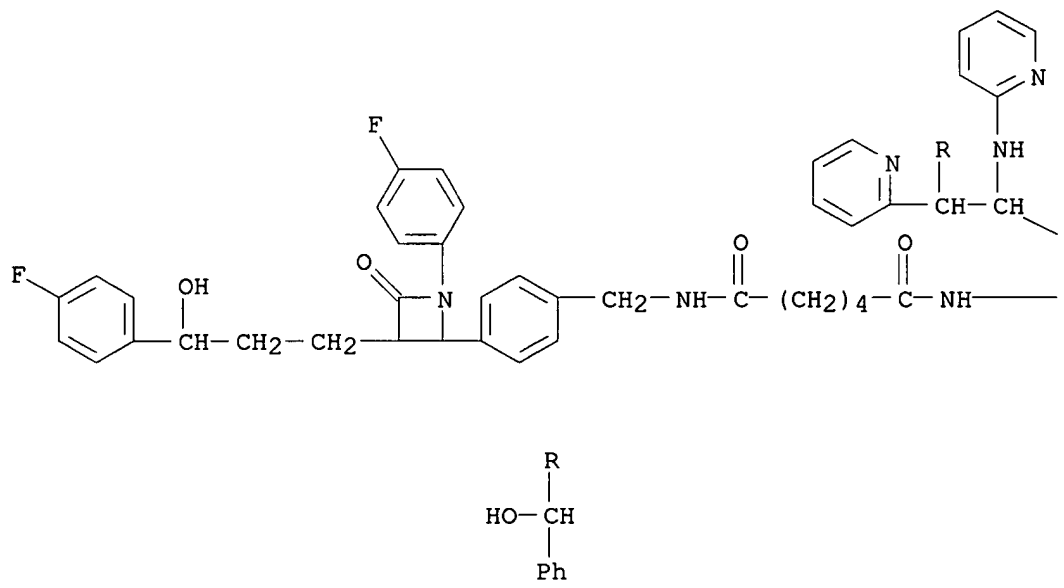
IT **439090-76-3P 439090-79-6P 439090-81-0P**
439090-84-3P 439090-86-5P 439090-89-8P
439090-91-2P 439090-95-6P 439090-97-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diphenylazetidinone derivs. as hypolipidemics)

RN 439090-76-3 CAPLUS

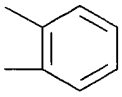
CN Hexanediamide, N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-N'-[2-[3-hydroxy-3-phenyl-

2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

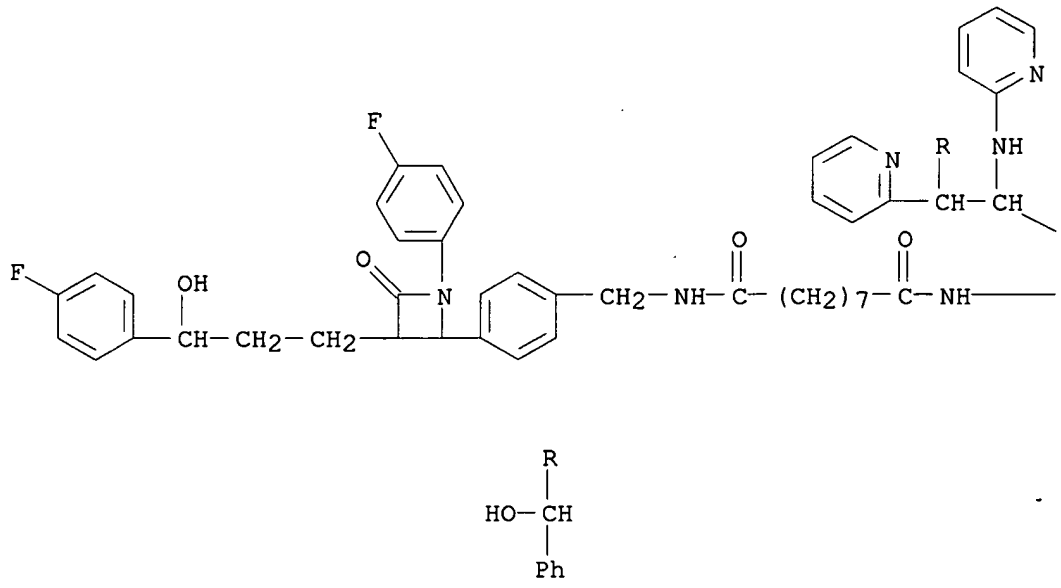


RN 439090-79-6 CAPLUS
CN Nonanediamide, N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-N'-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

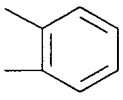
CM 1

CRN 439090-78-5
CMF C59 H60 F2 N6 O5

PAGE 1-A

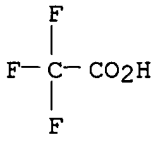


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2



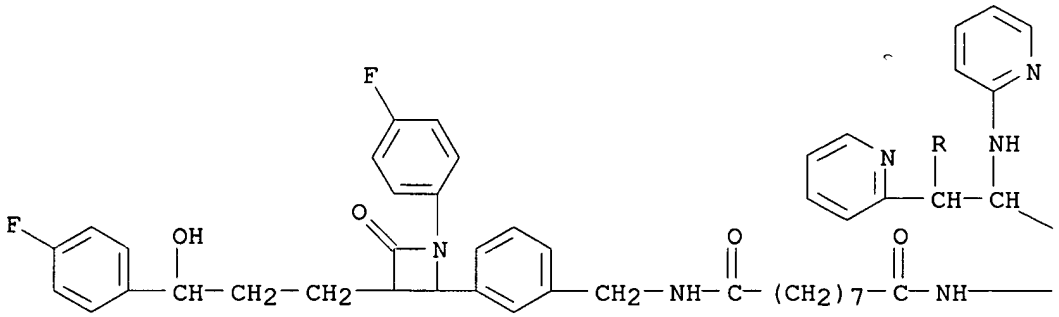
RN 439090-81-0 CAPLUS

CN Nonanediamide, N-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-N'-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

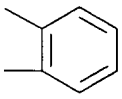
CM 1

CRN 439090-80-9
CMF C59 H60 F2 N6 O5

PAGE 1-A

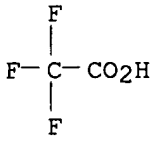


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

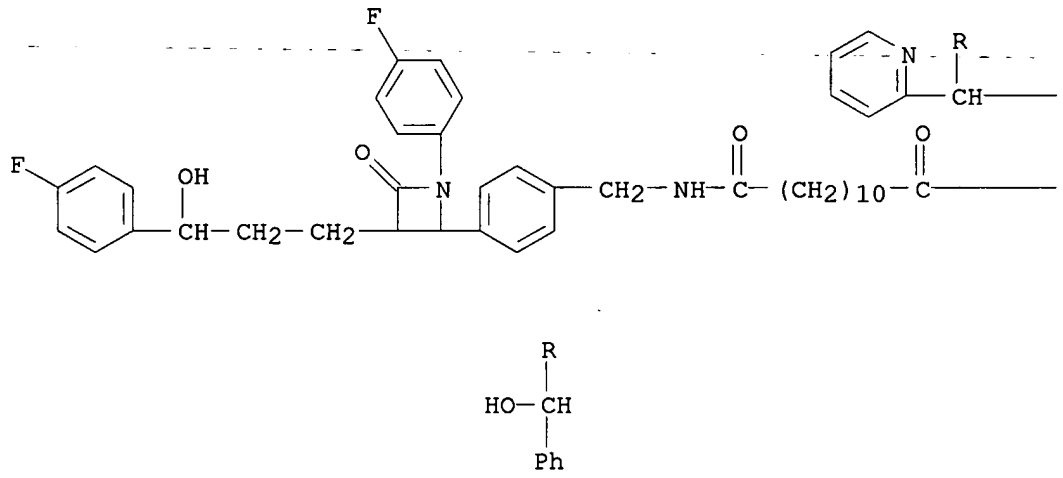


RN 439090-84-3 CAPLUS
CN Dodecanediamide, N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-N'-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

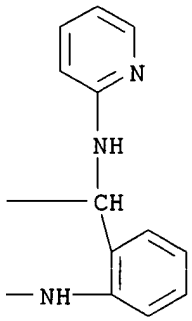
CM 1

CRN 439090-83-2
CMF C62 H66 F2 N6 O5

PAGE 1-A

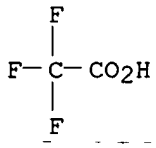


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

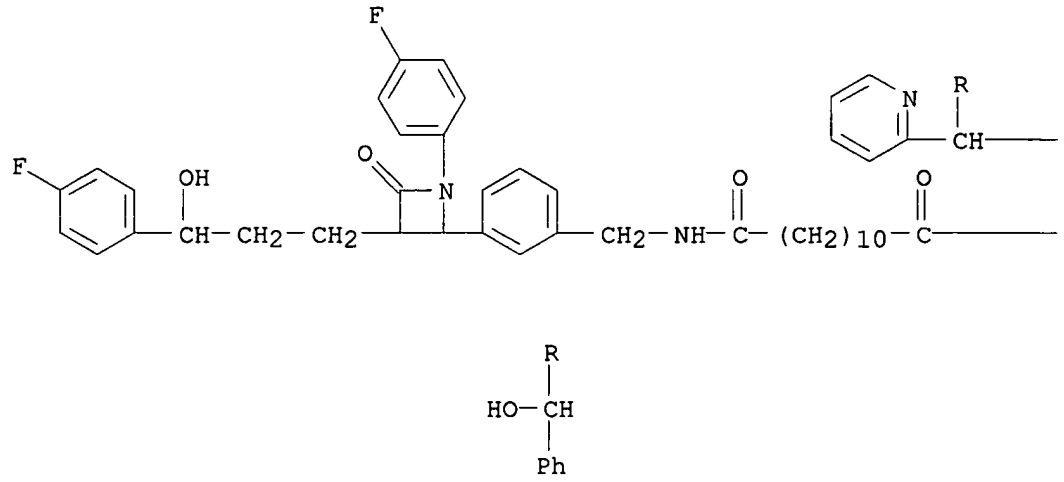


RN 439090-86-5 CAPLUS
CN Dodecanediamide, N-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]-N'-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

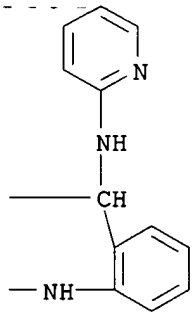
CM 1

CRN 439090-85-4
CMF C62 H66 F2 N6 O5

PAGE 1-A

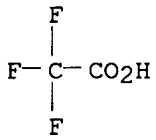


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2



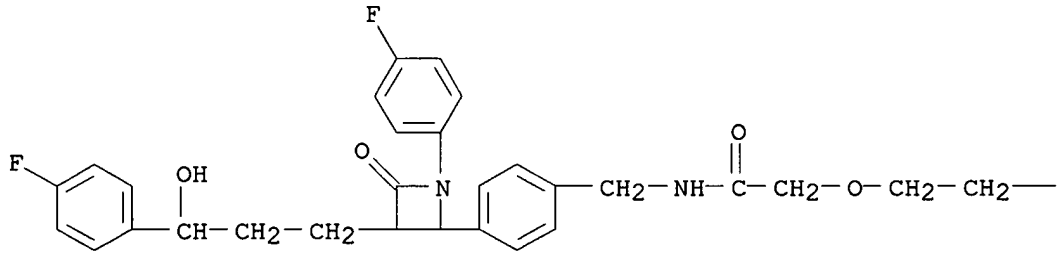
RN 439090-89-8 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, 1-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-N-[2-[3-hydroxy-

3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-3-oxo-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

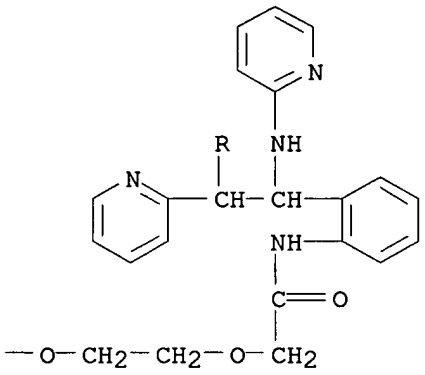
CM 1

CRN 439090-88-7
CMF C58 H58 F2 N6 O8

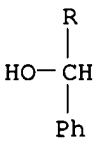
PAGE 1-A



PAGE 1-B

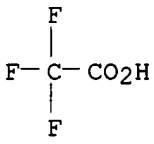


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2

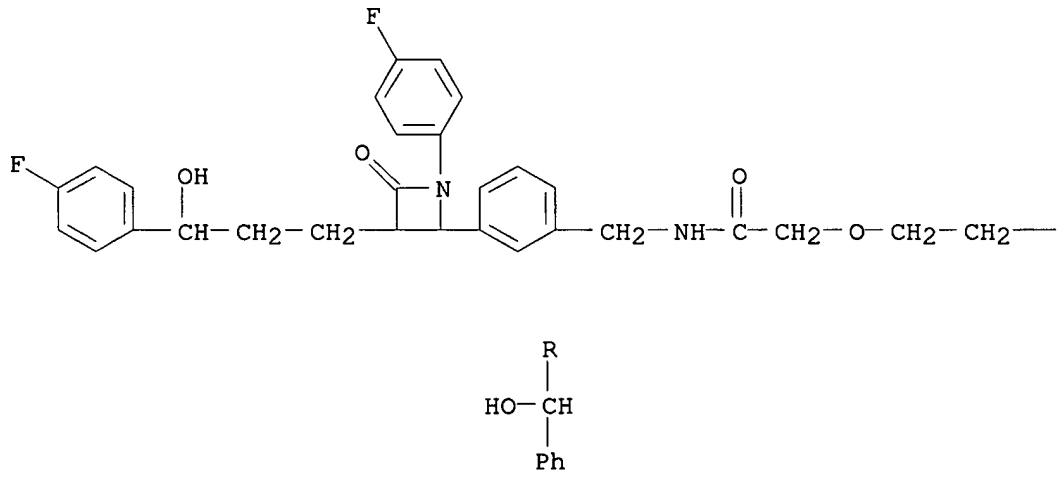


RN 439090-91-2 CAPLUS
CN 5,8,11-Trioxa-2-azatridecan-13-amide, 1-[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-N-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-3-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

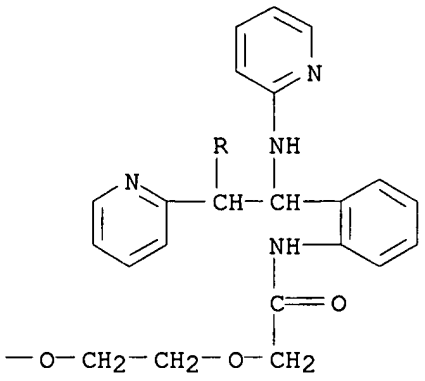
CM 1

CRN 439090-90-1
CMF C58 H58 F2 N6 O8

PAGE 1-A

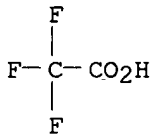


PAGE 1-B



CM 2

CRN 76-05-1
CMF C2 H F3 O2

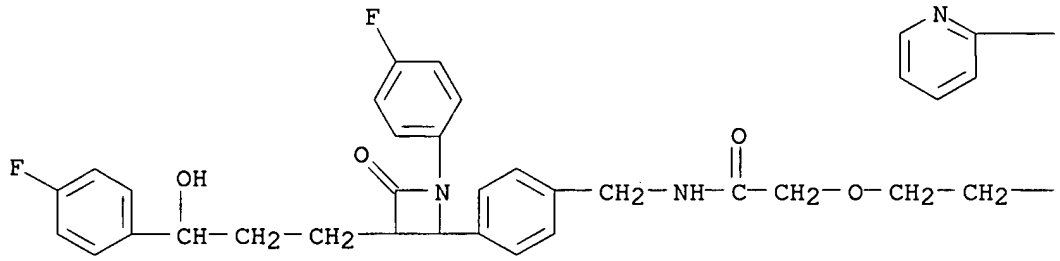


RN 439090-95-6 CAPLUS
CN Acetamide, 2-[2-[2-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-2-oxoethoxy]ethoxy]-N-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

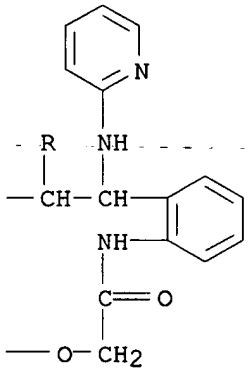
CM 1

CRN 439090-94-5
CMF C56 H54 F2 N6 O7

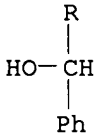
PAGE 1-A



PAGE 1-B

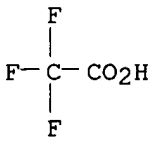


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2

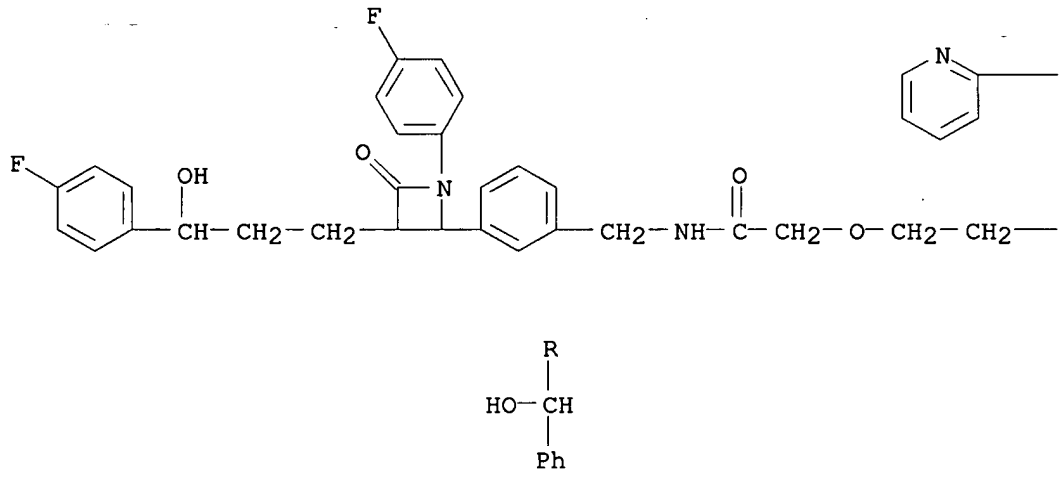


RN 439090-97-8 CAPLUS
CN Acetamide, 2-[2-[2-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-2-oxoethoxy]ethoxy]-N-[2-[3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

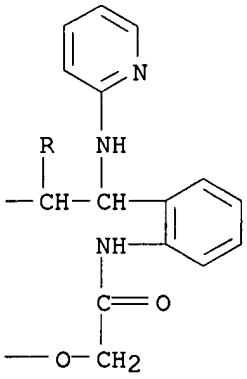
CM 1

CRN 439090-96-7
CMF C56 H54 F2 N6 O7

PAGE 1-A

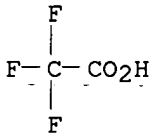


PAGE 1-B

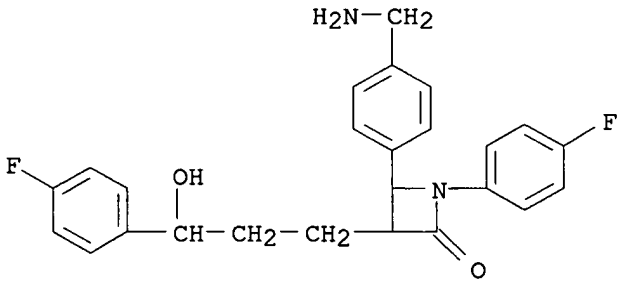


CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **439080-21-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylazetidinone derivs. as hypolipidemics)
RN 439080-21-4 CAPLUS
CN 2-Azetidinone, 4-[4-(aminomethyl)phenyl]-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487523 CAPLUS
DOCUMENT NUMBER: 137:63113

TITLE: Method for producing novel 1,2-diphenylazetidinones, medicaments containing them, and their use for treating disorders of lipid metabolism

INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie; Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard; Lindenschmidt, Andreas; Schaefer, Hans-Ludwig

PATENT ASSIGNEE(S): Aventis Pharma Deutschland Gmbh, Germany

SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050027	A1	20020627	WO 2001-EP14531	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10064398	A1	20020627	DE 2000-10064398	20001221
DE 10152981	A1	20030508	DE 2001-10152981	20011026
AU 2002016097	A5	20020701	AU 2002-16097	20011211
US 2002137689	A1	20020926	US 2001-21502	20011219

PRIORITY APPLN. INFO.:
DE 2000-10064398 A 20001221
DE 2001-10152981 A 20011026
WO 2001-EP14531 W 20011211

OTHER SOURCE(S): CASREACT 137:63113; MARPAT 137:63113

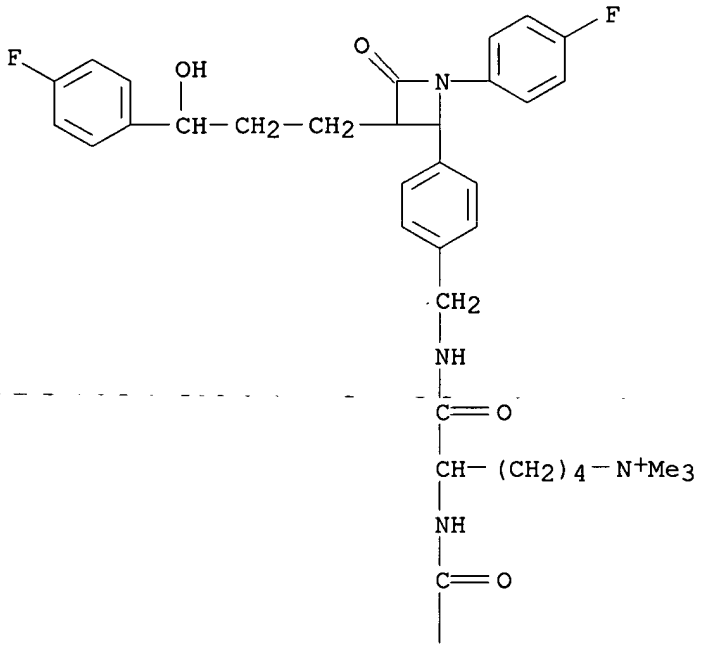
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

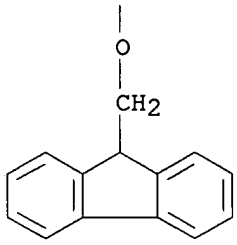
AB The invention relates to the compds. I [R1, R2, R3, R4, R5, R6 = C0-30-alkylene-LAG {optionally containing O, CO, CH:CH, C.tplbond.C, N(C1-6-alkyl), N(C1-6-alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(C1-6-alkyl), CONH, CONH(C1-6-alkyl), CON(C1-6-alkyl)2, C1-6-alkyl, C1-6-alkenyl, C1-6-alkynyl, O-(C1-6-alkyl), SO2NH2, SO2NH(C1-6-alkyl) SO2N(C1-6-alkyl)2, S-(C1-6-alkyl), SO(C1-6-alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(C1-6-alkyl), SO2(CH2)nPh, NH2, NH(C1-6-alkyl), N(C1-6-alkyl)2, NH(C1-6-acyl), (un)sunstituted Ph, O(CH2)nPh; LAG = sugar residue, di-, tri-, tetrasaccharide, carbohydrate acid, amino sugar, amino acid, oligopeptide (2 - 9 residues), (trialkylammonium)alkyl, OSO3H] and to their physiol. acceptable salts, suitable, for example, as hypolipidemics. Thus, 1,2-diphenylazetidinone II [R10 = CO(CH2)11NHCO(CHOH)4CH2OH] was prepared from (methoxyphenyl)azetidinone II (R10 = H) via N-acylation with 12-[(2,3,4,5,6-pentahydroxyhexanoyl)amino]dodecanoic acid. Azetidinone II was tested for its cholesterol lowering ability [ED50 = 0.003 mg/mouse].

IT **439080-65-6P 439080-91-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)
RN 439080-65-6 CAPLUS
CN 1-Hexanaminium, 5-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-6-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-N,N,N-trimethyl-6-oxo-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A

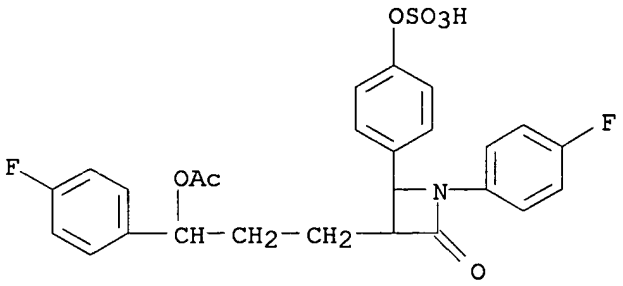


PAGE 2-A



● Cl^-

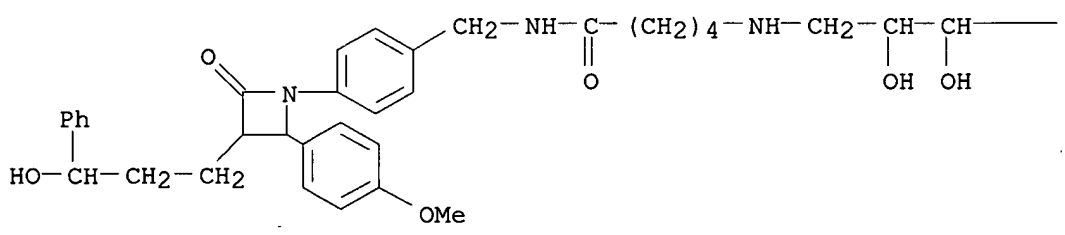
RN 439080-91-8 CAPLUS
CN 2-Azetidinone, 3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-(sulfooxy)phenyl]- (9CI) (CA INDEX NAME)



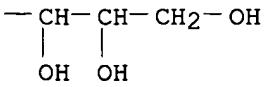
IT **439080-17-8P 439080-18-9P 439080-22-5P**
439080-29-2P 439080-30-5P 439080-32-7P
439080-34-9P 439080-35-0P 439080-37-2P
439080-38-3P 439080-45-2P 439080-46-3P
439080-47-4P 439080-48-5P 439080-50-9P
439080-52-1P 439080-54-3P 439080-56-5P
439080-63-4P 439080-64-5P 439080-66-7P
439080-72-5P 439080-74-7P 439080-76-9P
439080-78-1P 439080-80-5P 439080-82-7P
439080-84-9P 439080-86-1P 439080-89-4P
439080-90-7P 439080-92-9P 439080-93-0P
439080-94-1P 439080-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

RN 439080-17-8 CAPLUS
CN Hexitol, 1-deoxy-1-[[5-[[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-5-oxopentyl]amino]- (9CI) (CA INDEX NAME)

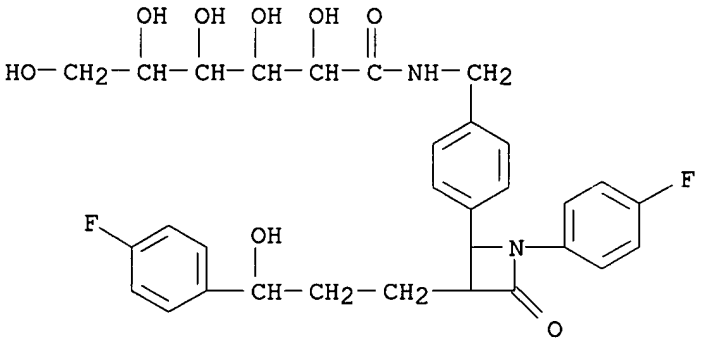
PAGE 1-A



PAGE 1-B

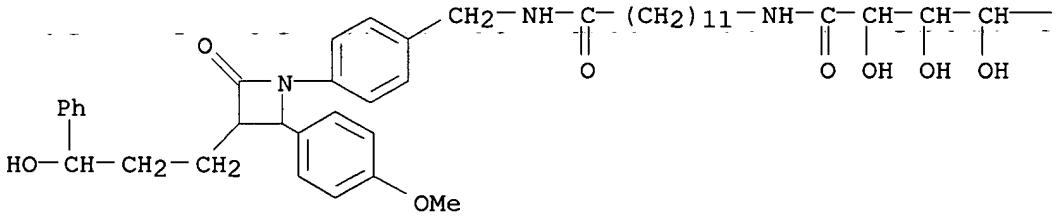


RN 439080-18-9 CAPLUS
CN Hexonamide, N-[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

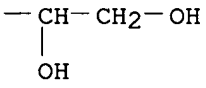


RN 439080-22-5 CAPLUS
CN Hexonamide, N-[12-[[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-12-oxododecyl]- (9CI) (CA INDEX NAME)

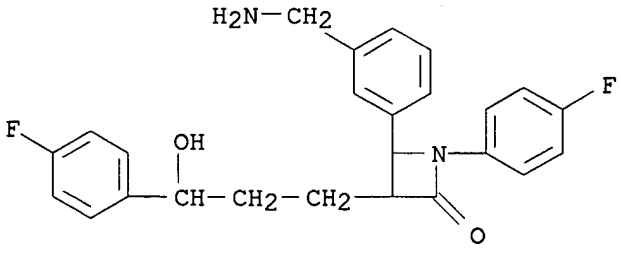
PAGE 1-A



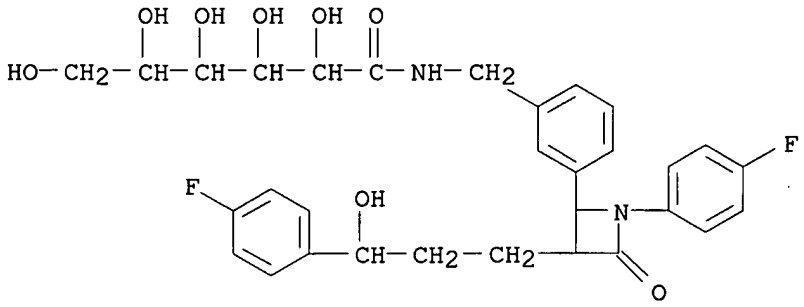
PAGE 1-B



RN 439080-29-2 CAPLUS
CN 2-Azetidinone, 4-[3-(aminomethyl)phenyl]-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]- (9CI) (CA INDEX NAME)



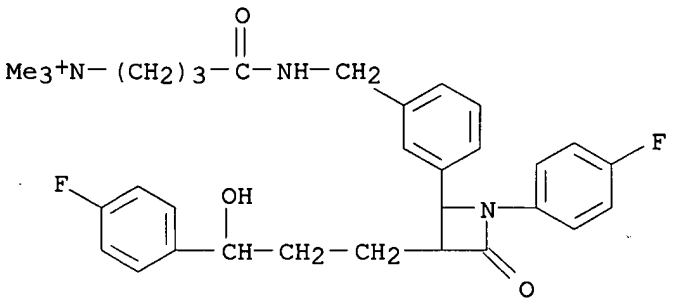
RN 439080-30-5 CAPLUS
CN Hexonamide, N-[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 439080-32-7 CAPLUS
CN 1-Butanaminium, 4-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-N,N,N-trimethyl-4-oxo-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

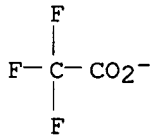
CM 1

CRN 439080-31-6
CMF C32 H38 F2 N3 O3



CM 2

CRN 14477-72-6
CMF C2 F3 O2

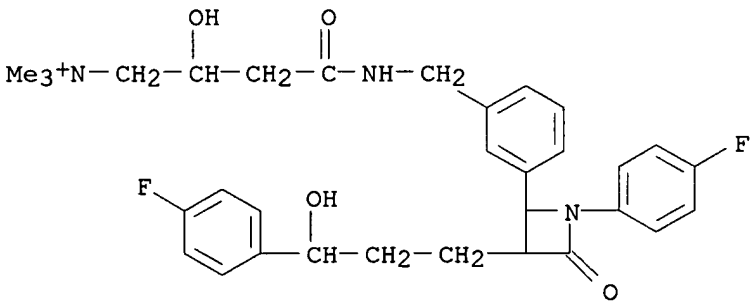


RN 439080-34-9 CAPLUS

CN 1-Butanaminium, 4-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-2-hydroxy-N,N,N-trimethyl-4-oxo-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

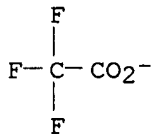
CM 1

CRN 439080-33-8
CMF C32 H38 F2 N3 O4

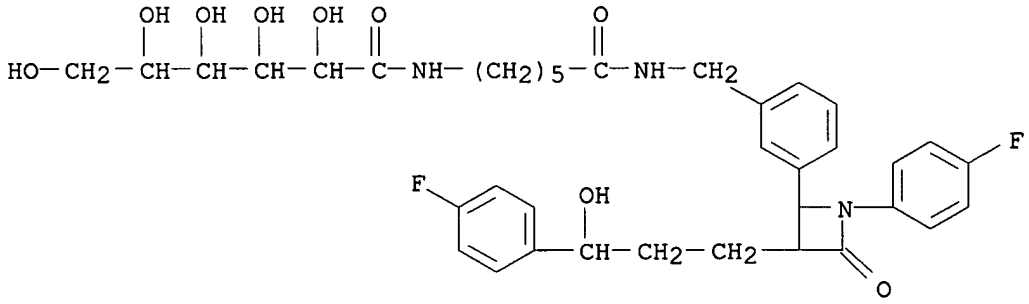


CM 2

CRN 14477-72-6
CMF C2 F3 O2

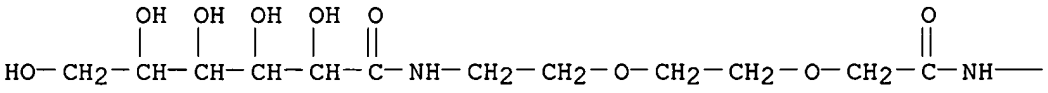


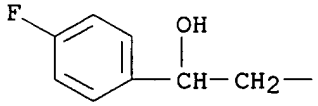
RN 439080-35-0 CAPLUS
CN Hexonamide, N-[6-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-6-oxohexyl]- (9CI) (CA INDEX NAME)



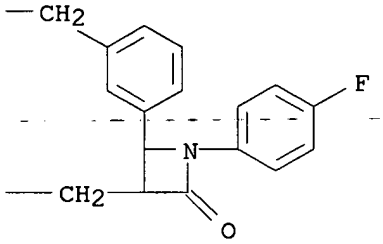
RN 439080-37-2 CAPLUS
CN Hexonamide, N-[2-[2-[2-[[[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-2-oxoethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



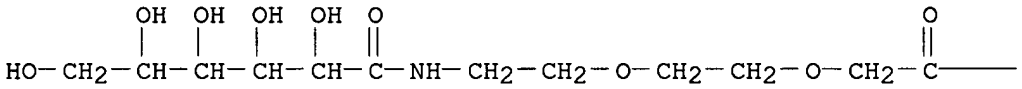


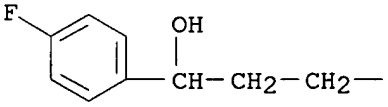
PAGE 1-B



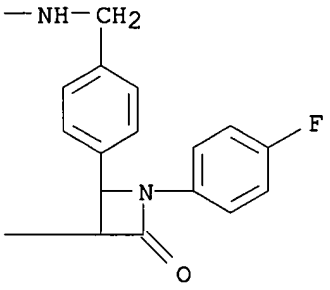
RN 439080-38-3 CAPLUS
CN Hexonamide, N-[2-[2-[2-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-2-oxoethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



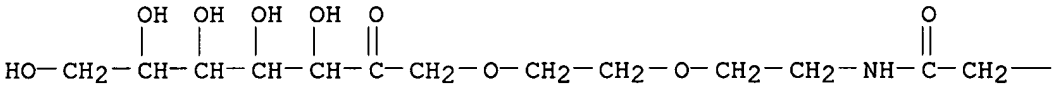


PAGE 1-B

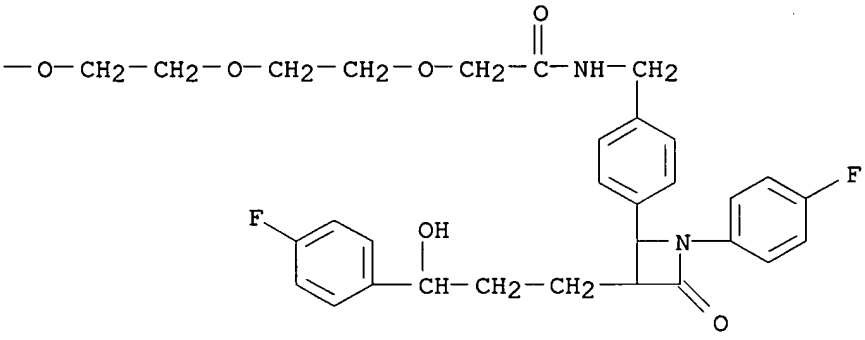


RN 439080-45-2 CAPLUS
CN 2-Heptulose, 1-O-[19-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-7,17-dioxo-3,9,12,15-tetraoxa-6,18-diazanonadec-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

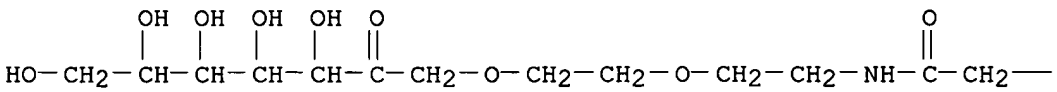


PAGE 1-B

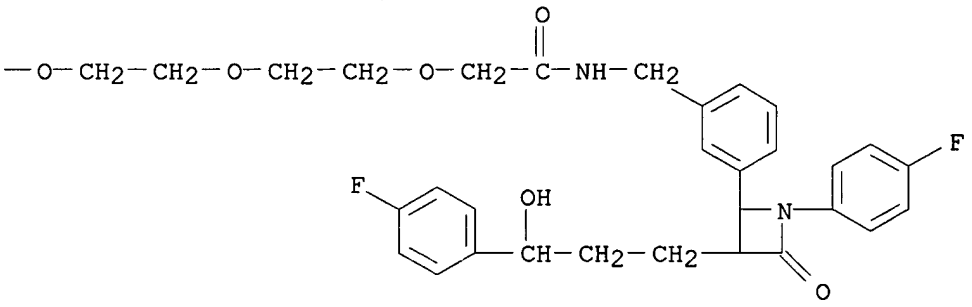


RN 439080-46-3 CAPLUS
CN 2-Heptulose, 1-O-[19-[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-7,17-dioxo-3,9,12,15-tetraoxa-6,18-diazanonadec-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

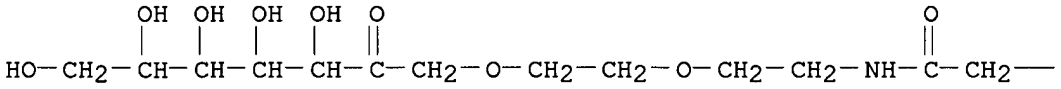


PAGE 1-B

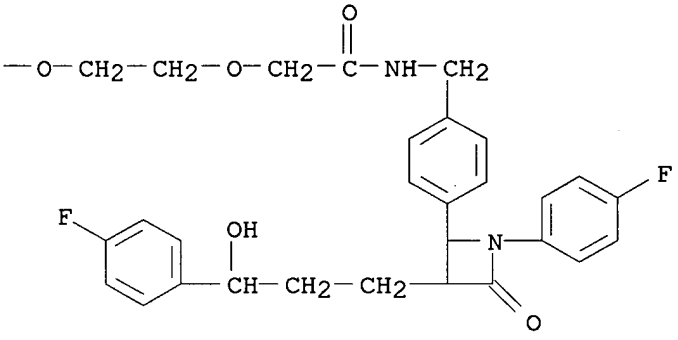


RN 439080-47-4 CAPLUS
CN 2-Heptulose, 1-O-[16-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-7,14-dioxo-3,9,12-trioxa-6,15-diazahexadec-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

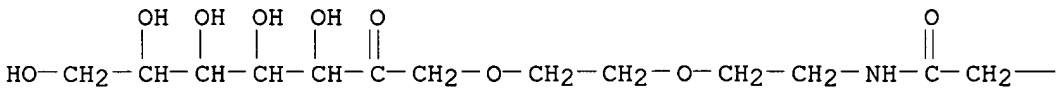


PAGE 1-B

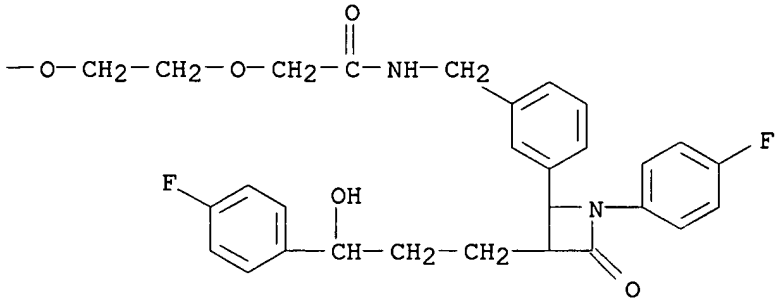


RN 439080-48-5 CAPLUS
CN 2-Heptulose, 1-O-[16-[3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-7,14-dioxo-3,9,12-trioxa-6,15-diazahexadec-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



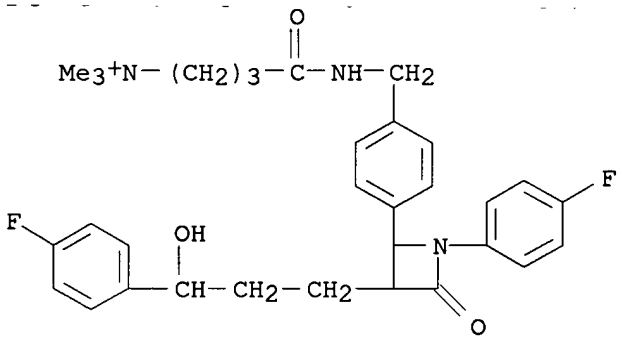
PAGE 1-B



RN 439080-50-9 CAPLUS
CN 1-Butanaminium, 4-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-N,N,N-trimethyl-4-oxo-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

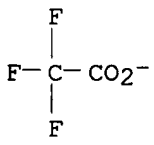
CM 1

CRN 439080-49-6
CMF C32 H38 F2 N3 O3



CM 2

CRN 14477-72-6
CMF C2 F3 O2

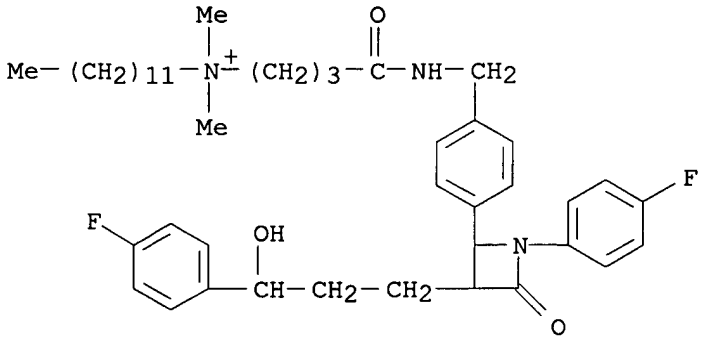


RN 439080-52-1 CAPLUS
CN 1-Dodecanaminium, N-[4-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-

hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-4-oxobutyl]-N,N-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

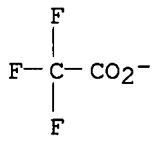
CM 1

CRN 439080-51-0
CMF C43 H60 F2 N3 O3



CM 2

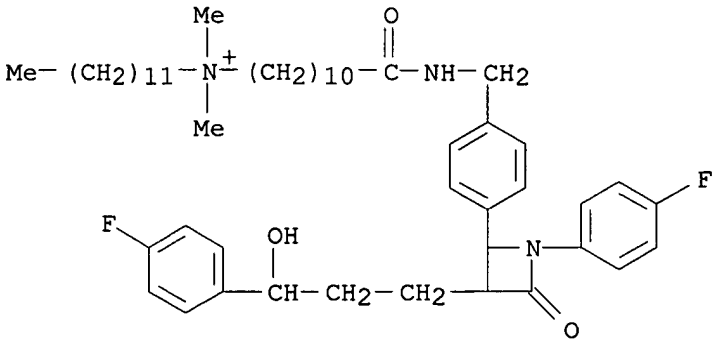
CRN 14477-72-6
CMF C2 F3 O2



RN 439080-54-3 CAPLUS
CN 1-Dodecanaminium, N-[11-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-11-oxoundecyl]-N,N-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

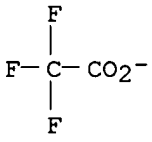
CM 1

CRN 439080-53-2
CMF C50 H74 F2 N3 O3



CM 2

CRN 14477-72-6
CMF C2 F3 O2

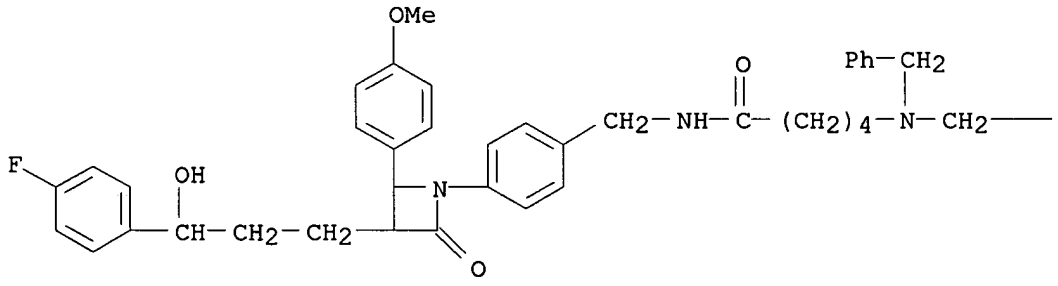


RN 439080-56-5 CAPLUS
CN Hexitol, 1-deoxy-1-[[5-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-5-oxopentyl](phenylmethyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

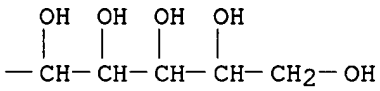
CM 1

CRN 439080-55-4
CMF C44 H54 F N3 O9

PAGE 1-A

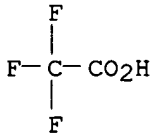


PAGE 1-B

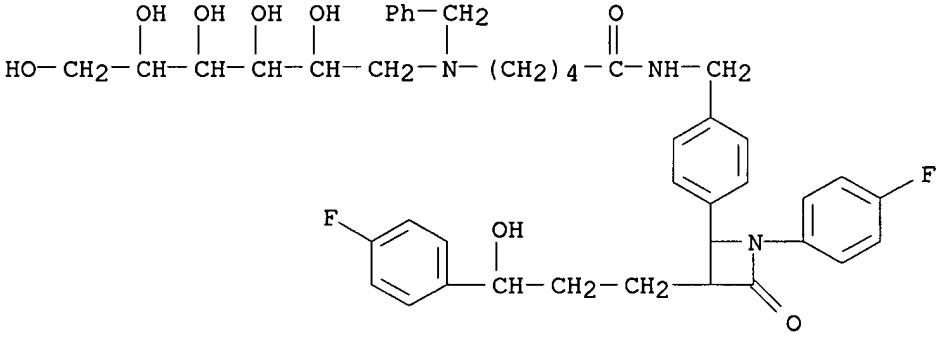


CM 2

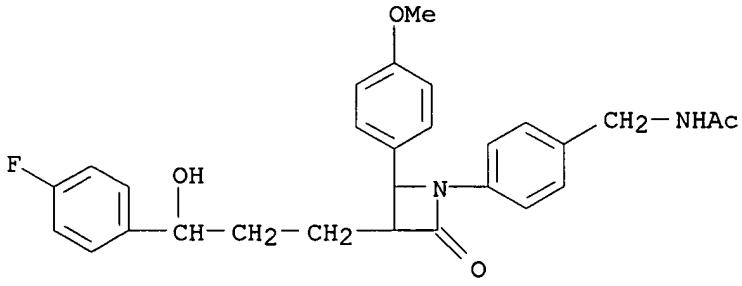
CRN 76-05-1
CMF C2 H F3 O2



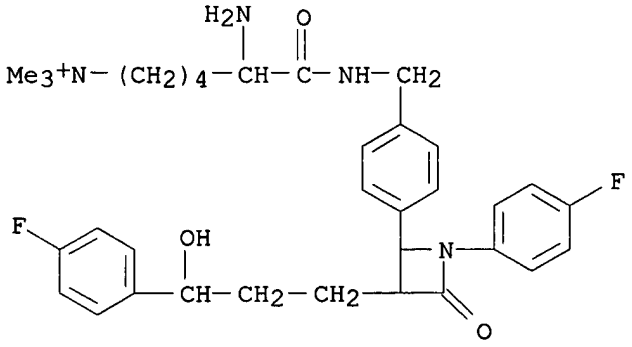
RN 439080-63-4 CAPLUS
CN Hexitol, 1-deoxy-1-[[5-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-5-oxopentyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 439080-64-5 CAPLUS
CN Acetamide, N-[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

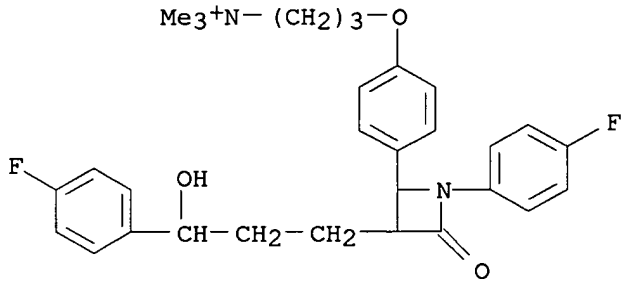


RN 439080-66-7 CAPLUS
CN 1-Hexanaminium, 5-amino-6-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]methyl]amino]-N,N,N-trimethyl-6-oxo-, chloride (9CI) (CA INDEX NAME)



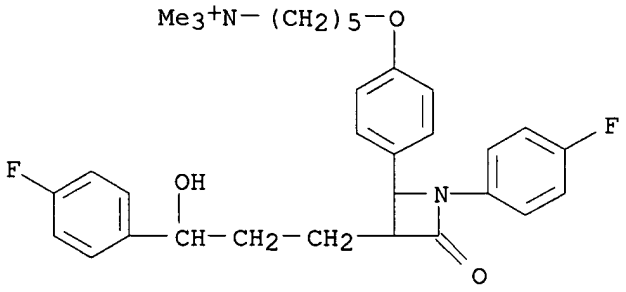
● Cl^-

RN 439080-72-5 CAPLUS
CN 1-Propanaminium, 3-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]-N,N,N-trimethyl-, bromide (9CI) (CA INDEX NAME)

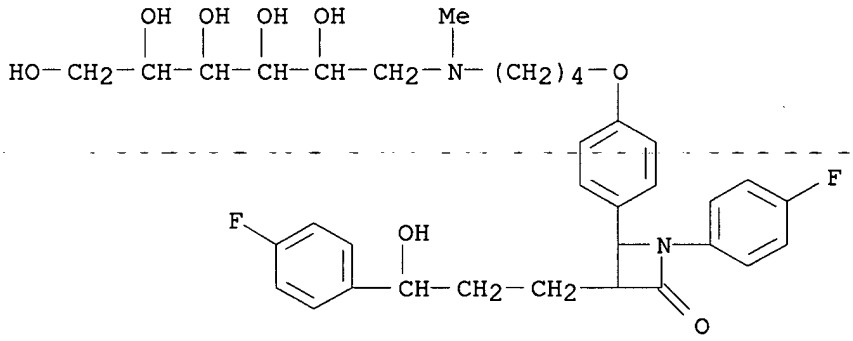


● Br^-

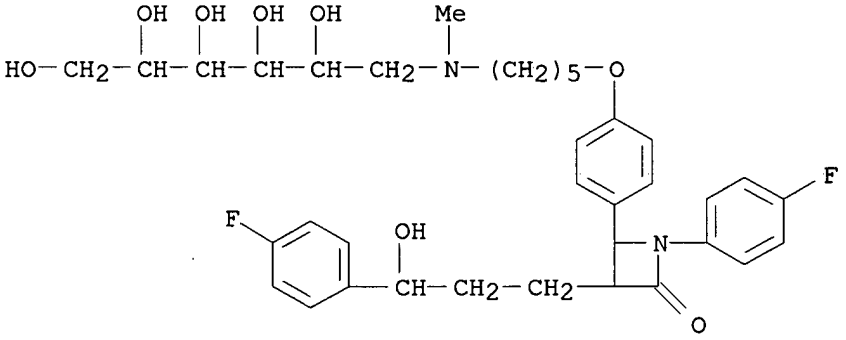
RN 439080-74-7 CAPLUS
CN 1-Pentanaminium, 5-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]-N,N,N-trimethyl-, bromide (9CI) (CA INDEX NAME)



RN 439080-76-9 CAPLUS
CN Hexitol, 1-deoxy-1-[[4-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]butyl]methylamino]- (9CI) (CA INDEX NAME)

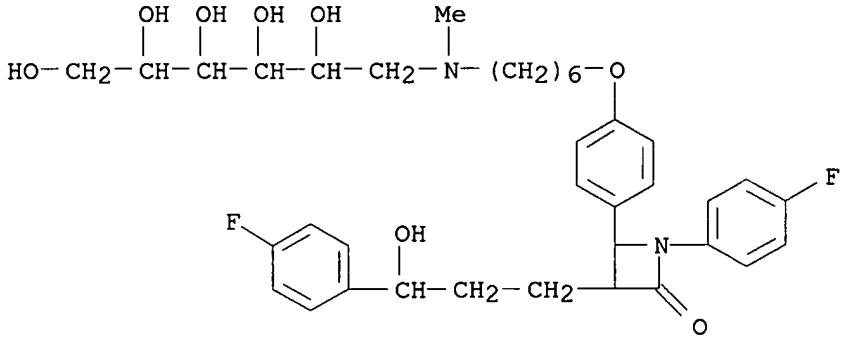


RN 439080-78-1 CAPLUS
CN Hexitol, 1-deoxy-1-[[5-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]pentyl]methylamino]- (9CI) (CA INDEX NAME)

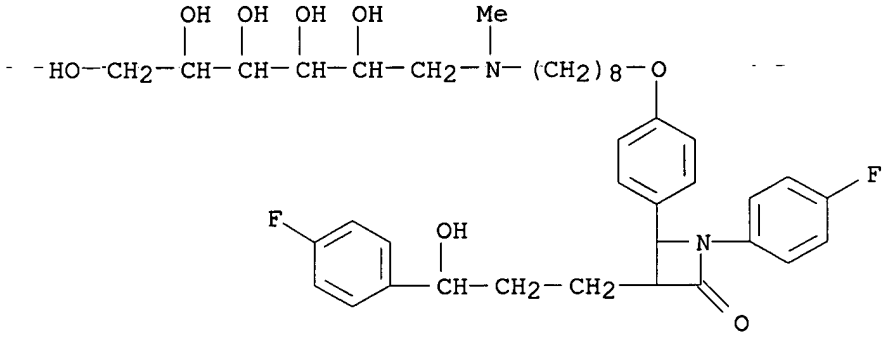


RN 439080-80-5 CAPLUS

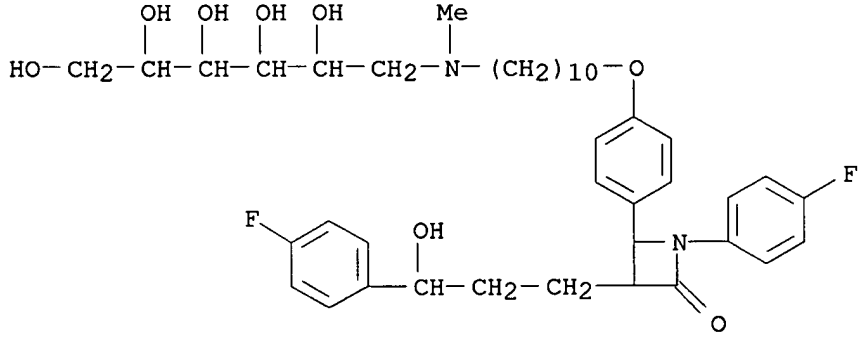
CN Hexitol, 1-deoxy-1-[[6-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]hexyl]methylamino]- (9CI) (CA INDEX NAME)



RN 439080-82-7 CAPLUS
CN Hexitol, 1-deoxy-1-[[8-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]octyl]methylamino]- (9CI) (CA INDEX NAME)

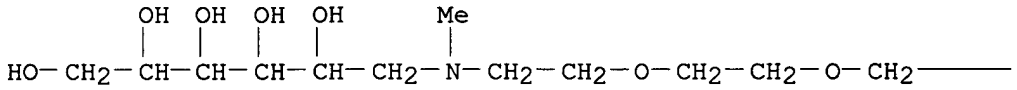


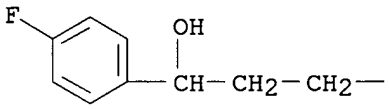
RN 439080-84-9 CAPLUS
CN Hexitol, 1-deoxy-1-[[10-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]decyl]methylamino]- (9CI) (CA INDEX NAME)



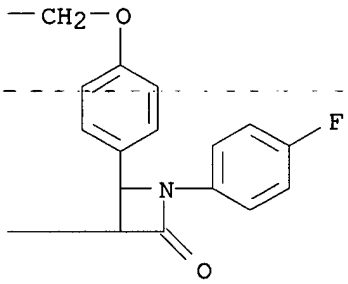
RN 439080-86-1 CAPLUS
CN Hexitol, 1-deoxy-1-[[2-[2-[2-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]ethoxy]ethoxy]ethyl]methylamino]- (9CI) (CA INDEX NAME)

PAGE 1-A

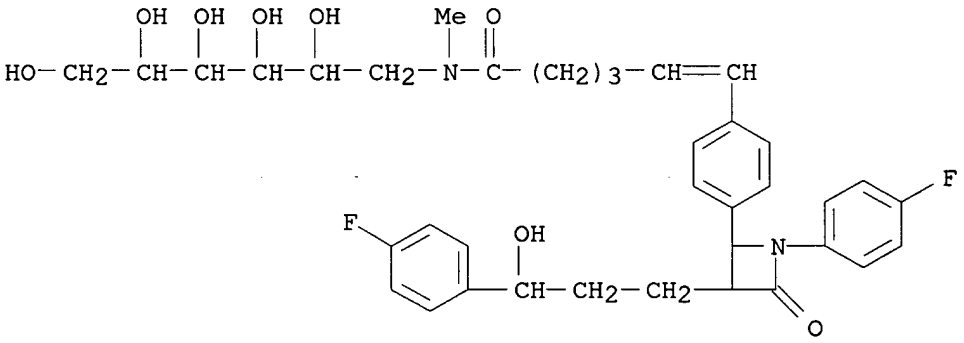




PAGE 1-B

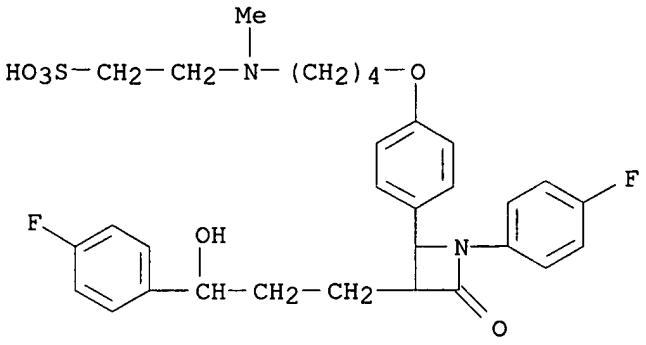


RN 439080-89-4 CAPLUS
CN Hexitol, 1-deoxy-1-[[6-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-1-oxo-5-hexenyl]methylamino]- (9CI) (CA INDEX NAME)

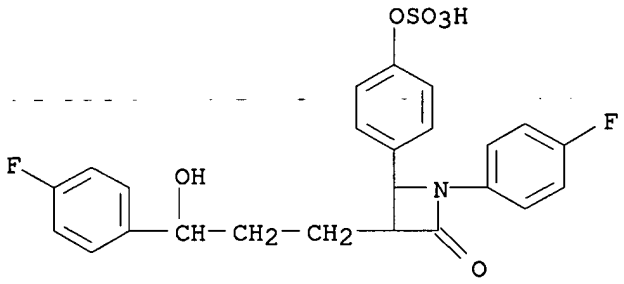


RN 439080-90-7 CAPLUS

CN Ethanesulfonic acid, 2-[[4-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]butyl]methylamino]- (9CI) (CA INDEX NAME)

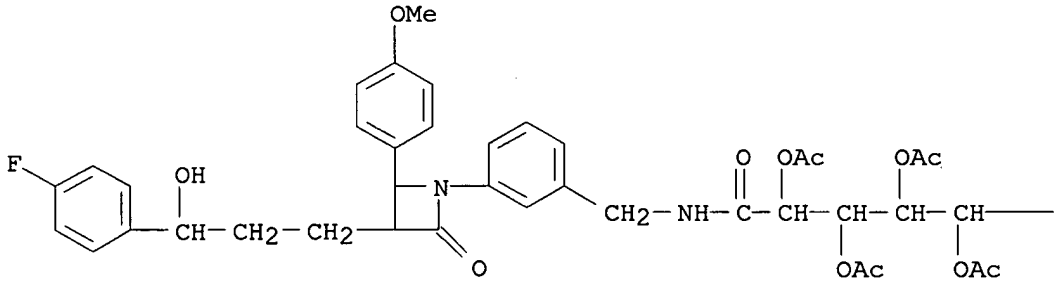


RN 439080-92-9 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(sulfooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 439080-93-0 CAPLUS
CN Hexonamide, N-[[3-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

PAGE 1-A

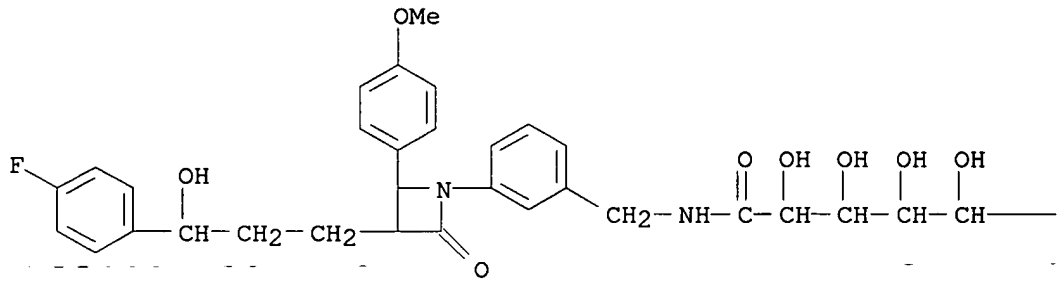


PAGE 1-B

—CH_2—OAc

RN 439080-94-1 CAPLUS
CN Hexonamide, N-[[3-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

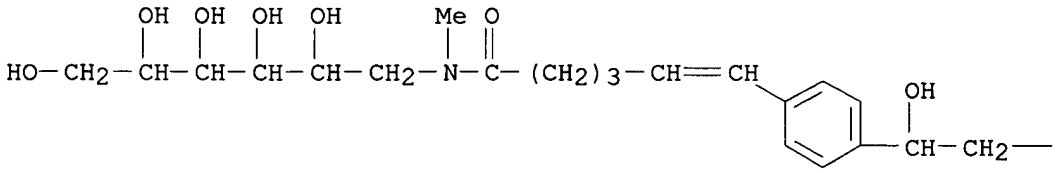


PAGE 1-B

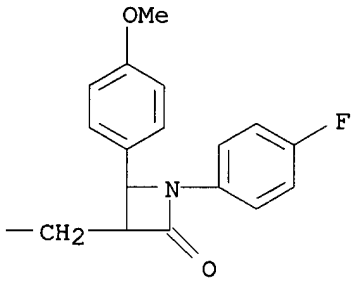
—CH_2—OH

RN 439080-95-2 CAPLUS
CN Hexitol, 1-deoxy-1-[[6-[4-[3-[1-(4-fluorophenyl)-2-(4-methoxyphenyl)-4-oxo-3-azetidinyl]-1-hydroxypropyl]phenyl]-1-oxo-5-hexenyl]methylamino]- (9CI) (CA INDEX NAME)

PAGE 1-A

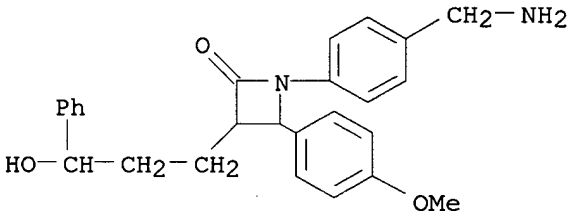


PAGE 1-B

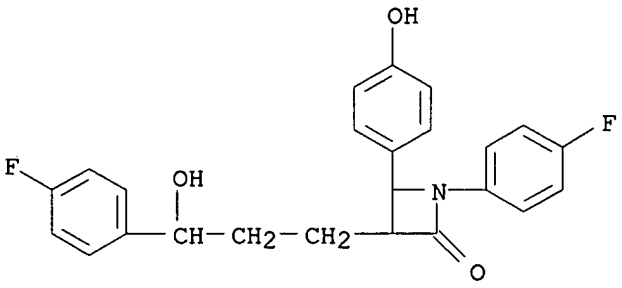


IT **402820-38-6 439081-02-4 439081-03-5**
439081-04-6 439081-06-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

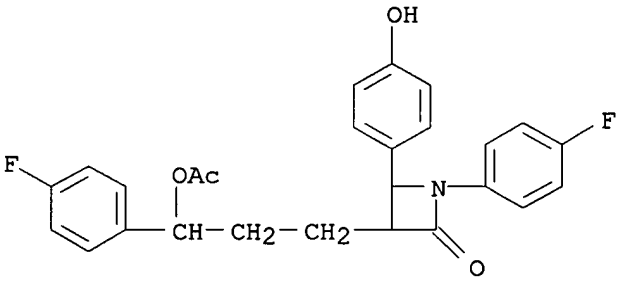
RN 402820-38-6 CAPLUS
CN 2-Azetidinone, 1-[4-(aminomethyl)phenyl]-3-(3-hydroxy-3-phenylpropyl)-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



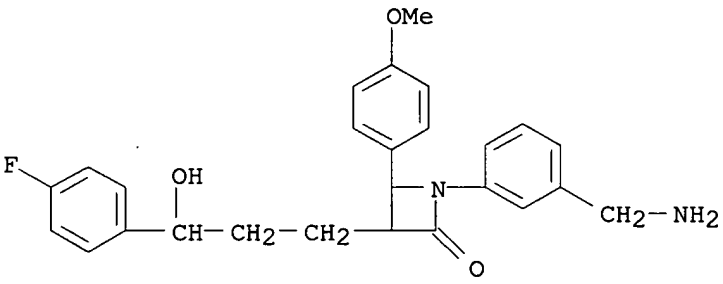
RN 439081-02-4 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



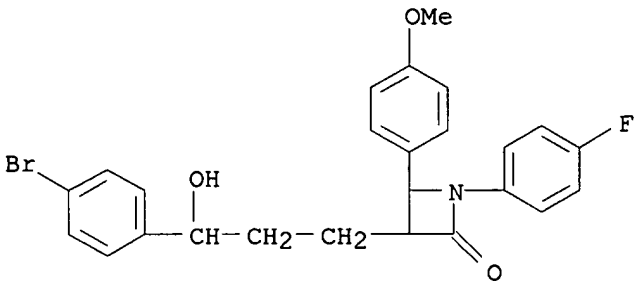
RN 439081-03-5 CAPLUS
CN 2-Azetidinone, 3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 439081-04-6 CAPLUS
CN 2-Azetidinone, 1-[3-(aminomethyl)phenyl]-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

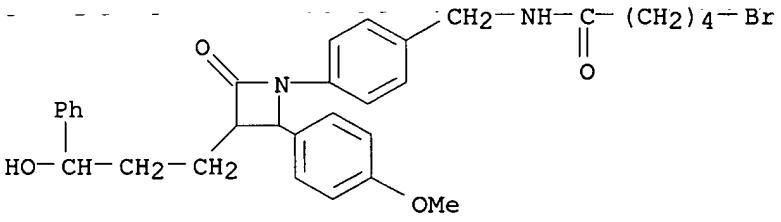


RN 439081-06-8 CAPLUS
CN 2-Azetidinone, 3-[3-(4-bromophenyl)-3-hydroxypropyl]-1-(4-fluorophenyl)-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

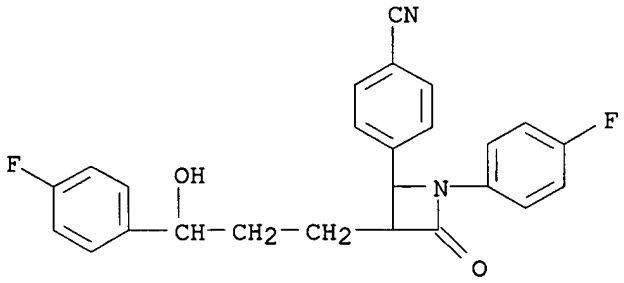


IT **439080-16-7P 439080-20-3P 439080-21-4P**
439080-27-0P 439080-28-1P 439080-60-1P
439080-61-2P 439080-62-3P 439080-68-9P
439080-70-3P 439080-71-4P 439080-73-6P
439080-75-8P 439080-77-0P 439080-79-2P
439080-81-6P 439080-83-8P 439080-85-0P
439080-88-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

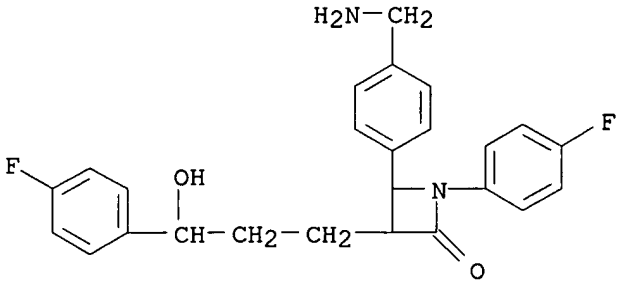
RN 439080-16-7 CAPLUS
CN Pentanamide, 5-bromo-N-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



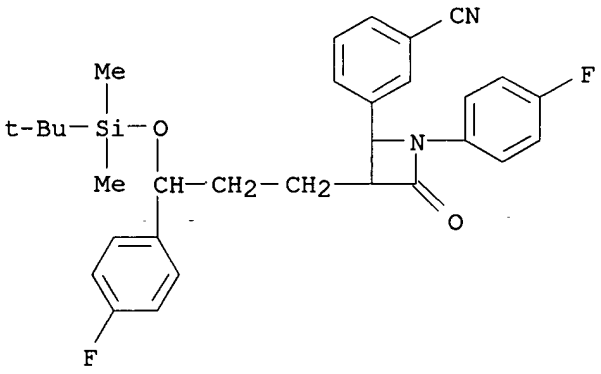
RN 439080-20-3 CAPLUS
CN Benzonitrile, 4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]- (9CI) (CA INDEX NAME)



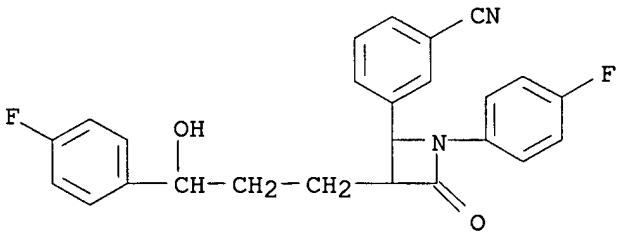
RN 439080-21-4 CAPLUS
CN 2-Azetidinone, 4-[4-(aminomethyl)phenyl]-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]- (9CI) (CA INDEX NAME)



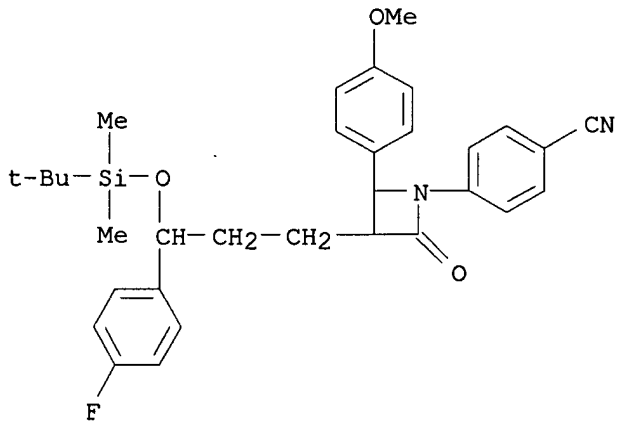
RN 439080-27-0 CAPLUS
CN Benzonitrile, 3-[3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]- (9CI) (CA INDEX NAME)



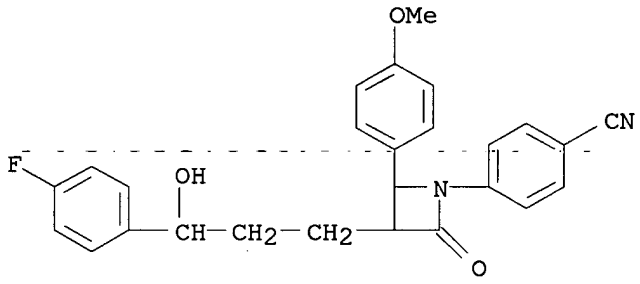
RN 439080-28-1 CAPLUS
CN Benzonitrile, 3-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]- (9CI) (CA INDEX NAME)



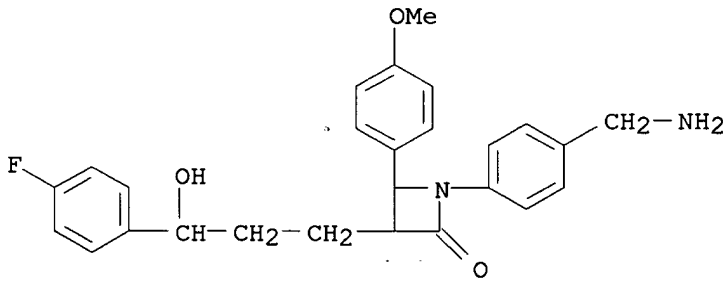
RN 439080-60-1 CAPLUS
CN Benzonitrile, 4-[3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)



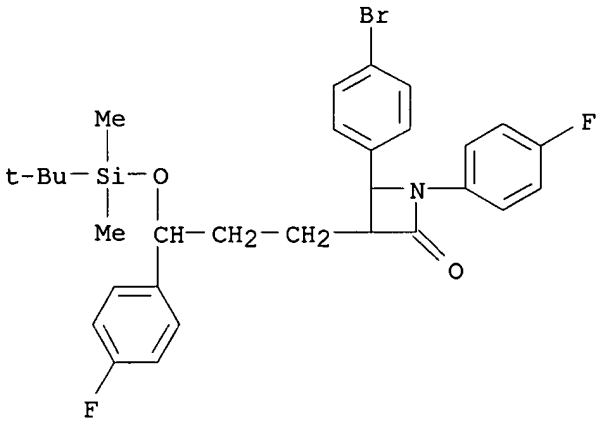
RN 439080-61-2 CAPLUS
CN Benzonitrile, 4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)



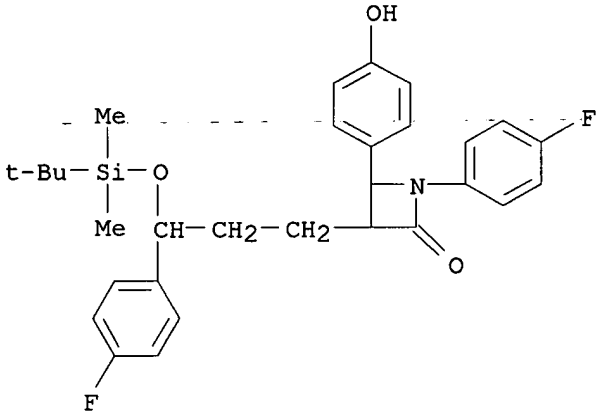
RN 439080-62-3 CAPLUS
CN 2-Azetidinone, 1-[4-(aminomethyl)phenyl]-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



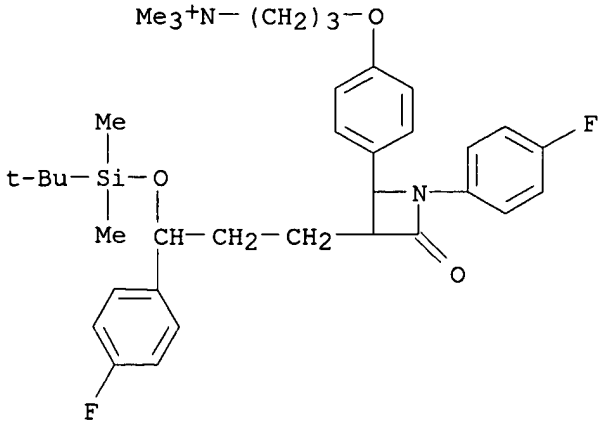
RN 439080-68-9 CAPLUS
CN 2-Azetidinone, 4-(4-bromophenyl)-3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 439080-70-3 CAPLUS
CN 2-Azetidinone, 3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

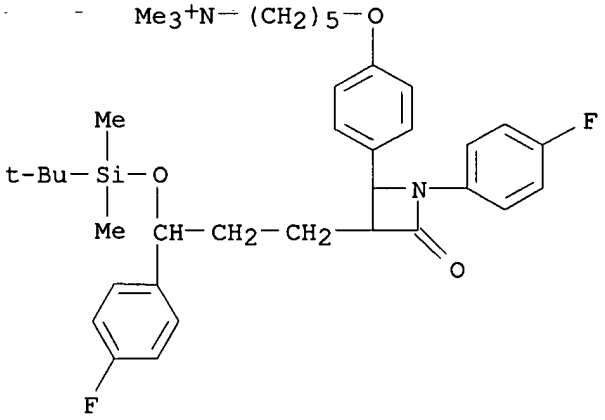


RN 439080-71-4 CAPLUS
CN 1-Propanaminium, 3-[4-[3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]-N,N,N-trimethyl-, bromide (9CI) (CA INDEX NAME)



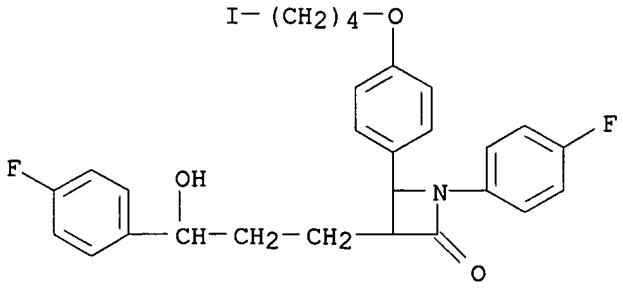
● Br^-

RN 439080-73-6 CAPLUS
CN 1-Pentanaminium, 5-[4-[3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenoxy]-N,N,N-trimethyl-, bromide (9CI) (CA INDEX NAME)

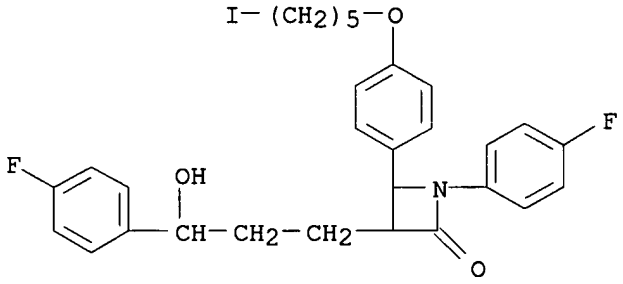


● Br^-

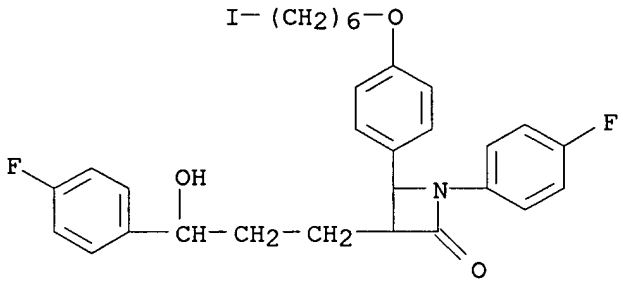
RN 439080-75-8 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(4-iodobutoxy)phenyl]- (9CI) (CA INDEX NAME)



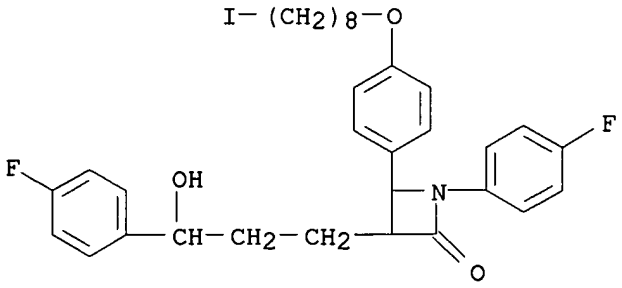
RN 439080-77-0 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(5-iodopentyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



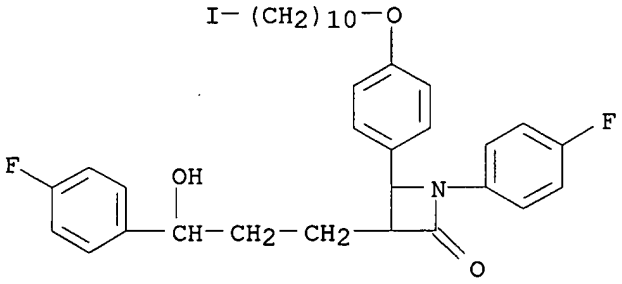
RN 439080-79-2 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(6-iodohexyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



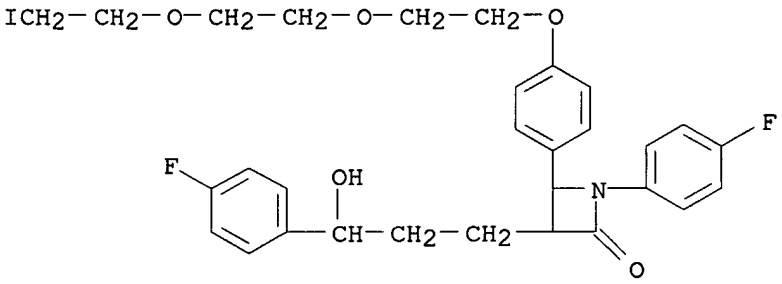
RN 439080-81-6 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(8-iodooctyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



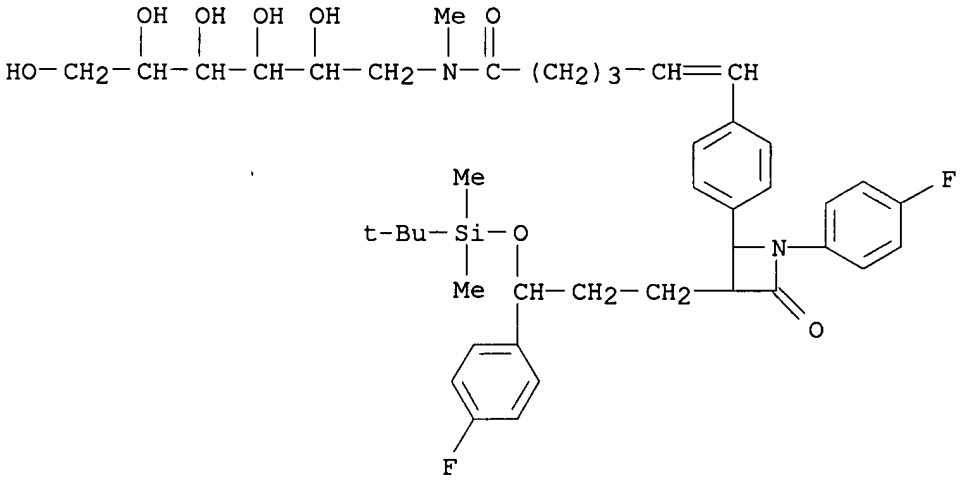
RN 439080-83-8 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(10-iododecyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 439080-85-0 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[2-[2-(2-iodoethoxy)ethoxy]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 439080-88-3 CAPLUS
CN Hexitol, 1-deoxy-1-[[6-[4-[3-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl]-1-oxo-5-hexenyl]methylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:326280 CAPLUS
DOCUMENT NUMBER: 137:198660
TITLE: The identification of intestinal scavenger receptor class B, type I (SR-BI) by expression cloning and its role in cholesterol absorption
AUTHOR(S): Altmann, Scott W.; Davis, Harry R.; Yao, Xiaorui; Laverty, Maureen; Compton, Douglas S.; Zhu, Li-ji; Crona, James H.; Caplen, Mary Ann; Hoos, Lizbeth M.; Tetzloff, Glen; Priestley, Tony; Burnett, Duane A.; Strader, Catherine D.; Graziano, Michael P.
CORPORATE SOURCE: Department of CNS and Cardiovascular Research, Schering-Plough Research Institute, Kenilwork, NJ, 07033-0539, USA
SOURCE: Biochimica et Biophysica Acta (2002), 1580(1), 77-93
CODEN: BBACAQ; ISSN: 0006-3002
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The mol. mechanisms of cholesterol absorption in the intestine are poorly understood. With the goal of defining candidate genes involved in these processes a fluorescence-activated cell sorter-based, retroviral-mediated expression cloning strategy has been devised. SCH354909, a fluorescent derivative of ezetimibe, a compound which blocks intestinal cholesterol absorption but whose mechanism of action is unknown, was synthesized and shown to block intestinal cholesterol absorption in rats. Pools of cDNAs prepared from rat intestinal cells enriched in enterocytes were introduced into BW5147 cells and screened for SCH354909 binding. Several independent clones were isolated and all found to encode the scavenger receptor class B, type I (SR-BI), a protein suggested by others to play a role in cholesterol absorption. SCH354909 bound to Chinese hamster ovary (CHO) cells expressing SR-BI in specific and saturable fashion and with high affinity (Kd.apprx.18 nM). Overexpression of SR-BI in CHO cells resulted in increased cholesterol uptake that was blocked by micromolar concns. of ezetimibe. Anal. of rat intestinal sections by in situ hybridization

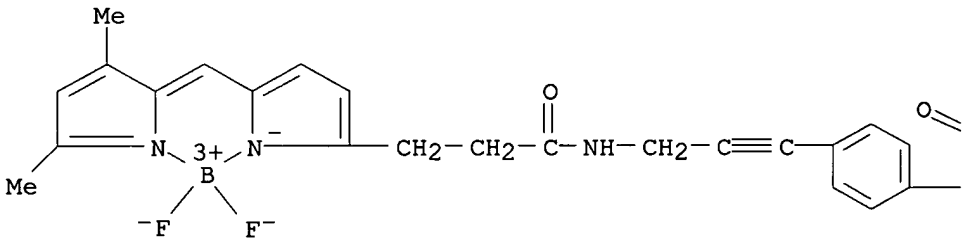
demonstrated that SR-BI expression was restricted to enterocytes. Cholesterol absorption was determined in SR-B1 knockout mice using both an acute, 2-h, assay and a more chronic fecal dual isotope ratio method. The level of intestinal cholesterol uptake and absorption was similar to that seen in wild-type mice. When assayed in the SR-B1 knockout mice, the dose of ezetimibe required to inhibit hepatic cholesterol accumulation induced by a cholesterol-containing 'western' diet was similar to wild-type mice. Thus, the binding of ezetimibe to cells expressing SR-B1 and the functional blockade of SR-B1-mediated cholesterol absorption in vitro suggest that SR-B1 plays a role in intestinal cholesterol metabolism and the inhibitory activity of ezetimibe. In contrast studies with SR-B1 knockout mice suggest that SR-B1 is not essential for intestinal cholesterol absorption or the activity of ezetimibe.

IT **302795-50-2**, Sch 354909
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(Sch 354909, inhibitor of cholesterol absorption; SR-BI is not required for intestinal cholesterol absorption or for its inhibition by ezetimibe)

RN 302795-50-2 CAPLUS

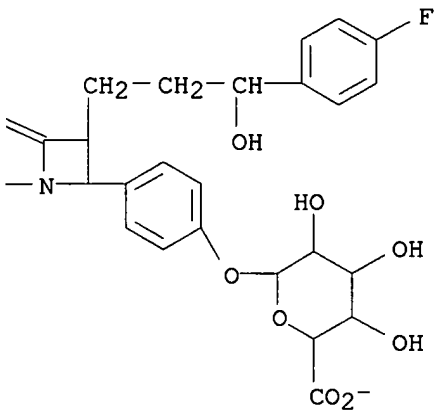
CN Borate(1-), [4-[(2S,3R)-1-[4-[3-[[3-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopropyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl β-D-glucopyranosiduronato(2-)]difluoro-, hydrogen, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



● H^+

PAGE 1-B



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:171944 CAPLUS
DOCUMENT NUMBER: 136:210579
TITLE: Protein extracted from the intestines of vertebrates, which absorbs cholesterol, and use for identifying inhibitors of intestinal cholesterol transport
INVENTOR(S): Kramer, Werner; Glombik, Heiner
PATENT ASSIGNEE(S): Aventis Pharma Deutschland Gmbh, Germany
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018432	A2	20020307	WO 2001-EP9554	20010818
WO 2002018432	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10042447	A1	20020328	DE 2000-10042447	20000829
AU 2002010446	A5	20020313	AU 2002-10446	20010818
US 2002039774	A1	20020404	US 2001-939793	20010828
NO 2003000905	A	20030226	NO 2003-905	20030226

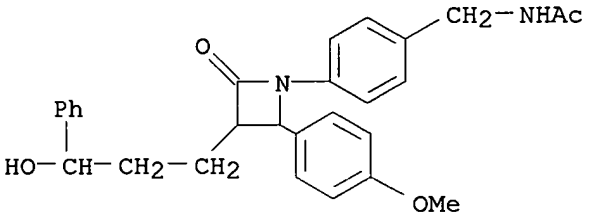
PRIORITY APPLN. INFO.: DE 2000-10042447 A 20000829
WO 2001-EP9554 W 20010818

AB The invention discloses a protein, extracted from the intestines of vertebrates, which absorbs cholesterol. The protein can identified using

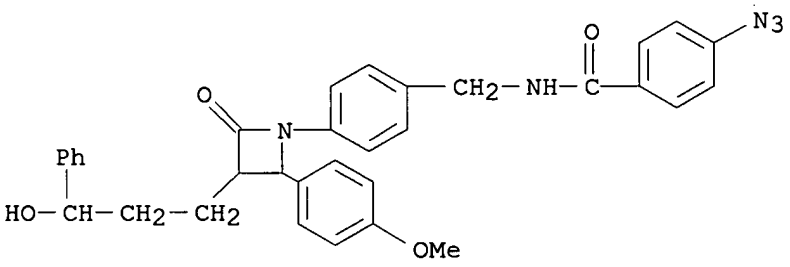
highly affinity crosslinking compds. The invention also discloses the use of this protein in a method for identifying compds. which inhibit intestinal cholesterol transport. Preparation of radiolabed photolabile compds. is included.

IT **402820-33-1D**, radiolabeled **402820-34-2D**, radiolabeled **402820-35-3D**, radiolabeled
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(cholesterol-absorbing protein from vertebrate intestine, and use for identifying inhibitors of intestinal cholesterol transport)

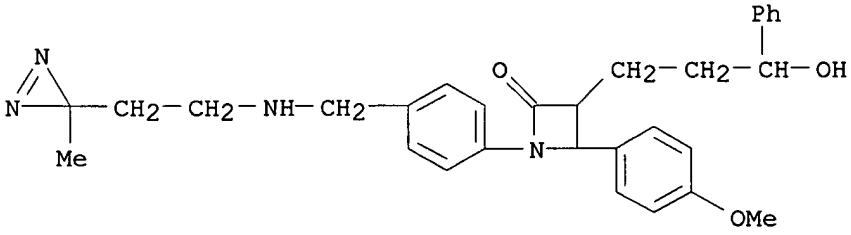
RN 402820-33-1 CAPLUS
CN Acetamide, N-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



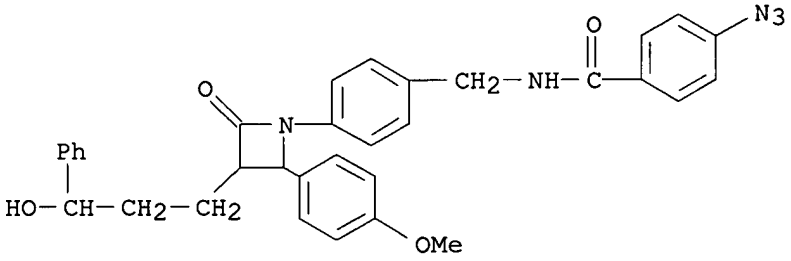
RN 402820-34-2 CAPLUS
CN Benzamide, 4-azido-N-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



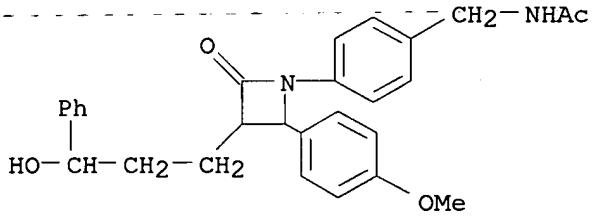
RN 402820-35-3 CAPLUS
CN 2-Azetidinone, 3-(3-hydroxy-3-phenylpropyl)-4-(4-methoxyphenyl)-1-[4-[[[2-(3-methyl-3H-diazirin-3-yl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



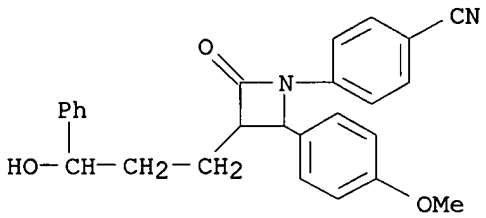
IT **402820-40-0P 402820-41-1P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cholesterol-absorbing protein from vertebrate intestine, and use for identifying inhibitors of intestinal cholesterol transport)
RN 402820-40-0 CAPLUS
CN Benzamide, 4-azido-N-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]-, labeled with tritium (9CI) (CA INDEX NAME)



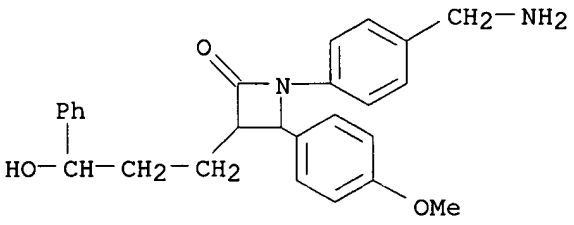
RN 402820-41-1 CAPLUS
CN Acetamide, N-[[4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]-, labeled with tritium (9CI) (CA INDEX NAME)



IT **402820-37-5P 402820-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cholesterol-absorbing protein from vertebrate intestine, and use for identifying inhibitors of intestinal cholesterol transport)
RN 402820-37-5 CAPLUS
CN Benzonitrile, 4-[3-(3-hydroxy-3-phenylpropyl)-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

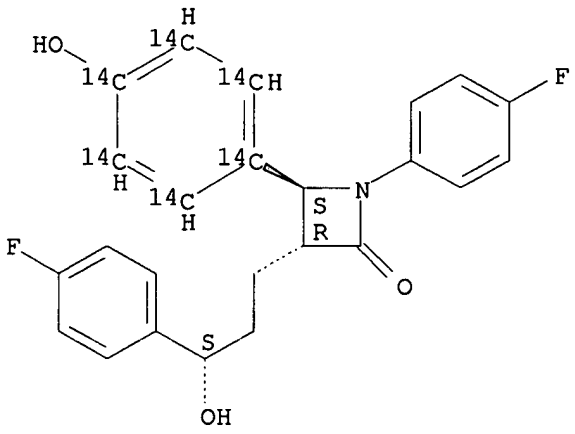


RN 402820-38-6 CAPLUS
CN 2-Azetidinone, 1-[4-(aminomethyl)phenyl]-3-(3-hydroxy-3-phenylpropyl)-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



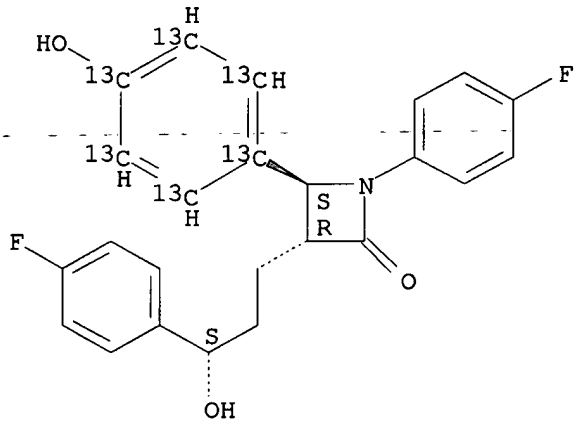
L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:153916 CAPLUS
DOCUMENT NUMBER: 137:47059
TITLE: Synthesis of 3H, 14C and 13C6 labelled Sch 58235
AUTHOR(S): Hesk, D.; Bignan, G.; Lee, J.; Yang, J.; Voronin, K.; Magatti, C.; McNamara, P.; Koharski, D.; Hendershot, S.; Saluja, S.; Wang, S.
CORPORATE SOURCE: Schering Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2002), 45(2), 145-155
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:47059
AB 3H-Sch 58235 was prepared at a specific activity of 29.1 Ci/mmol by Ir(COD)(Cy3P)PyPF6, catalyzed exchange with tritium gas. 14C-Sch 58235 was prepared in three steps from p-hydroxy[ring-U-14C]benzaldehyde with an overall radiochem. yield of 21%. 13C6-Sch 58235 was similarly prepared in three steps from p-hydroxy[ring-U-13C6]benzaldehyde in an overall yield of 41%.
IT **438624-67-0P 438624-68-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 3H, 14C and 13C6 labeled Sch 58235)
RN 438624-67-0 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl-14C6)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 438624-68-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl-13C6)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:97662 CAPLUS
DOCUMENT NUMBER: 137:20238
TITLE: Synthesis of fluorescent biochemical tools related to the 2-azetidinone class of cholesterol absorption inhibitors
AUTHOR(S): Burnett, Duane A.; Caplen, Mary Ann; Browne, Margaret E.; Zhau, Hongrong; Altmann, Scott W.; Davis, Harry R.; Clader, John W.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(3), 315-318
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:20238
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Fluorescent analogs, e.g. I, of the cholesterol absorption inhibitor (CAI), Sch 58235, have been designed and synthesized as single enantiomers. Biol. testing reveals that they are potent CAIs and are suitable tools for the investigation of the azetidinone CAI mechanism of action (MOA).

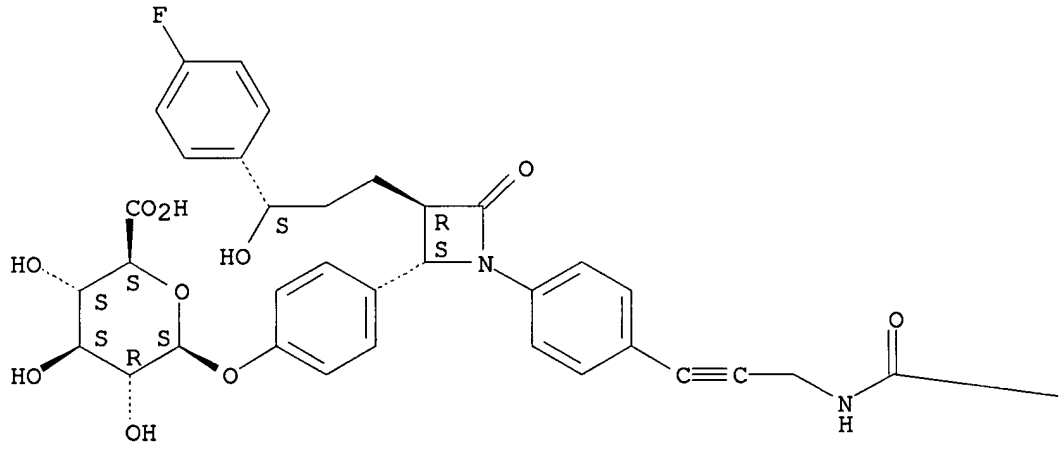
IT **302781-99-3P 302795-50-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of fluorescent biochem. tools related to the 2-azetidinone class of cholesterol absorption inhibitors)

RN 302781-99-3 CAPLUS

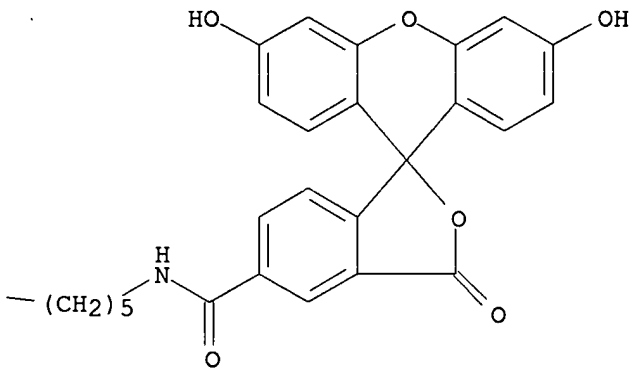
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-[4-[3-[[6-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-oxohexyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

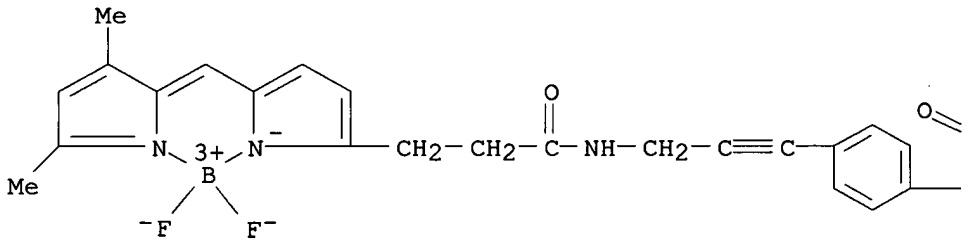


PAGE 1-B

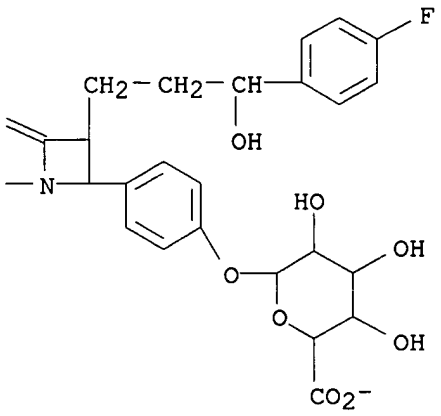


RN 302795-50-2 CAPLUS
CN Borate(1-), [4-[(2S,3R)-1-[4-[3-[[3-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopropyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl β-D-glucopyranosiduronato(2-)]difluoro-, hydrogen, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A

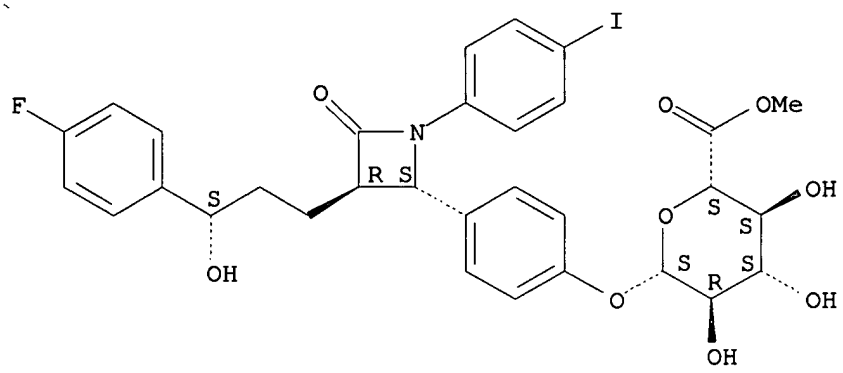


PAGE 1-B



IT **302782-02-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of fluorescent biochem. tools related to the 2-azetidinone class of cholesterol absorption inhibitors)
RN 302782-02-1 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

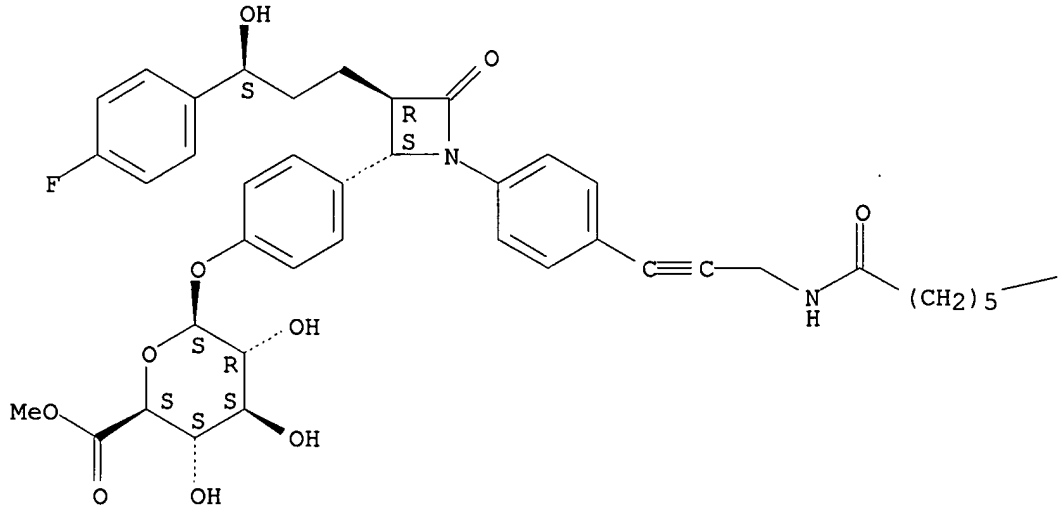
Absolute stereochemistry.



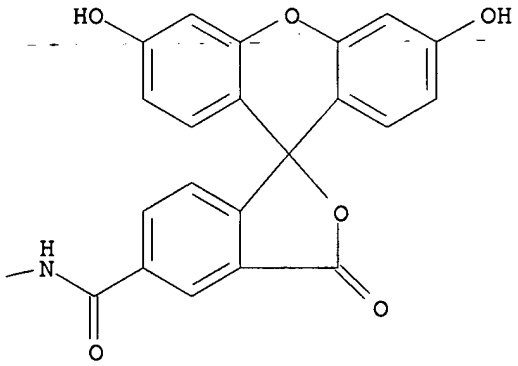
IT **302782-03-2P 302795-86-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of fluorescent biochem. tools related to the 2-azetidinone class of cholesterol absorption inhibitors)
RN 302782-03-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-[4-[3-[[6-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-oxohexyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



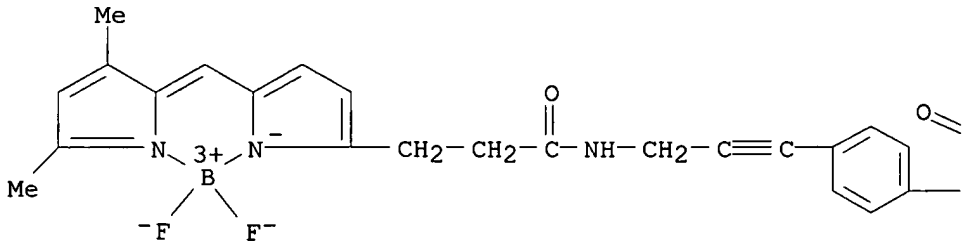
PAGE 1-B



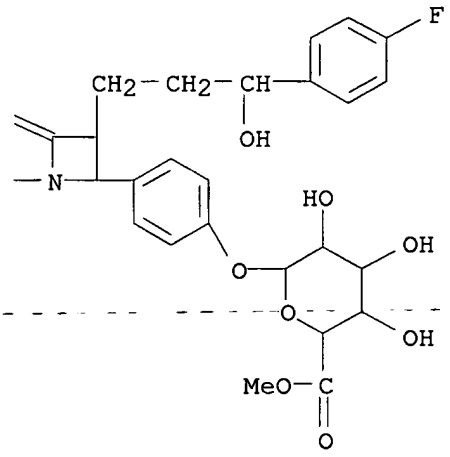
RN 302795-86-4 CAPLUS

CN Boron, difluoro[methyl 4-[(2S,3R)-1-[4-[3-[[3-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopropyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl β-D-glucopyranosiduronato]-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A

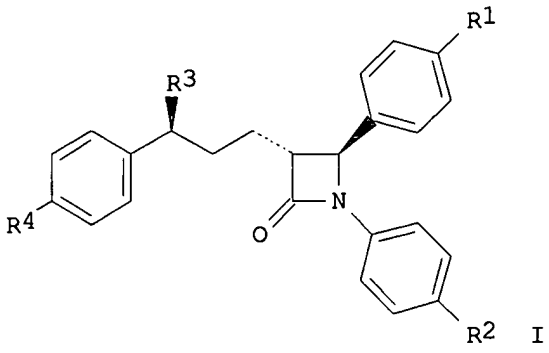


PAGE 1-B



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:97661 CAPLUS
DOCUMENT NUMBER: 137:33143
TITLE: Synthesis of iodinated biochemical tools related to the 2-azetidinone class of cholesterol absorption inhibitors
AUTHOR(S): Burnett, Duane A.; Caplen, Mary Ann; Domalski, Martin S.; Browne, Margaret E.; Davis, Harry R., Jr.; Clader, John W.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(3), 311-314
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:33143
GI



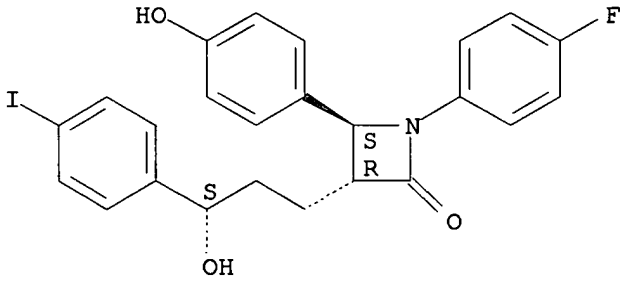
I

AB The discoveries of Sch 48461 (I; R1,R2 = OMe; R3,R4 = H) and Sch 58235 I (R1,R3 = OH; R2,R4 = F) and their novel pharmacol. of inhibition of cholesterol absorption have prompted efforts to determine their biol. mechanism of action (MOA). To this end, a series of radioiodinated analogs, e.g. I (R1,R2 = OMe; R3 = H; R4 = I), with good to excellent in vivo activity have been designed and synthesized as single enantiomers. They are structurally consistent with the allowable SAR of the 2-azetidinone class of cholesterol absorption inhibitors.

IT **437713-51-4P 437713-52-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of iodinated biochem. tools related to the 2-azetidinone class of cholesterol absorption inhibitors)

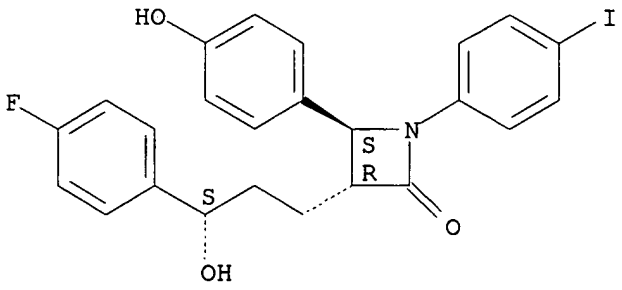
RN 437713-51-4 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437713-52-5 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-1-(4-iodophenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

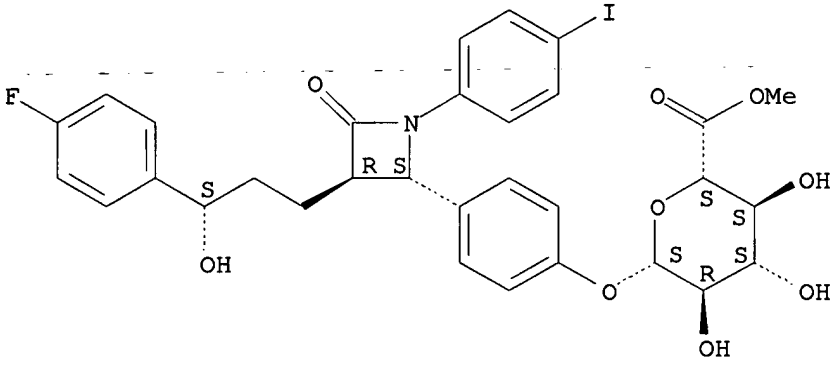


IT **302782-02-1P 437713-56-9P 437713-57-0P**
437713-58-1P 437713-59-2P 437713-62-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of iodinated biochem. tools related to the 2-azetidinone class of cholesterol absorption inhibitors)

RN 302782-02-1 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

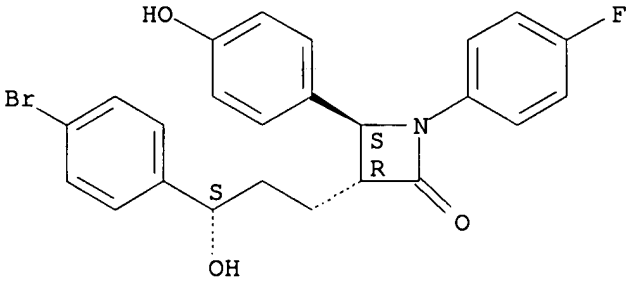
Absolute stereochemistry.



RN 437713-56-9 CAPLUS

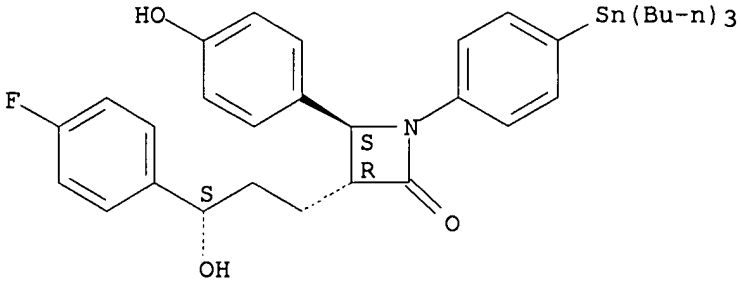
CN 2-Azetidinone, 3-[(3S)-3-(4-bromophenyl)-3-hydroxypropyl]-1-(4-fluorophenyl)-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



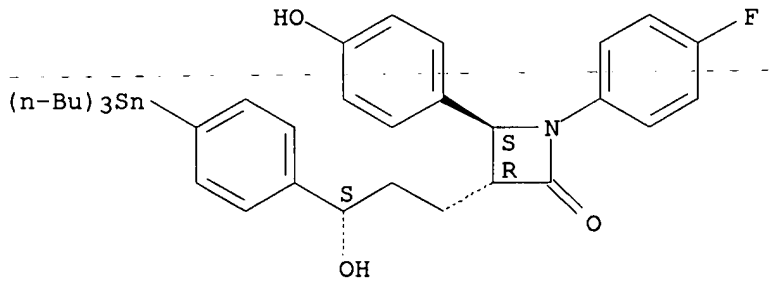
RN 437713-57-0 CAPLUS
CN 2-Azetidinone, 3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-1-[4-(tributylstannyl)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



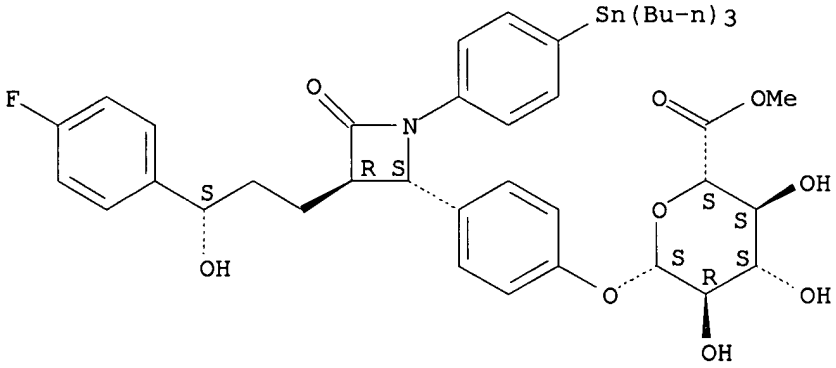
RN 437713-58-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-4-(4-hydroxyphenyl)-3-[(3S)-3-hydroxy-3-[4-(tributylstannyl)phenyl]propyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



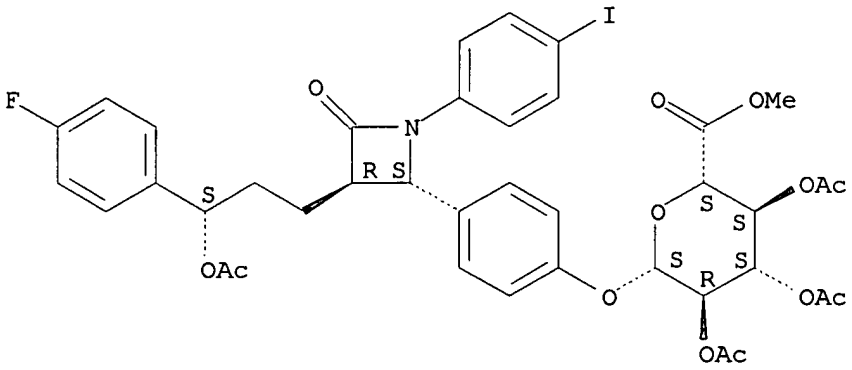
RN 437713-59-2 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-[4-(tributylstannyl)phenyl]-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437713-62-7 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester, 2,3,4-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:756982 CAPLUS
DOCUMENT NUMBER: 133:319280
TITLE: Use of azetidinone compounds in identifying cholesterol absorption inhibitors and proteins involved in cholesterol absorption
INVENTOR(S): Altmann, Scott W.; Burnett, Duane A.; Davis, Harry R., Jr.; Graziano, Michael P.; Laverty, Maureen; Yao, Xiaorui
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063703	A1	20001026	WO 2000-US9798	20000412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002009714	A1	20020124	US 2001-918397	20010730

PRIORITY APPLN. INFO.: US 1999-129610P P 19990416
US 2000-547509 A3 20000412
OTHER SOURCE(S): MARPAT 133:319280

AB The use of azetidinone compds. that are inhibitors of cholesterol absorption as tools for discovering and characterizing proteins involved in trafficking or absorption of cholesterol and/or cholesteryl esters in biol. systems is presented. These compds. can serve as tools for competitive binding assays to discover and characterize other chem. agents useful as cholesterol absorption inhibitors. New compds. of the present invention are also highly efficacious inhibitors of cholesterol absorption.

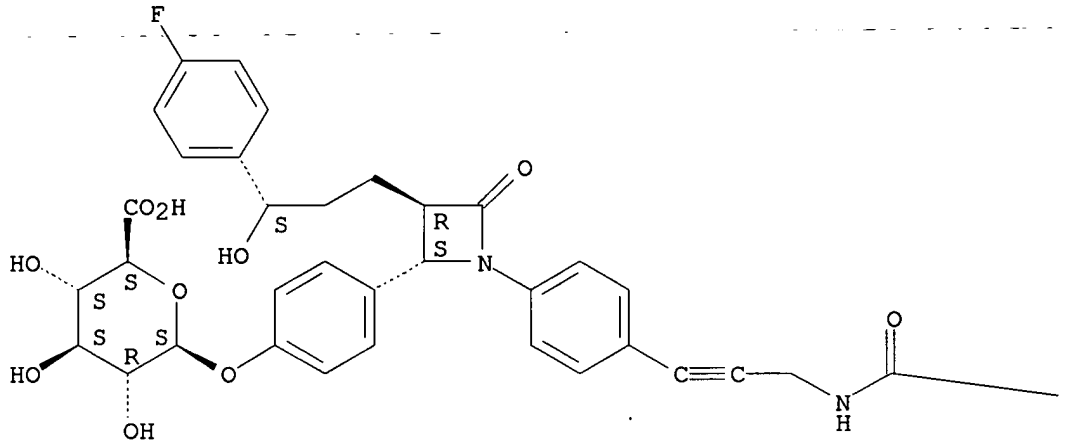
IT **302781-99-3P 302795-50-2P**
RL: ARG (Analytical reagent use); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(azetidinone compds. in identifying cholesterol absorption inhibitors and proteins involved in cholesterol absorption)

RN 302781-99-3 CAPLUS

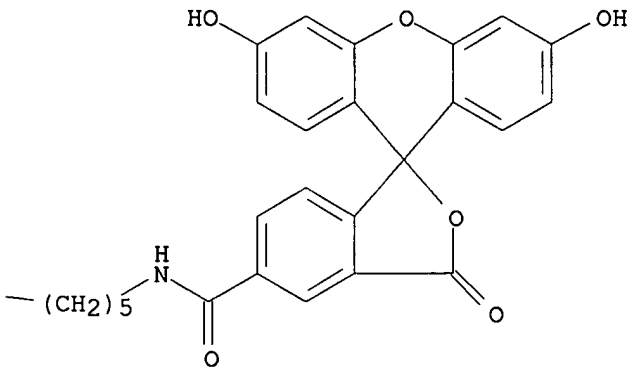
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-[4-[3-[[6-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-oxohexyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

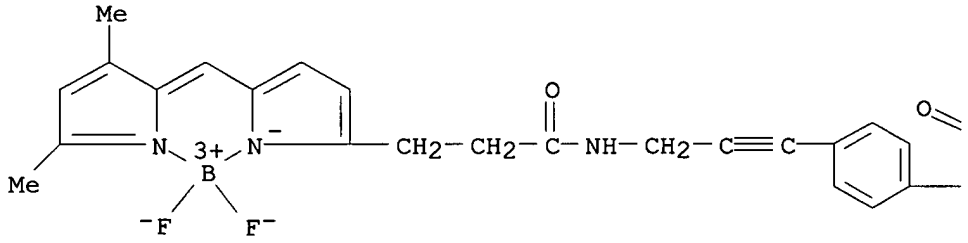


PAGE 1-B

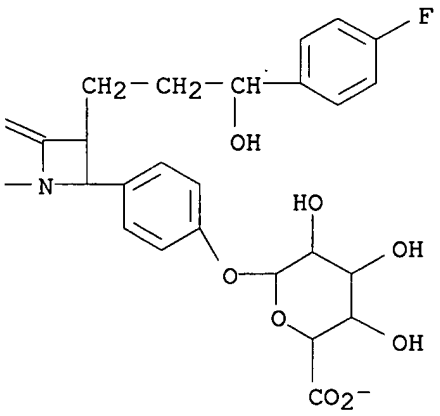


RN 302795-50-2 CAPLUS
CN Borate(1-), [4-[(2S,3R)-1-[4-[3-[[3-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopropyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl β-D-glucopyranosiduronato(2-)]difluoro-, hydrogen, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

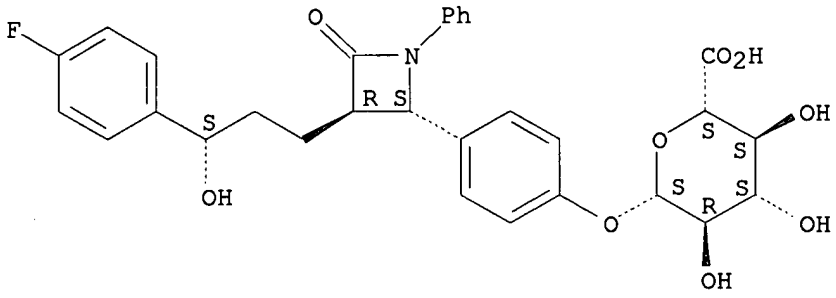


IT **302781-97-1D**, fluorescent conjugates **302781-98-2D**, fluorescent conjugates **302782-00-9 302795-63-7**
RL: ARG (Analytical reagent use); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(azetidinone compds. in identifying cholesterol absorption inhibitors and proteins involved in cholesterol absorption)

RN 302781-97-1 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-1-phenyl-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

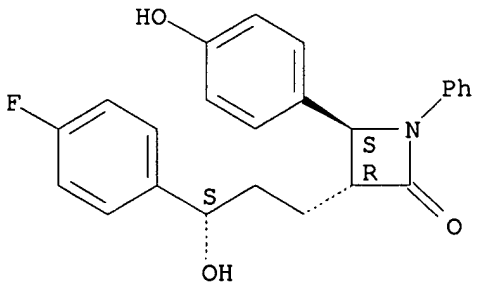
Absolute stereochemistry.



RN 302781-98-2 CAPLUS

CN 2-Azetidinone, 3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-1-phenyl-, (3R,4S)- (9CI) (CA INDEX NAME)

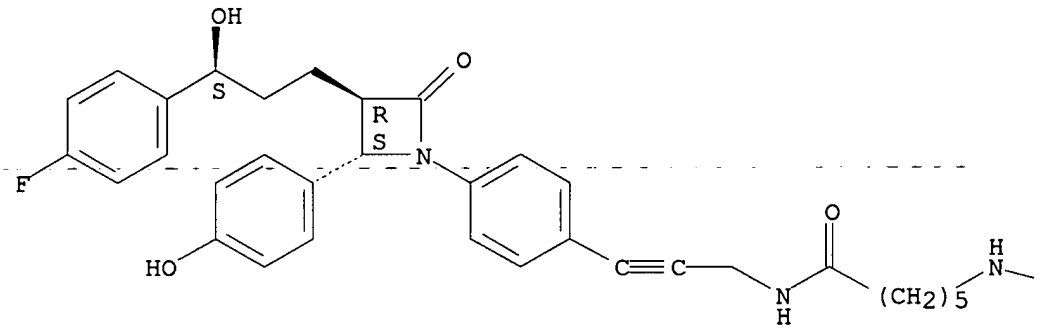
Absolute stereochemistry.



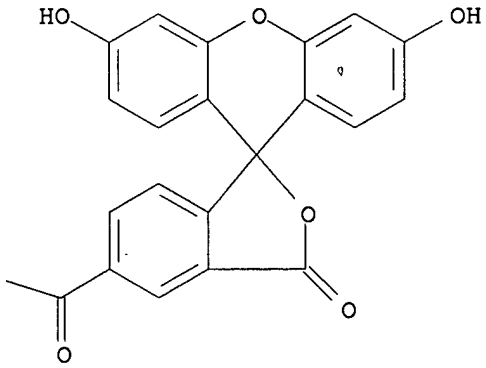
RN 302782-00-9 CAPLUS
CN Spiro[isobenzofuran-1(3H),9'-[9H]xanthene]-5-carboxamide, N-[6-[[3-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-hydroxyphenyl)-4-oxo-1-azetidinyl]phenyl]-2-propynyl]amino]-6-oxohexyl]-3',6'-dihydroxy-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



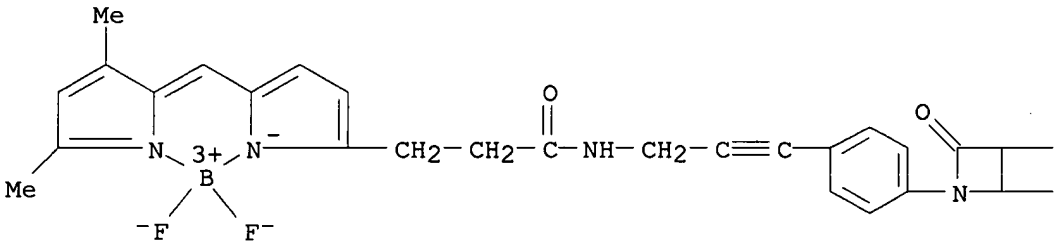
PAGE 1-B

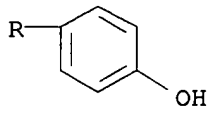


RN 302795-63-7 CAPLUS
CN Boron, [5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-N-[3-[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-hydroxyphenyl)-4-

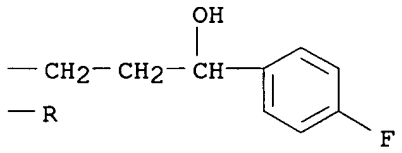
oxo-1-azetidinyl]phenyl]-2-propynyl]-1H-pyrrole-2-propanamidato-κN1]difluoro-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A





PAGE 1-B

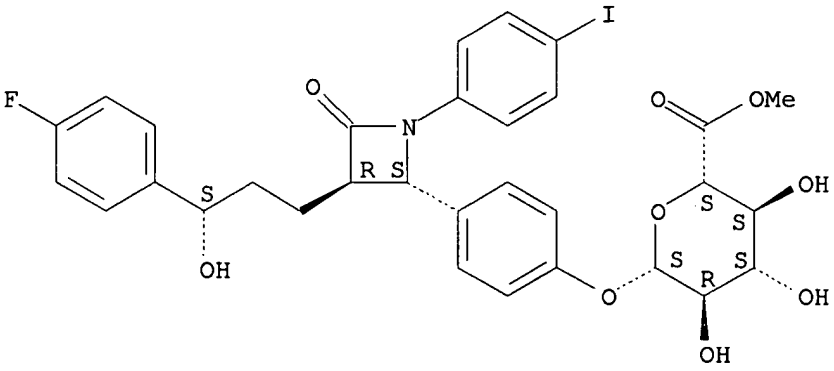


IT **302782-02-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(azetidinone compds. in identifying cholesterol absorption inhibitors and proteins involved in cholesterol absorption)

RN 302782-02-1 CAPLUS

CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



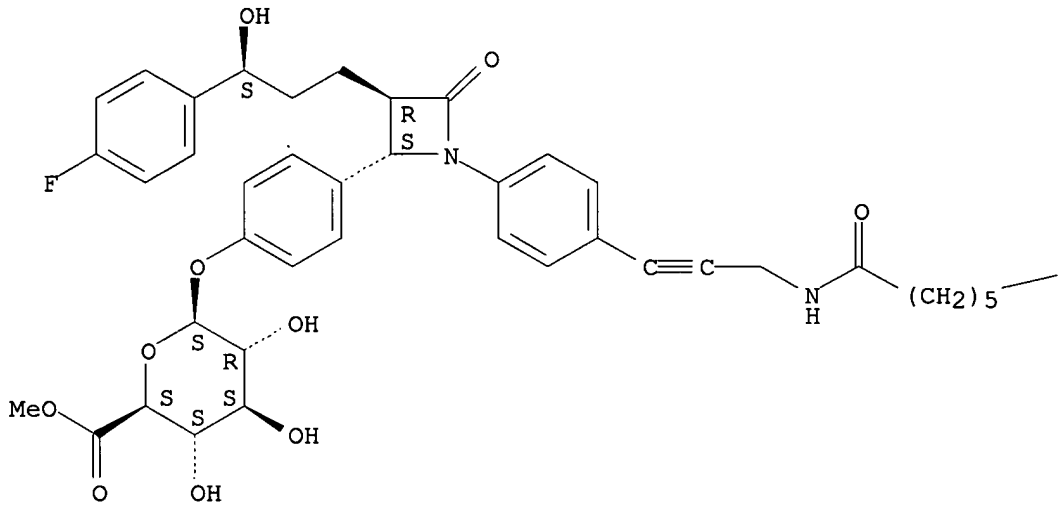
IT **302782-03-2P 302795-86-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(azetidinone compds. in identifying cholesterol absorption inhibitors and proteins involved in cholesterol absorption)

RN 302782-03-2 CAPLUS

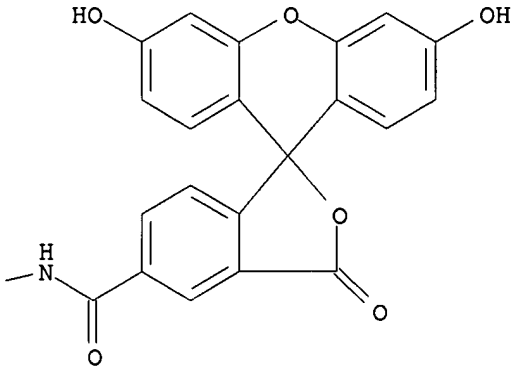
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-[4-[3-[[6-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-oxohexyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



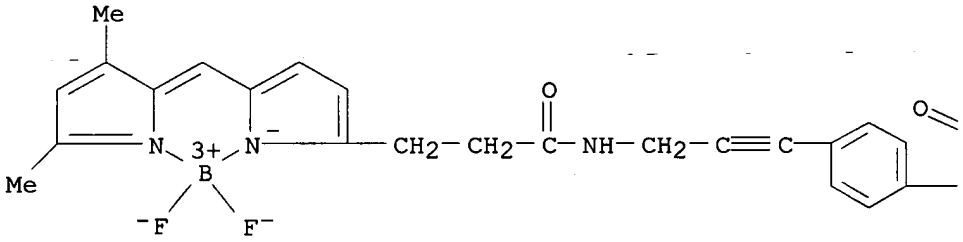
PAGE 1-B



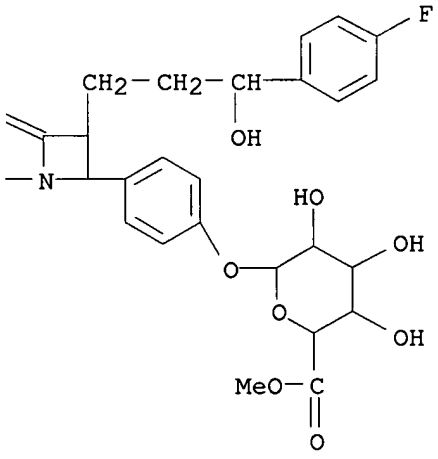
RN 302795-86-4 CAPLUS

CN Boron, difluoro[methyl 4-[(2S,3R)-1-[4-[3-[[3-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopropyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl β-D-glucopyranosiduronato]-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

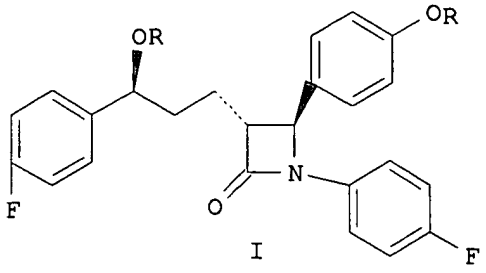


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

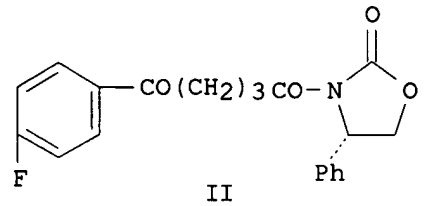
L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:401788 CAPLUS
DOCUMENT NUMBER: 133:17327
TITLE: Process for the synthesis of azetidinones and intermediates for use as hypocholesterolemics
INVENTOR(S): Thiruvengadam, Tiruvettipuram K.; Fu, Xiaoyong; Tann, Chou-Hong; Mcallister, Timothy L.; Chiu, John S.; Colon, Cesar
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034240	A1	20000615	WO 1999-US27914	19991206
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1137634	A1	20011004	EP 1999-963973	19991206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2002531546	T2	20020924	JP 2000-586688	19991206

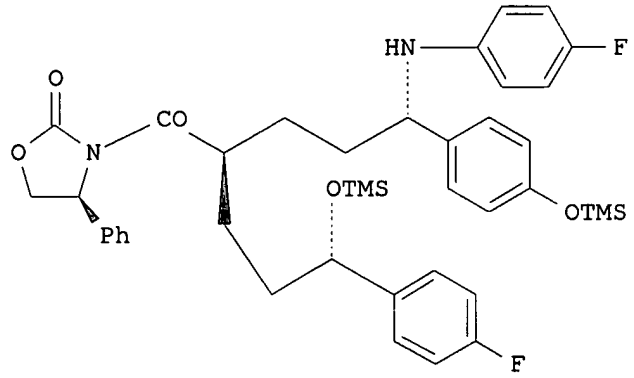
PRIORITY APPLN. INFO.: US 1998-206931 A 19981207
WO 1999-US27914 W 19991206
OTHER SOURCE(S): CASREACT 133:17327; MARPAT 133:17327
GI



I



II



III

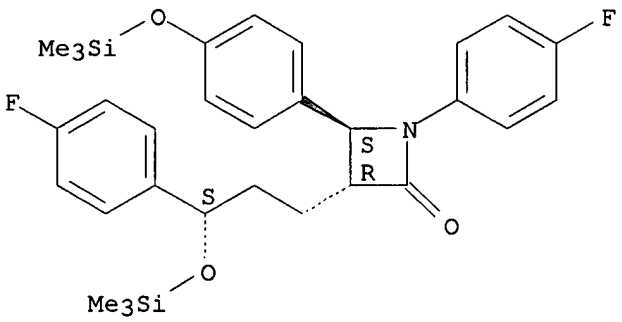
AB Process for preparing the hypocholesterolemic compound (I) by reacting p-fluorobenzoylbutyric acid with pivaloyl chloride, acylating the product with a chiral auxiliary to obtain a ketone of formula (II), reduction in the presence of a chiral catalyst to an alc., condensing the chiral alc. with an imine and a silyl protecting agent to give a β-(substituted-amino)amide of formula (III), cyclization with a silylating agent and a fluoride ion catalyst to a protected lactam of formula I (R = SiMe3) (IV), and removal of the protecting groups is disclosed. The intermediates III and IV are also claimed.

IT **272778-13-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the synthesis of azetidinones and intermediates for use as hypocholesterolemics)

RN 272778-13-9 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(trimethylsilyl)oxy]propyl]-4-[4-[(trimethylsilyl)oxy]phenyl]-, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

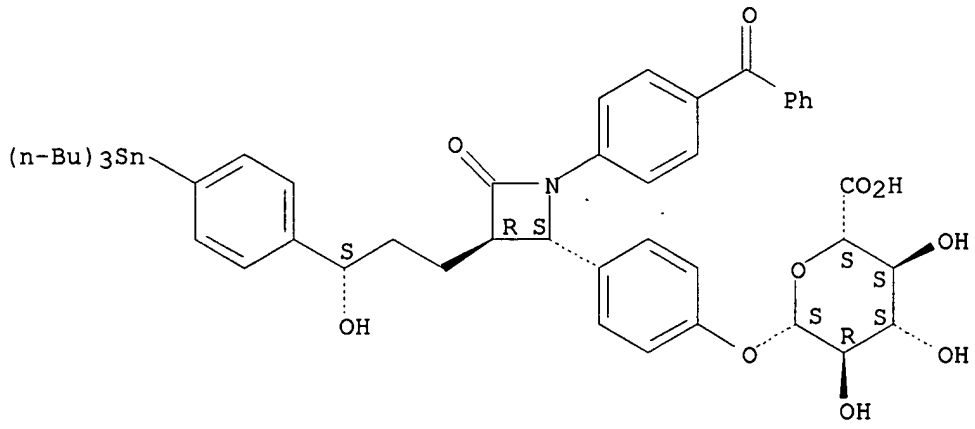
L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:651917 CAPLUS
DOCUMENT NUMBER: 132:77632
TITLE: An enzymatic synthesis of glucuronides of azetidinone-based cholesterol absorption inhibitors
AUTHOR(S): Reiss, P.; Burnett, D. A.; Zaks, A.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, USA
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(10), 2199-2202
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:77632

AB Two derivs. (I and III) of a novel cholesterol absorption inhibitor, Sch 58235, were glucuronidated (to II and IV, resp.) with the help of glucuronyl transferases derived from bovine and dog liver microsomes. An efficient procedure for the iodination of IV was developed on an anal. scale to be used for the preparation of a 125I-labeled radioactive glucuronide V.

IT **253436-48-5P**, Sch 60664 glucuronide **253436-49-6P**
RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(enzymic synthesis of glucuronides of azetidinone-based cholesterol absorption inhibitors)

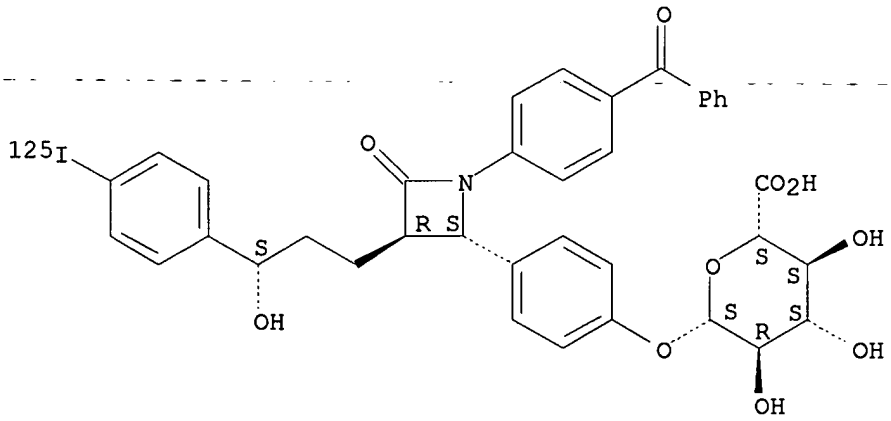
RN 253436-48-5 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-3-[(3S)-3-hydroxy-3-[4-(tributylstannyl)phenyl]propyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



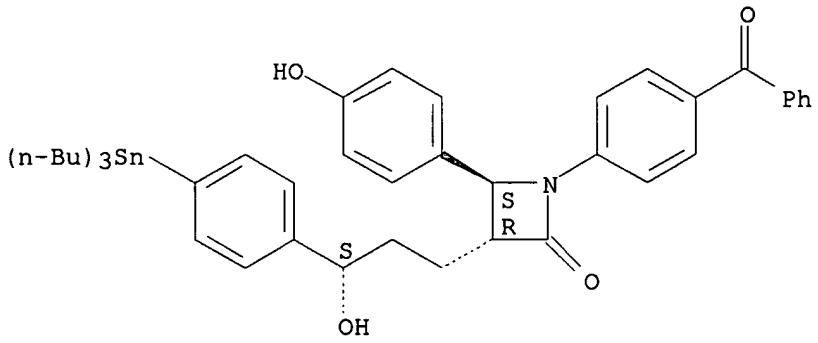
RN 253436-49-6 CAPLUS
CN β-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-benzoylphenyl)-3-[(3S)-3-hydroxy-3-[4-(iodo-125I)phenyl]propyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **253682-78-9**, Sch 60664
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(enzymic synthesis of glucuronides of azetidinone-based cholesterol absorption inhibitors)
RN 253682-78-9 CAPLUS
CN 2-Azetidinone, 1-(4-benzoylphenyl)-4-(4-hydroxyphenyl)-3-[(3S)-3-hydroxy-3-[4-(tributylstannyl)phenyl]propyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

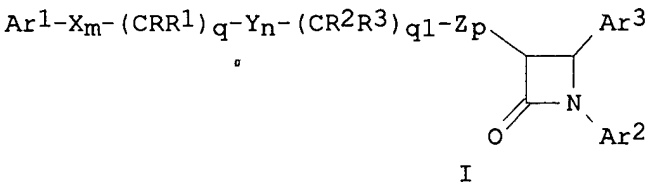


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

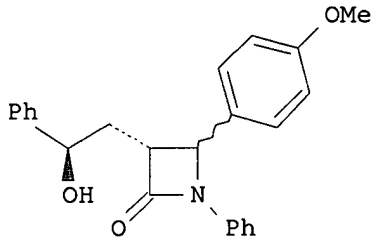
L4 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:788738 CAPLUS
DOCUMENT NUMBER: 130:52320
TITLE: Preparation of hydroxy-substituted azetidinone compounds as HMG-CoA reductase inhibitors
INVENTOR(S): Rosenblum, Stuart B.; Dugar, Sundeep; Burnett, Duane A.; Clader, John W.; McKittrick, Brian A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 23 pp., Cont.-in-part of U.S. 5,767,115.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5846966	A	19981208	US 1997-953825	19971014
US 5631365	A	19970520	US 1994-257593	19940609
US 5767115	A	19980616	US 1996-617751	19960318

PRIORITY APPLN. INFO.: US 1993-102440 B2 19930921
US 1994-257593 A2 19940609
US 1996-617751 A2 19960318
OTHER SOURCE(S): MARPAT 130:52320
GI



I



II

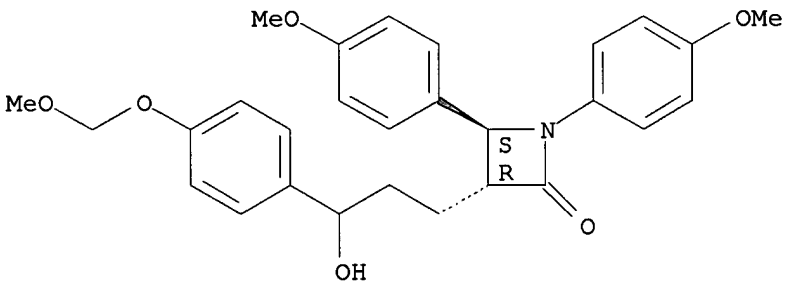
AB The title compds. [I; Ar1 and Ar2 are (un)substituted aryl; Ar3 is aryl or R5-substituted aryl; X, Y and Z are CH2, lower alkyl, etc.; R and R2 are OR6, O(CO)R6, O(CO)OR9, etc.; R1 and R3 are H or lower alkyl; q is 0, 1; q1 = 0, 1; m, n and p are 0-4; R5 is OH, alkoxy, alkoxycarbonyl, etc.; R6 is H, lower alkyl, aryl, etc.; R9 is (un)substituted alkyl, aryl, etc.] are prepared I are useful for prevention and treatment of atherosclerosis or reducing plasma cholesterol levels. Thus, 4-phenylbutyrolactone was reacted with 4-methoxybenzylidylaniline in the presence of lithium diisopropylamide and n-BuLi at -78° to give the title compound (II). II (β-H) reduced serum cholesterol by 23%. Formulations containing I are also prepared

IT **208987-11-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxy-substituted azetidinone compds. as hypocholesterolemic agents)

RN 208987-11-5 CAPLUS

CN 2-Azetidinone, 3-[3-hydroxy-3-[4-(methoxymethoxy)phenyl]propyl]-1,4-bis(4-methoxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

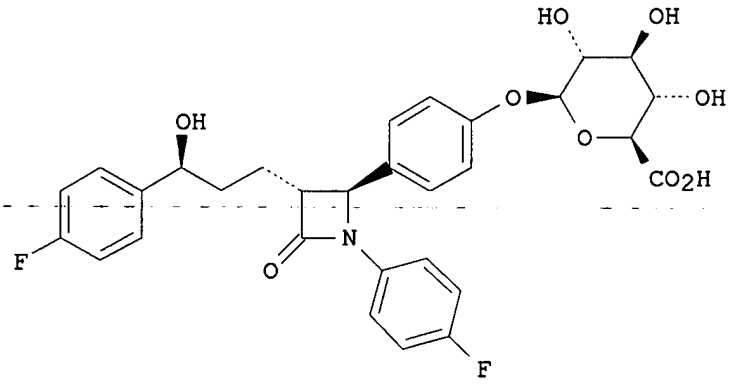
Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:665214 CAPLUS
DOCUMENT NUMBER: 130:3092
TITLE: Enzymic glucuronidation of a novel cholesterol absorption inhibitor, SCH 58235
AUTHOR(S): Zaks, Aleksey; Dodds, David R.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Applied Biochemistry and Biotechnology (1998), 73(2-3), 205-214
CODEN: ABIBDL; ISSN: 0273-2289
PUBLISHER: Humana Press Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:3092
GI



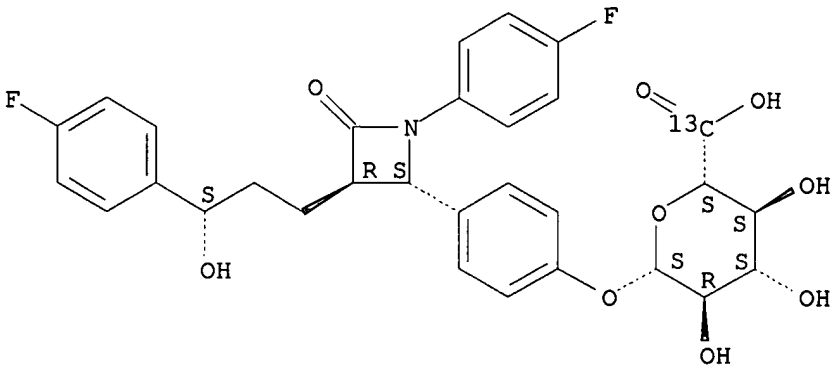
I

AB A glucuronide (I) of a novel cholesterol absorption inhibitor was synthesized on a 200-mg scale in 1 step via bovine liver glucuronyltransferase-catalyzed coupling of the glucuronyl moiety of UDP-glucuronic acid with the phenolic hydroxyl of Sch 58235. I yield is limited by the hydrolysis of UDP-glucuronic acid by impurities present in the com. microsomal preparation of the transferase. This detrimental effect of UDPGluA hydrolysis could be diminished by the presence of high concentration of glucuronyltransferase. Optimization of reaction conditions and purification procedure resulted in a process that proceeded with 95% conversion and 88% isolated product yield. The 13C6-glucuronide of Sch 58235 was prepared with the help of a cascade of 8 enzymes operating concurrently in 1 pot.

IT **215667-49-5P**
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(enzymic glucuronidation of a novel cholesterol absorption inhibitor, SCH 58235)

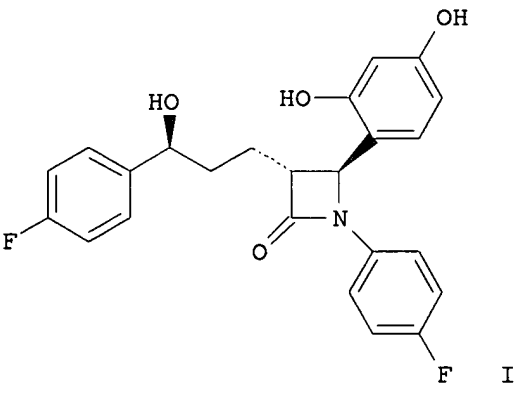
RN 215667-49-5 CAPLUS
CN β-D-Glucopyranosiduronic-6-13C acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:660120 CAPLUS
DOCUMENT NUMBER: 130:3720
TITLE: 2-azetidinone cholesterol absorption inhibitors: increased potency by substitution of the C-4 phenyl ring
AUTHOR(S): Vaccaro, Wayne D.; Sher, Rosy; Davis, Harry R., Jr.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(9), 1429-1437
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

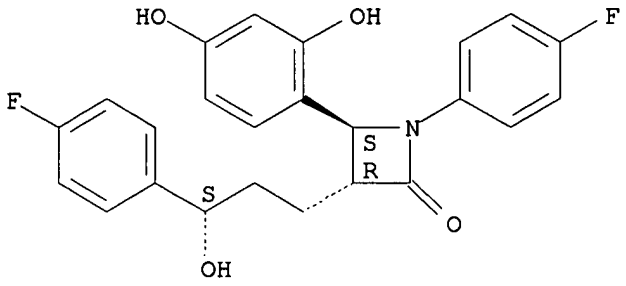
AB SAR studies directed towards the optimization of 2-azetidinone cholesterol absorption inhibitors led to the discovery of I, the most potent

cholesterol absorption inhibitor yet identified.

IT **215603-93-3P 215603-94-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(effect of substitution of the C-4 Ph ring on 2-azetidinone cholesterol absorption inhibitors)

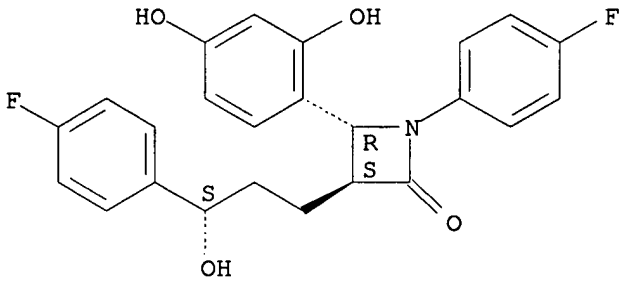
RN 215603-93-3 CAPLUS
CN 2-Azetidinone, 4-(2,4-dihydroxyphenyl)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 215603-94-4 CAPLUS
CN 2-Azetidinone, 4-(2,4-dihydroxyphenyl)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-, (3S,4R)- (9CI) (CA INDEX NAME)

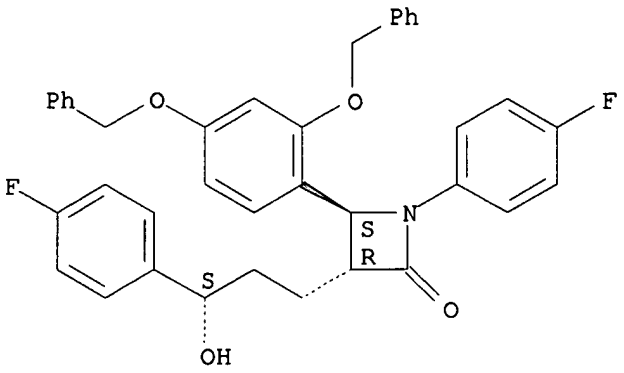
Absolute stereochemistry.



IT **215603-91-1P 215603-92-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(effect of substitution of the C-4 Ph ring on 2-azetidinone cholesterol absorption inhibitors)

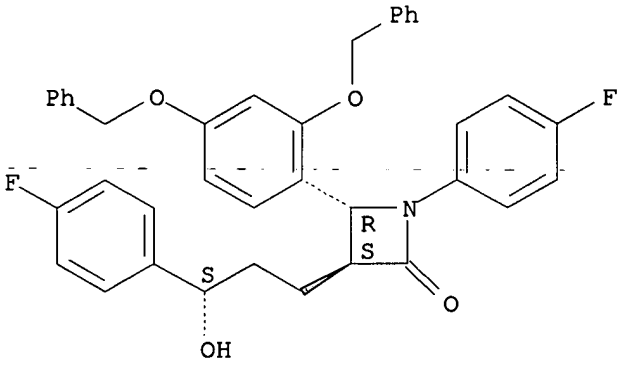
RN 215603-91-1 CAPLUS
CN 2-Azetidinone, 4-[2,4-bis(phenylmethoxy)phenyl]-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 215603-92-2 CAPLUS
CN 2-Azetidinone, 4-[2,4-bis(phenylmethoxy)phenyl]-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:414731 CAPLUS
DOCUMENT NUMBER: 129:67689
TITLE: Preparation of hydroxy-substituted azetidinone compounds as hypocholesterolemic agents
INVENTOR(S): Rosenblum, Stuart B.; Dugar, Sundeep; Burnett, Duane A.; Clader, John W.; McKittrick, Brian A.
PATENT ASSIGNEE(S): Schering-Plough Corporation, USA
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 5,631,365.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

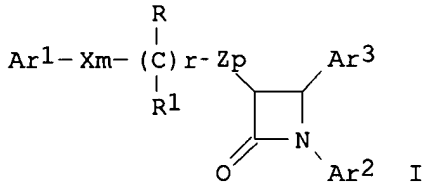
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5767115	A	19980616	US 1996-617751	19960318

US 5631365	A	19970520	US 1994-257593	19940609
US 5846966	A	19981208	US 1997-953825	19971014
US 37721	E	20020528	US 2000-594996	20000615

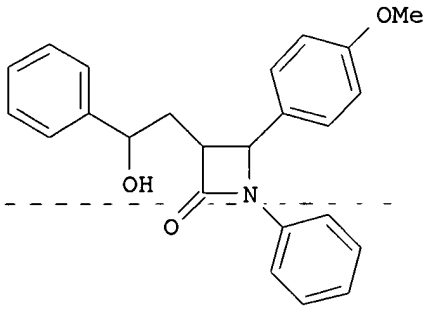
PRIORITY APPLN. INFO.: US 1993-102440 B2 19930921
US 1994-257593 A2 19940609
US 1996-617751 A2 19960318

OTHER SOURCE(S): CASREACT 129:67689; MARPAT 129:67689

GI



I



II

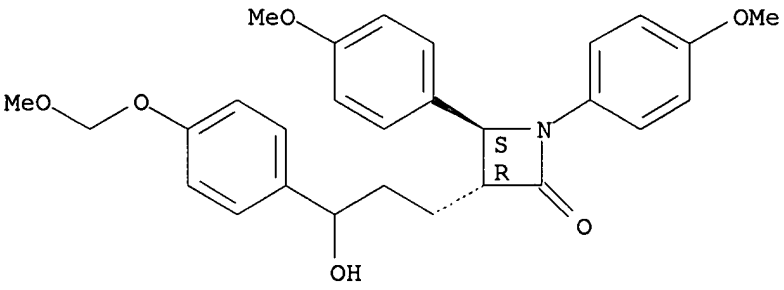
AB The title compds. [I; Ar1 and Ar2 are (un)substituted aryl; Ar3 is aryl or R5-substituted aryl; X, Y and Z are CH2, lower alkyl, etc.; R and R2 are OR6, O(CO)R6, O(CO)OR9, etc.; R1 and R3 are H or lower alkyl; q is 0 or 1; r is 0 or 1; m, n and p are 0-4; R5 is OH, alkoxy, alkoxycarbonyl, etc.; R6 is H, lower alkyl, aryl, etc.; R9 is (un)substituted alkyl, aryl, etc.] are prepared I are useful for prevention and treatment of atherosclerosis or reducing plasma cholesterol levels. Thus, 4-phenylbutyrolactone was reacted with 4-methoxybenzylidylaniline in the presence of lithium diisopropylamide and n-BuLi at -78° to give the title compound (II). Formulations containing I are also prepared

IT **208987-11-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxy-substituted azetidinone compds. as hypocholesterolemic agents)

RN 208987-11-5 CAPLUS

CN 2-Azetidinone, 3-[3-hydroxy-3-[4-(methoxymethoxy)phenyl]propyl]-1,4-bis(4-methoxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

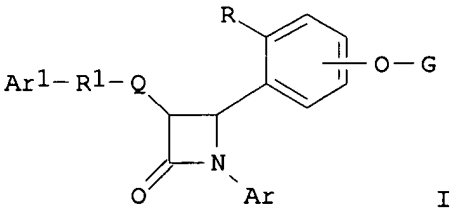


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:352625 CAPLUS
DOCUMENT NUMBER: 129:41376
TITLE: Preparation of sugar-substituted 2-azetidinones useful as hypocholesterolemic agents
INVENTOR(S): Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.; Vaccaro, Wayne D.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756470	A	19980526	US 1996-741179	19961029
CN 1205707	A	19990120	CN 1996-199226	19961029
CN 1103780	B	20030326		

PRIORITY APPLN. INFO.: US 1996-741179 A 19961029
OTHER SOURCE(S): MARPAT 129:41376
GI



I

AB Hypocholesterolemic sugar-substituted 2-azetidinones I (R = H, OH, sugar; R1 = alkylene, cycloalkylene, phenylene, alkenylene; G = sugar residue; Q = bond, spiro group; Ar, Ar1 = aryl), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus,

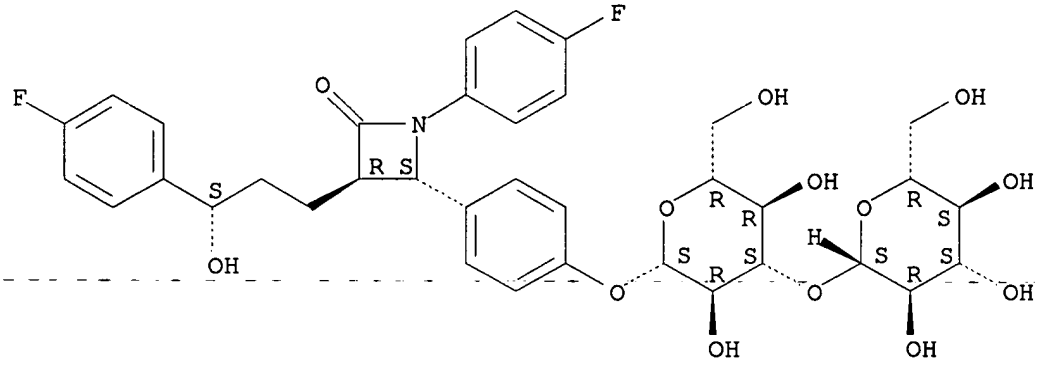
1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidinyl]phenyl]-β-D-glucuronic acid was prepared as anticholesteremic agent 58 % reduction in plasma cholesterol with 3 mg/kg dose in hamsters.

IT **208259-77-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 208259-77-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(3-O-β-D-glucopyranosyl-β-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



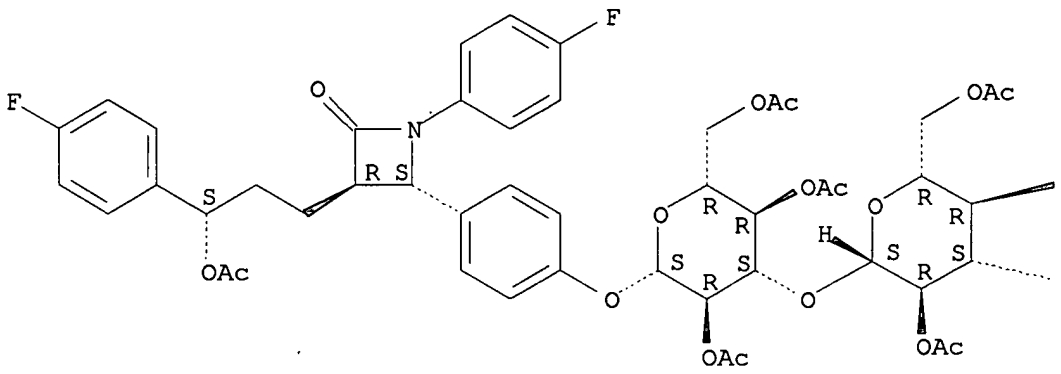
IT **208259-78-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 208259-78-3 CAPLUS

CN 2-Azetidinone, 3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-[4-[[2,4,6-tri-O-acetyl-3-O-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-β-D-glucopyranosyl]oxy]phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:85303 CAPLUS
DOCUMENT NUMBER: 128:212915
TITLE: Synthesis of C3 Heteroatom-Substituted Azetidinones That Display Potent Cholesterol Absorption Inhibitory Activity
AUTHOR(S): McKittrick, Brian A.; Ma, Ke; Huie, Keith; Yumibe, Nathan; Davis, Harry Jr.; Clader, John W.; Czarniecki, Michael; McPhail, Andrew T.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(5), 752-759
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The C3 phenylpropyl side chain of N-phenylazetidinones related to SCH 56524 was modified by replacing the hydroxymethylene with various isoelectronic or isosteric groups. Modifications at the 3' position led to less-active compds.; however, modifications at the 1' position provided compds. with improved cholesterol absorption inhibitory activity. An

enantioselective route for the synthesis of C3 1'-sulfur-substituted azetidinones and the development of structure-activity relationships for this series of compds. are presented.

IT **204325-97-3**, Sch 56191
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of azetidinones as cholesterol inhibitors)

RN 204325-97-3 CAPLUS

CN 2-Azetidinone, 3-(3-hydroxy-3-phenylpropyl)-4-(4-methoxyphenyl)-1-phenyl-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.